10/ 070,804

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NEWS	2		"Ask CAS" for self-help around the clock
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NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added
NEWS	14	OCT 21	BIOSIS file reloaded and enhanced

NEWS EXPRESS	OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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FILE 'HOME' ENTERED AT 15:42:18 ON 22 OCT 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 OCT 2003 HIGHEST RN 607679-40-3
DICTIONARY FILE UPDATES: 21 OCT 2003 HIGHEST RN 607679-40-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

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Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 15:42:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 18455 TO ITERATE

100.0% PROCESSED 18455 ITERATIONS 418 ANSWERS
SEARCH TIME: 00.00.01

L2 418 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 15:42:51 ON 22 OCT 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Oct 2003 VOL 139 ISS 17

10/ 070,804

FILE LAST UPDATED: 21 Oct 2003 (20031021/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 132 L2

=> d l3 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 132 ANSWERS - CONTINUE? Y/(N):y

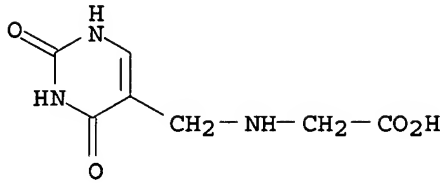
L3 ANSWER 1 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:356046 CAPLUS
DOCUMENT NUMBER: 138:363908
TITLE: Polypeptides and polynucleotides (cDNAs) of human angiopoietin-like 1 (ANGPTL1) and angiopoietin-like 2 (ANGPTL2) proteins, their sequences, and biological, diagnostic, and therapeutic uses
INVENTOR(S): Esguerra, Camila V.
PATENT ASSIGNEE(S): Mermaid Pharmaceuticals Gmbh, Germany
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1308511	A1	20030507	EP 2002-21286	20020919

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.: US 2001-335362P P 20011031

AB The invention presents methods which involving using angiopoietin-like 1 (ANGPTL1) and angiopoietin-like 2 (ANGPTL2) genes for altering clin. status. In particular, the invention provides pharmaceutical compns. and antisense oligomers comprising polynucleotide and amino acids sequences of genes ANGPTL1 and ANGPTL2. The invention also provides the use of ANGPTL1 and ANGPTL2 polypeptides and polynucleotides in methods designed for: (a) screening for therapeutic agents which can be used in treatment of blood-related disorders, such as leukemia or anemia, or in treatment of defects in vasculature; (b) modulating proliferation, differentiation and/or cell death of cells, such as hematopoietic stem cells, and erythroid or endothelial cells; and (c) detg. whether a subject is at risk for said blood-related disorder or defects in vasculature. The invention further provides use of said pharmaceutical compns. and identified therapeutic agents in treatment of said blood-related disorders and/or in defects of vasculature in a patient. Still further, the invention provides transgenic non-human animals lacking functional ANGPTL1 or ANGPTL2, and methods for their generation. Finally, the invention provides amino acid and cDNA sequences of human ANGPTL1 or ANGPTL2, mouse ARP2 (angiopoietin-related 2) protein, and Danio rerio ANGPTL1.

IT **14886-75-0**, 5-Carboxymethylaminomethyluracil
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(used to modify one base; antisense oligonucleotides specific for ANGPTL1, ARP2 and ANGPTL2 mRNAs, modifications, sequences and uses thereof)
RN 14886-75-0 CAPLUS
CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]- (8CI, 9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:242322 CAPLUS
DOCUMENT NUMBER: 138:271968
TITLE: Preparation of (heterocyclylcarbonyl)aspartic acid derivatives as caspase inhibitors
INVENTOR(S): Choong, Ingrid; Burdett, Matthew; Delano, Warren; Erlanson, Daniel A.; Lee, Dennis; Lew, Willard
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

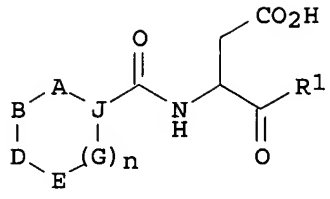
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024955	A2	20030327	WO 2002-US29536	20020917
WO 2003024955	A3	20030814		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003114447	A1	20030619	US 2002-245912	20020917

PRIORITY APPLN. INFO.: US 2001-323270P P 20010918
US 2002-371762P P 20020411
OTHER SOURCE(S): MARPAT 138:271968
GI



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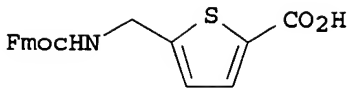
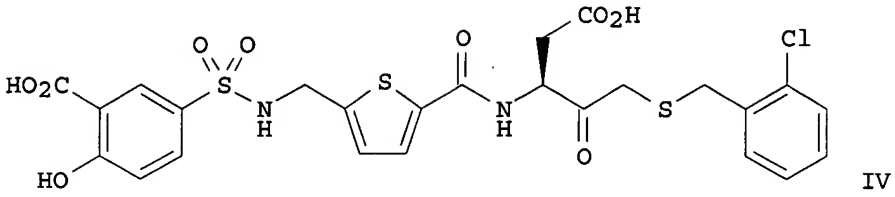


III



IV

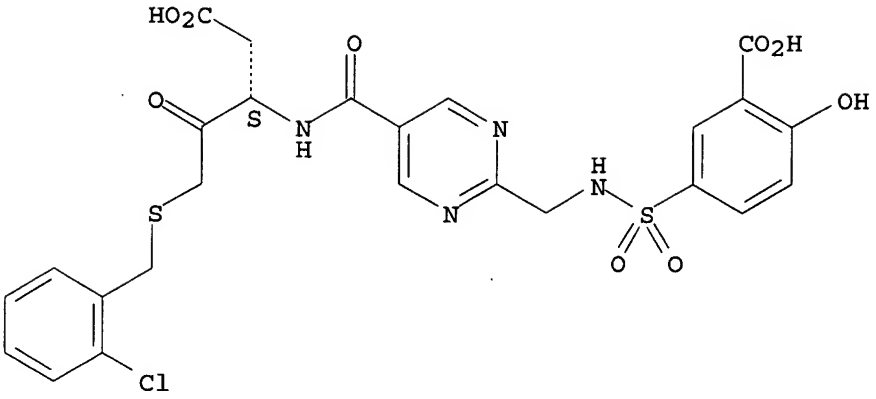
AB The present invention provides aspartic acid derivs. I [R1 = H, aliph., heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; n = 0, 1; A, B, D, E, G, = independently CR, CR2, CO, S, NR, NR2, O; J = CR; each R = independently H, halo, OR2, NR22, SR2, CN, CO2R2, COR2, CONR22, SOR2, SO2R2, SO2NR22, NR2SO2R2, O2CNR22, NR2CONR22, NR2CSNR22, NR2SO2NR22, (un)substituted aliph., heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; R2 = independently H, halo, OR3, NR32, SR3, CN, CO2R3, COR3, CONR32, SOR3, SO2R3, SO2NR32, NR3SO2R3, O2CNR32, NR3CONR32, NR3CSNR32, NR3SO2NR32, (un)substituted aliph., heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; R3 = H, aliph., heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; with provisos] and pharmaceutically acceptable derivs. , and pharmaceutical compns. thereof, and methods for the use thereof as caspase inhibitors and for the treatment of disorders caused by excessive apoptotic activity (no data). Thus, Fmoc-Asp(OtBu)-CH2Br (Fmoc = 9-fluorenylmethoxycarbonyl) was coupled with 2-ClC6H4CH2SH to give sulfide Fmoc-Asp(OtBu)CH2SCH2C6H4Cl-2 (II). II was attached to a semicarbazide-derivatized Wang resin, deprotected with piperidine in DMF, coupled with Fmoc-protected aminomethylthiophenecarboxylic acid III, deprotected, and coupled with 5-chlorosulfonyl-2-hydroxybenzoic acid, and cleaved from the resin with CF3CO2H to give inhibitor IV.

IT **476363-34-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (heterocyclylcarbonyl)aspartic acid derivs. as caspase inhibitors)

RN 476363-34-5 CAPLUS

CN Benzoic acid, 5-[[[[5-[[[(1S)-1-(carboxymethyl)-3-[[(2-chlorophenyl)methyl]thio]-2-oxopropyl]amino]carbonyl]-2-pyrimidinyl]methyl]amino]sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)

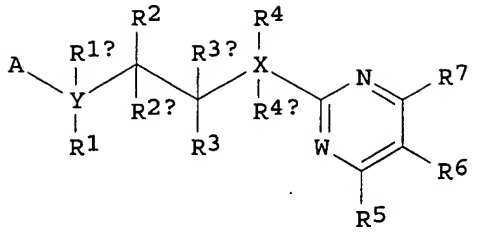
Absolute stereochemistry.



L3 ANSWER 3 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:814853 CAPLUS
DOCUMENT NUMBER: 137:325431
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002156087	A1	20021024	US 2001-949035	20010906
US 6417185	B1	20020709	US 1999-336038	19990618

PRIORITY APPLN. INFO.: US 1999-336038 A2 19990618
US 2000-230480P P 20000906
US 1998-89978P P 19980619
OTHER SOURCE(S): MARPAT 137:325431
GI



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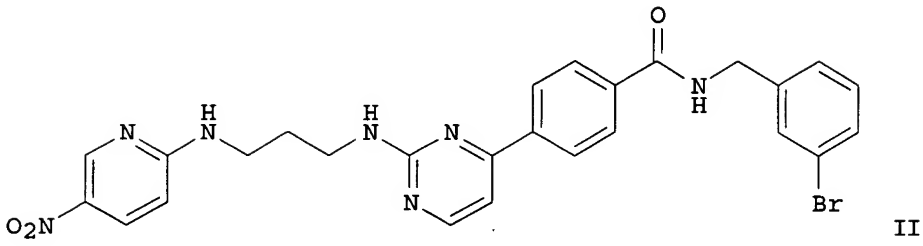


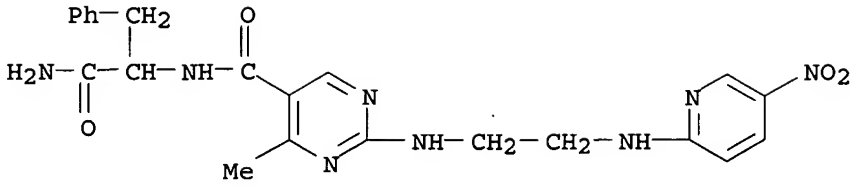
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **403807-91-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-91-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-amino-2-oxo-1-(phenylmethyl)ethyl]-4-methyl-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)

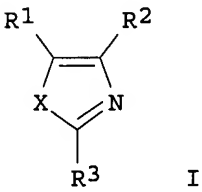


L3 ANSWER 4 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:813909 CAPLUS
DOCUMENT NUMBER: 137:325416
TITLE: Preparation of substituted imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers
INVENTOR(S): Hongu, Mitsuya; Hosaka, Thoshihiro; Kashiwagi, Toshihiko; Kono, Rikako; Kobayashi, Hiroyuki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 302 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083111	A2	20021024	WO 2002-JP3723	20020415

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SG, SI, SK, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-116436 A 20010416
JP 2001-249671 A 20010820
OTHER SOURCE(S): MARPAT 137:325416
GI



I

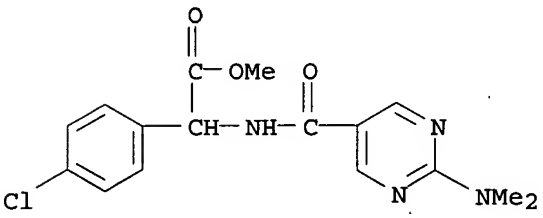
AB The title compds. [I; X = NR4, O, S; R1, R2 = H, halo, CO2H, etc.; R3 = aryl, heterocyclyl, alkyl; R4 = H, alkyl], useful in the prophylaxis and/or treatment for pollakiuria or urinary incontinence, were prepd. Thus, reacting 5-ethyl-2-iodo-4-(3-pyridyl)imidazole with 3-(hydroxymethyl)thiophene-2-boric acid in the presence of Pd(PPh3)4 and aq. 2M Na2CO3 in dimethoxyethane afforded I.2HCl [X = NH; R1 = Et; R2 = 3-pyridyl; R3 = 3-(hydroxymethyl)thien-2-yl] which showed 100% inhibition time of 10-20 min in test on the rhythmic bladder contractions induced by substance P in anesthetized rats.
IT **473693-46-8P**

10/ 070,804

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazoles/oxazoles/thiazoles as large conductance calcium-activated K channel openers)

RN 473693-46-8 CAPLUS

CN Benzeneacetic acid, 4-chloro-.alpha.-[[[2-(dimethylamino)-5-pyrimidinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:782787 CAPLUS

DOCUMENT NUMBER: 138:98

TITLE: Identification of potent and selective small-molecule inhibitors of caspase-3 through the use of extended tethering and structure-based drug design

AUTHOR(S): Choong, Ingrid C.; Lew, Willard; Lee, Dennis; Pham, Phuongly; Burdett, Matthew T.; Lam, Joni W.; Wiesmann, Christian; Luong, Tinh N.; Fahr, Bruce; DeLano, Warren L.; McDowell, Robert S.; Allen, Darin A.; Erlanson, Daniel A.; Gordon, Eric M.; O'Brien, Tom

CORPORATE SOURCE: Sunesis Pharmaceuticals Inc., South San Francisco, CA, 94080, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 5005-5022
CODEN: JMCMAR; ISSN: 0022-2623

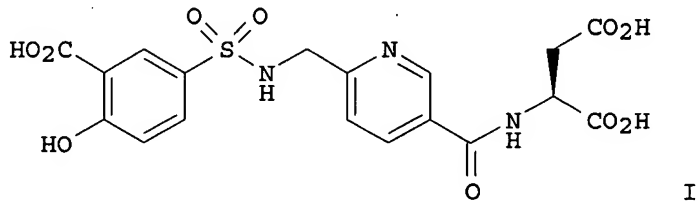
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:98

GI



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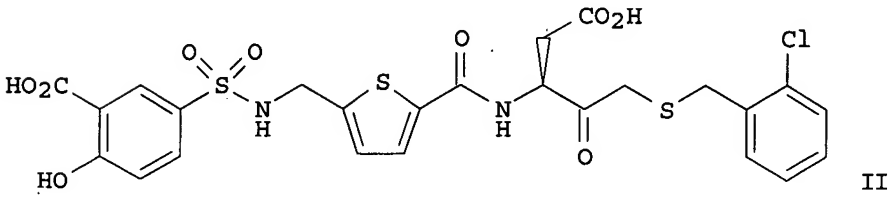


II

AB The design, synthesis, and in vitro activities of a series of potent and

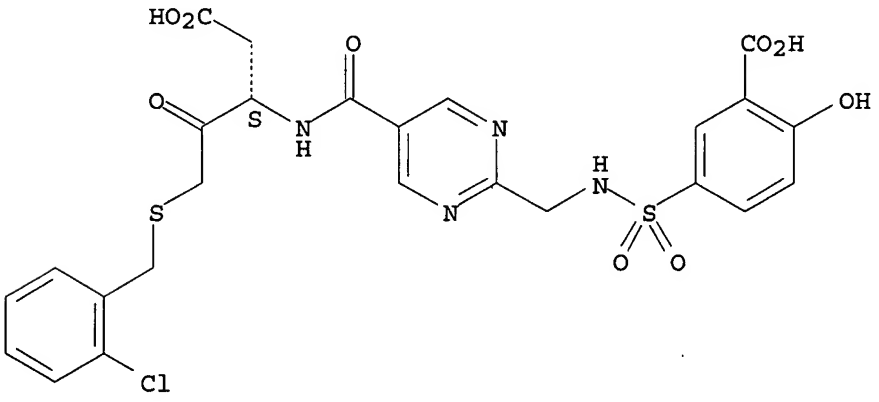
selective small-mol. inhibitors of caspase-3 are described. From extended tethering, a salicylic acid fragment was identified as having binding affinity for the S4 pocket of caspase-3. X-ray crystallog. and mol. modeling of the initial tethering hit resulted in the synthesis of (I), which reversibly inhibited caspase-3 with a Ki = 40 nM. Further optimization led to the identification of a series of potent and selective inhibitors with Ki values in the 20-50 nM range. One of the most potent compds. in this series, (II), inhibited caspase-3 with a Ki = 20 nM and selectivity of 8-500-fold for caspase-3 vs a panel of seven caspases (1, 2, and 4-8). A high-resoln. X-ray cocrystal structure of I and II supports the predicted binding modes of our compds. with caspase-3.

IT **476363-34-5P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(identification of potent and selective small-mol. inhibitors of caspase-3 through the use of extended tethering and structure-based drug design)

RN 476363-34-5 CAPLUS

CN Benzoic acid, 5-[[[[5-[[[(1S)-1-(carboxymethyl)-3-[[(2-chlorophenyl)methyl]thio]-2-oxopropyl]amino]carbonyl]-2-pyrimidinyl]methyl]amino]sulfonyl]-2-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:712925 CAPLUS
DOCUMENT NUMBER: 137:228381
TITLE: Linked peptide nucleic acids which form triple stranded structures with nucleic acids
INVENTOR(S): Egholm, Michael; Nielsen, Peter; Buchardt, Ole; Dueholm, Kim L.; Christensen, Leif; Coull, James M.; Kiely, John; Griffith, Michael
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA; Perseptive Biosystems, Inc.
SOURCE: U.S., 56 pp., Cont.-in-part of U. S. Ser. No. 108,591.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6451968	B1	20020917	US 1994-275951	19940715

CA 2109320	AA	19921125	CA 1992-2109320	19920522	
CA 2109320	C	20030722			
WO 9220702	A1	19921126	WO 1992-EP1219	19920522	
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US					
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG					
AU 9218806	A1	19921230	AU 1992-18806	19920522	
AU 666480	B2	19960215			
JP 06509063	T2	19941013	JP 1992-510139	19920522	
EP 586618	B1	19970716	EP 1992-923579	19920522	
EP 586618	A1	19940316			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
EP 1074559	A1	20010207	EP 2000-203148	19920522	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC					
EP 1162206	A2	20011212	EP 2001-203303	19920522	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC					
JP 2003235590	A2	20030826	JP 2003-15384	19920522	
US 5641625	A	19970624	US 1993-88658	19930702	
US 6395474	B1	20020528	US 1993-108591	19931122	
NO 9304235	A	19940120	NO 1993-4235	19931123	
US 6357163	B1	20020319	US 1994-150156	19940504	
WO 9602558	A1	19960201	WO 1995-US9084	19950713	
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9531967	A1	19960216	AU 1995-31967	19950713	
EP 773950	A1	19970521	EP 1995-928084	19950713	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 10503759	T2	19980407	JP 1995-505245	19950713	
JP 3326181	B2	20020917	JP 1996-505245	19950713	
US 5773571	A	19980630	US 1996-595387	19960201	
US 6441130	B1	20020827	US 1998-765798	19980628	
US 2002160383	A1	20021031	US 2001-983210	20011023	
US 2003105286	A1	20030605	US 2002-188404	20020701	

PRIORITY APPLN. INFO.:

DK 1991-986	A	19910524
DK 1991-987	A	19910524
DK 1992-510	A	19920415
WO 1992-EP1219	W	19920522
US 1993-88658	A2	19930702
US 1993-88658	A2	19930702
US 1993-108591	A2	19931122
EP 1992-911165	A3	19920522
EP 2000-203148	A3	19920522
JP 1992-510139	A3	19920522
WO 1992-EP1220	A	19920522
US 1993-54363	A2	19930426
US 1993-88661	A2	19930702
US 1994-150156	A1	19940504
US 1994-275951	A	19940715
WO 1995-US9084	W	19950713
US 1998-765798	A3	19980628

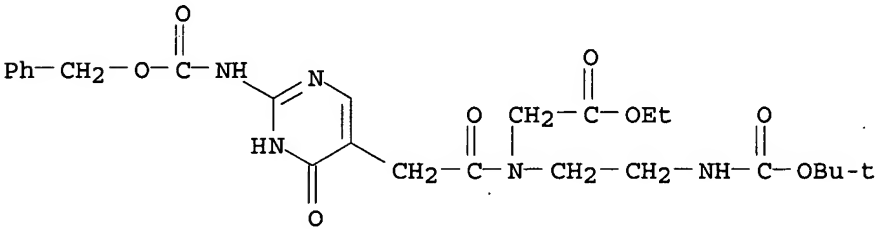
OTHER SOURCE(S): MARPAT 137:228381

AB Linked peptide nucleic acids which form triple stranded structures with nucleic acids are disclosed. The peptide nucleic acids include ligands such as naturally occurring nucleobases attached to the peptide backbone through a suitable linker. Other nucleobases including C-pyrimidines and iso-pyrimidines can be used as the ligands in Hoogsteen strands to increase binding affinity. Two peptide nucleic acid strands are joined

together with an aminoalkylcarboxylic acid or polyglycol linker to form a bis-peptide nucleic acid. The individual strands of the peptide nucleic acids in the bis compds. can be oriented either parallel or antiparallel to each other. Thus, peptide nucleic acids linked by multiple -NHCH2CH2OCH2CH2OCH2C(:O)- groups were synthesized. These "bis-PNA's" formed triplex structures with target nucleic acid and had higher affinity than did corresponding "mono-PNA's" binding to the target nucleic acid.

IT **163081-02-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(linked peptide nucleic acids which form triple stranded structures with nucleic acids)

RN 163081-02-5 CAPLUS
CN Glycine, N-[[1,4-dihydro-4-oxo-2-[[(phenylmethoxy)carbonyl]amino]-5-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

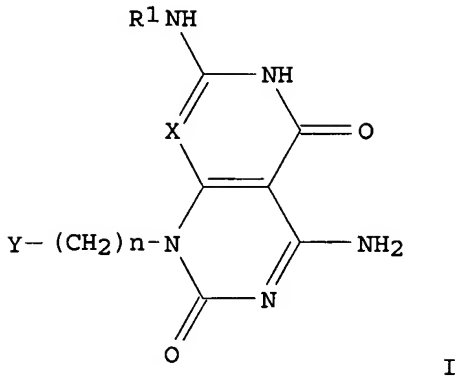


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:658128 CAPLUS
DOCUMENT NUMBER: 137:201343
TITLE: Method and associated compounds for forming nanotubes
INVENTOR(S): Fenniri, Hicham
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066482	A1	20020829	WO 2002-US1152	20020116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002151556	A1	20021017	US 2002-50292	20020116

PRIORITY APPLN. INFO.: US 2001-262385P P 20010117
OTHER SOURCE(S): CASREACT 137:201343; MARPAT 137:201343
GI



I

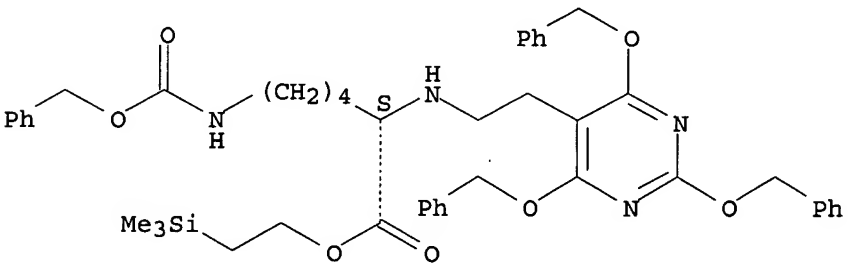
AB Compds. are claimed which are described by the general formula I (X = C or N; n = 1, 2, 3, or 4; Y = an amino acid with an amino covalently bound to an .alpha.-carbon of the amino acid and to a C of the (CH2)n; and R1 = aliph.) and salts thereof. Methods of forming nanotubes are described which entail self-assembly of the nanotubes from solns. contg. I or I salts. Nanotubes comprising supermacrocycles formed from I and I salts are also described.

IT **343333-12-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nanotube precursors and nanotube formation by self-assembly and the nanotubes)

RN 343333-12-0 CAPLUS

CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2-[2-[2,4,6-tris(phenylmethoxy)-5-pyrimidinyl]ethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:655111 CAPLUS

DOCUMENT NUMBER: 137:197334

TITLE: Linked peptide nucleic acids which form triple stranded structures with nucleic acids

INVENTOR(S): Egholm, Michael; Nielsen, Peter; Buchardt, Ole; Dueholm, Kim L.; Christensen, Leif; Coull, James M.; Kiely, John; Griffith, Michael

PATENT ASSIGNEE(S): ISIS Pharmaceuticals, Inc., USA

SOURCE: U.S., 41 pp., Cont.-in-part of U. S. Ser. No. 275,951.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6441130	B1	20020827	US 1998-765798	19980628
CA 2109320	AA	19921125	CA 1992-2109320	19920522
CA 2109320	C	20030722		
WO 9220702	A1	19921126	WO 1992-EP1219	19920522
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9218806	A1	19921230	AU 1992-18806	19920522
AU 666480	B2	19960215		
JP 06509063	T2	19941013	JP 1992-510139	19920522
EP 586618	B1	19970716	EP 1992-923579	19920522
EP 586618	A1	19940316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 1074559	A1	20010207	EP 2000-203148	19920522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
EP 1162206	A2	20011212	EP 2001-203303	19920522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC				
JP 2003235590	A2	20030826	JP 2003-15384	19920522
US 5641625	A	19970624	US 1993-88658	19930702
US 6228982	B1	20010508	US 1993-88661	19930702
US 6395474	B1	20020528	US 1993-108591	19931122
NO 9304235	A	19940120	NO 1993-4235	19931123
US 6357163	B1	20020319	US 1994-150156	19940504
US 6451968	B1	20020917	US 1994-275951	19940715
WO 9602558	A1	19960201	WO 1995-US9084	19950713
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5773571	A	19980630	US 1996-595387	19960201
US 2002160383	A1	20021031	US 2001-983210	20011023
US 2003105286	A1	20030605	US 2002-188404	20020701

PRIORITY APPLN. INFO.:

DK 1991-986	A	19910524
DK 1991-987	A	19910524
DK 1992-510	A	19920415
WO 1992-EP1219	W	19920522
US 1993-88658	A2	19930702
US 1993-88661	A2	19930702
US 1993-108591	A2	19931122
US 1994-275951	A2	19940715
WO 1995-US9084	W	19950713
EP 1992-911165	A3	19920522
EP 2000-203148	A3	19920522
JP 1992-510139	A3	19920522
WO 1992-EP1220	A	19920522
US 1993-54363	A2	19930426
US 1994-150156	A1	19940504
US 1998-765798	A3	19980628

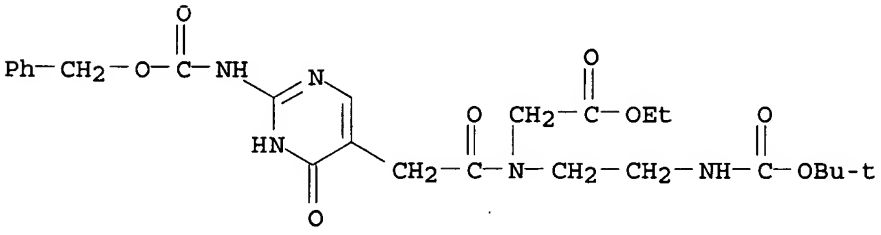
AB Linked peptide nucleic acids which form triple stranded structures with nucleic acids are disclosed. The peptide nucleic acids include ligands such as naturally occurring nucleobases attached to the peptide backbone through a suitable linker. Other nucleobases including C-pyrimidines and iso-pyrimidines can be used as the ligands in Hoogsteen strands to

increase binding affinity. Two peptide nucleic acid strands are joined together with an aminoalkylcarboxylic acid or polyglycol linker to form a bis-peptide nucleic acid. The individual strands of the peptide nucleic acids in the bis compds. can be oriented either parallel or antiparallel to each other. Thus, peptide nucleic acids linked by multiple -NHCH2CH2OCH2CH2OCH2C(:O)- groups were synthesized. These "bis-PNA's" formed triplex structures with target nucleic acid and had higher affinity than did corresponding "mono-PNA's" binding to the target nucleic acid.

IT **163081-02-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(linked peptide nucleic acids which form triple stranded structures with nucleic acids)

RN 163081-02-5 CAPLUS

CN Glycine, N-[[1,4-dihydro-4-oxo-2-[[(phenylmethoxy)carbonyl]amino]-5-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 198 THERE ARE 198 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:585056 CAPLUS

DOCUMENT NUMBER: 138:214843

TITLE: N-Aroyl-l-Phenylalanine Derivatives as VCAM/VLA-4 Antagonists

AUTHOR(S): Sidduri, Achyutharao; Tilley, Jefferson W.; Lou, Jian Ping; Chen, Li; Kaplan, Gerry; Mennona, Frank; Campbell, Robert; Guthrie, Robert; Huang, Tai-Nan; Rowan, Karen; Schwinge, Virginia; Renzetti, Louis M.

CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(17), 2479-2482
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:214843

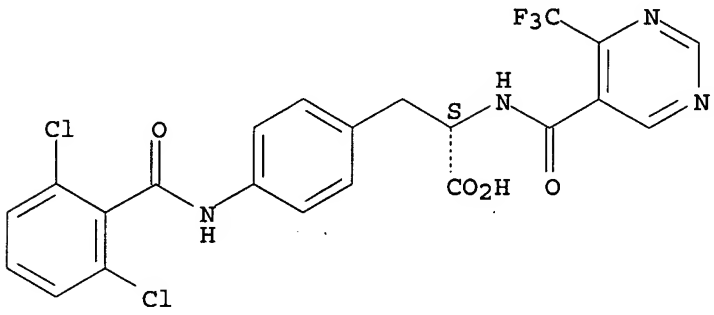
AB A series of N-benzoyl-4-[(2,6-dichlorobenzoyl)amino]-l-phenylalanine derivs. was prepd. in order to optimize the substitution on the N-benzoyl moiety for VCAM/VLA-4 antagonist activity. Disubstitution in the 2- and 6-positions is favored and a range of small alkyl and halogen are tolerated. A model of the bioactive conformation of these compds. is proposed.

IT **501084-79-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship N-aroyl-L-phenylalanine derivs. as VCAM/VLA-4 antagonists)

10/ 070,804

RN 501084-79-3 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[4-(trifluoromethyl)-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:256223 CAPLUS
DOCUMENT NUMBER: 136:295089
TITLE: Preparation of amino acid aromatic derivatives with HIV integrase inhibitory properties
INVENTOR(S): N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor, Inc., Can.
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026697	A2	20020404	WO 2001-CA1367	20010925
WO 2002026697	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095310	A5	20020408	AU 2001-95310	20010925
US 6528655	B1	20030304	US 2001-963329	20010926

PRIORITY APPLN. INFO.: CA 2000-2321348 A 20000927
WO 2001-CA1367 W 20010925
OTHER SOURCE(S): MARPAT 136:295089

AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H2CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-pyridyl, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be aroylamino] were prepd. as inhibitors of HIV integrase. Thus, N-[N.alpha.-(3,4-dihydroxybenzoyl)-N.tau.-trityl-L-histidinyl]dopamine was prepd. by coupling of N.alpha.-(9-fluorenylmethoxycarbonyl)-N.tau.-trityl-

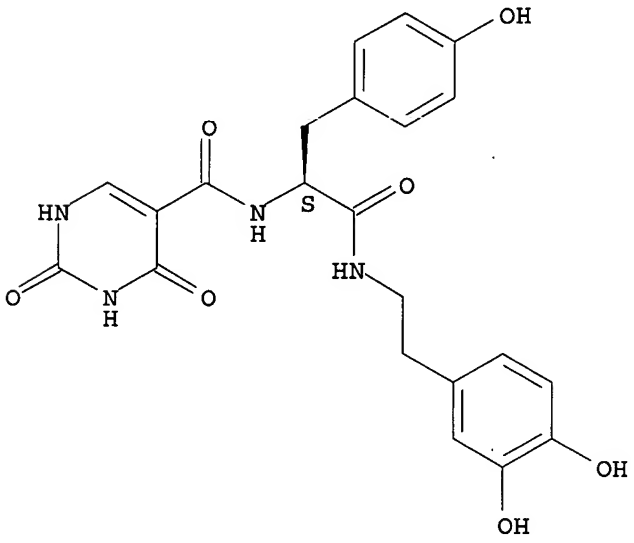
L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

IT **406727-48-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid arom. derivs. with HIV integrase inhibitory properties)

RN 406727-48-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(1S)-2-[[2-(3,4-dihydroxyphenyl)ethyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 11 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:250209 CAPLUS

DOCUMENT NUMBER: 137:6390

TITLE: New Fmoc Pseudoisocytosine Monomer for the Synthesis of a Bis-PNA Molecule by Automated Solid-Phase Fmoc Chemistry

AUTHOR(S): Neuner, Philippe; Monaci, Paolo

CORPORATE SOURCE: Istituto di Ricerche di Biologia Molecolare P. Angeletti, Pomezia (Rome), 00040, Italy

SOURCE: Bioconjugate Chemistry (2002), 13(3), 676-678
CODEN: BCCHES; ISSN: 1043-1802

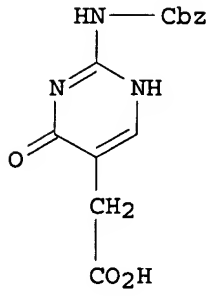
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:6390

GI



II

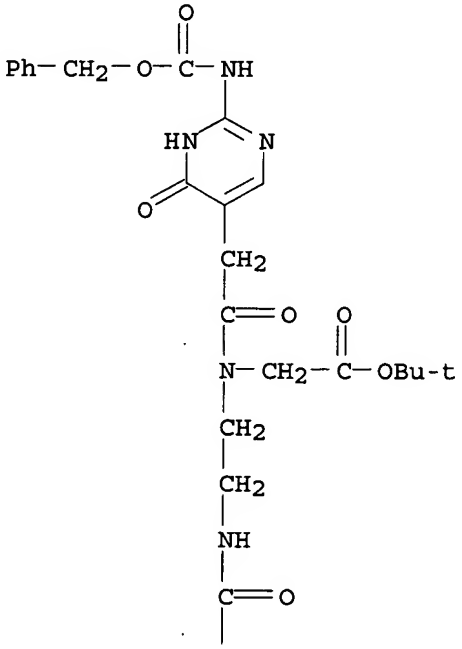
AB In order to utilize Fmoc automated synthesis chem. in the prepn. of a dimeric bis-PNA mol. H2N-LL-TCTCTCTC-LLL-JTJTJTJT-CONH2 (I; L = 2-aminoethoxy-2-ethoxyacetic acid linker, J = pseudoisocytosine), useful in formation of stable (PNA)2/DNA triple helix conjugates, the authors have first prepd. the Fmoc-protected J monomer. First, Fmoc-NH(CH2)2NHCH2C(O)OBut backbone was reacted with (II), and the resulting protected monomer deesterified to give the free acid suitable for use in solid-phase PNA synthesis. The resulting I was labeled in soln. with various dyes (biotin, fluorescein, rhodamine) (no data) with av. yields of 40-60%.

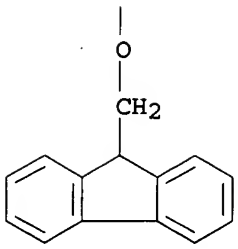
IT **432025-91-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pseudoisocytosine monomer and its use in synthesis of PNA-linker-PNA mol. for use in forming stable triple helix conjugates)

RN 432025-91-7 CAPLUS

CN Glycine, N-[[1,4-dihydro-4-oxo-2-[[(phenylmethoxy)carbonyl]amino]-5-pyrimidinyl]acetyl]-N-[2-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



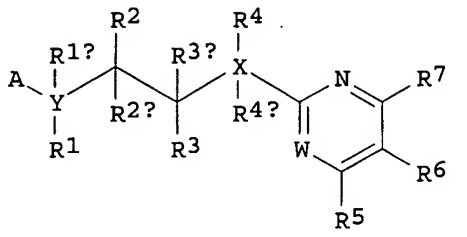


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

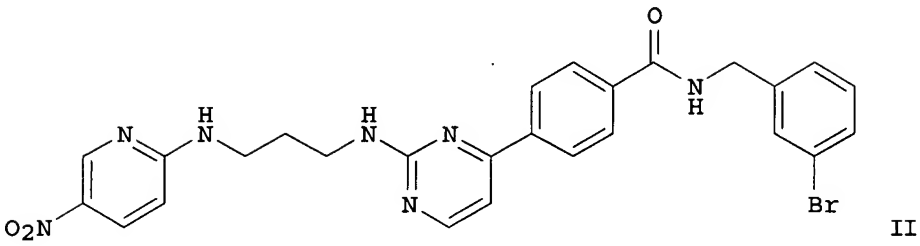
L3 ANSWER 12 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:185092 CAPLUS
DOCUMENT NUMBER: 136:247598
TITLE: Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095026	A5	20020322	AU 2001-95026	20010906
EP 1317433	A2	20030611	EP 2001-975734	20010906
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-230480P P 20000906
WO 2001-US42081 W 20010906
OTHER SOURCE(S): MARPAT 136:247598
GI



I



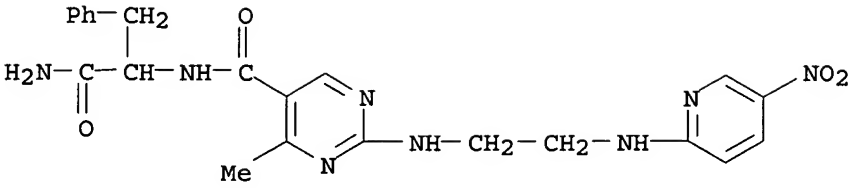
II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **403807-91-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 403807-91-0 CAPLUS

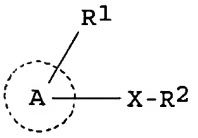
CN 5-Pyrimidinecarboxamide, N-[2-amino-2-oxo-1-(phenylmethyl)ethyl]-4-methyl-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:171866 CAPLUS
DOCUMENT NUMBER: 136:232313
TITLE: Preparation of pyrimidine derivatives as G protein-coupled receptor kinase (GRK) inhibitors
INVENTOR(S): Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018350	A1	20020307	WO 2001-JP7397	20010829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001082520	A5	20020313	AU 2001-82520	20010829
JP 2002145778	A2	20020522	JP 2001-259683	20010829

PRIORITY APPLN. INFO.: JP 2000-264499 A 20000829
WO 2001-JP7397 W 20010829
OTHER SOURCE(S): MARPAT 136:232313
GI



I

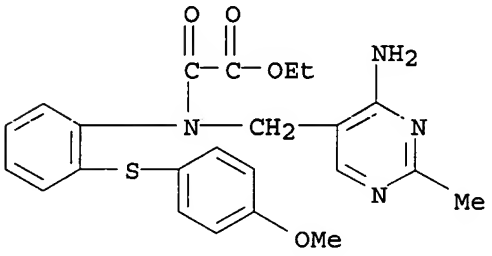
AB Disclosed are novel GRK inhibitors which contains compds. represented by the formula (I), a salt thereof, or a prodrug comprising either of these (wherein ring A represents optionally further substituted nitrogen-contg. heterocycle; R1 and R2 each represents optionally substituted amino; and X represents a spacer comprising a linear part constituted of one to four atoms, provided that R1 may be bonded to R2 or/and X to form a ring). They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide in 40 mL acetone at room temp. and stirred at 65.degree. for 64 h to give

2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at 30 .mu.M inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7 cells. A capsule and a tablet formulation contg. II were also prepd.

IT **403516-46-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure)

RN 403516-46-1 CAPLUS

CN Acetic acid, [[(4-amino-2-methyl-5-pyrimidinyl)methyl][2-[(4-methoxyphenyl)thio]phenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

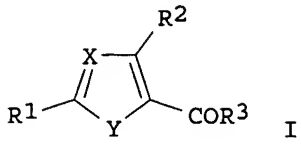
L3 ANSWER 14 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:816647 CAPLUS
DOCUMENT NUMBER: 135:357948
TITLE: Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors
INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083460	A1	20011108	WO 2001-JP2034	20010315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001041142	A5	20011112	AU 2001-41142	20010315
EP 1277741	A1	20030122	EP 2001-912373	20010315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: JP 2000-130371 A 20000428
WO 2001-JP2034 W 20010315

OTHER SOURCE(S): MARPAT 135:357948

GI



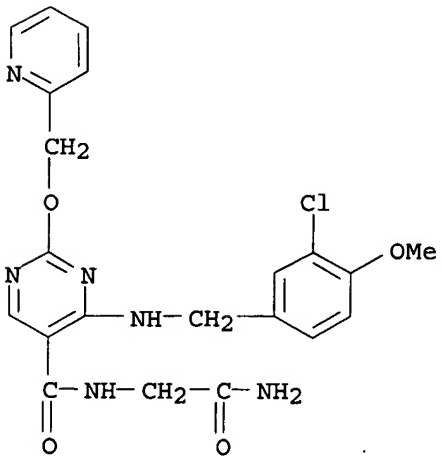
I

AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated wit NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT **372115-35-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

RN 372115-35-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(2-amino-2-oxoethyl)-4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-(2-pyridinylmethoxy)- (9CI) (CA INDEX NAME)



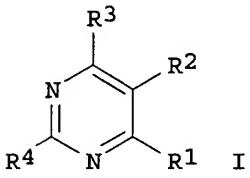
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:469358 CAPLUS
DOCUMENT NUMBER: 135:84220
TITLE: Method for development of black-and-white photographic materials
INVENTOR(S): Hirano, Mitsunori; Oka, Hiroshi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001174956	A2	20010629	JP 1999-354380	19991214

PRIORITY APPLN. INFO.: JP 1999-354380 19991214
OTHER SOURCE(S): MARPAT 135:84220
GI

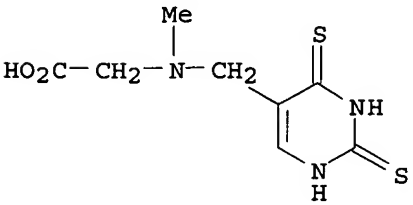


I

AB The method is for development of photog. materials comprising emulsion layer(s) contg. .gtoreq.2 emulsions having different sensitivity and .gtoreq.1 hydrophilic colloid layers contg. .gtoreq.1 non-photosensitive Ag halide particles. The process is carried out by continuous development with pH 9.0-11.0 agents contg. I (R1-4 = H, halogen, groups bonded with C, N, O, S, P; R1, R3 .noteq. OH; .gtoreq.1 of R1-4 is SM; M = H, alkali metal, ammonium) under .ltoreq.323 mL/m2 replenishment. The developing solns. may be prepd. from solid agents. Clear and stable images are obtained by exposure with image setters, without staining.

IT **194806-00-3**
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); PROC (Process); USES (Uses)
(continuous development of black-and-white photog. materials contg. non-photosensitive silver halides with pyrimidine-contg. agents)

RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

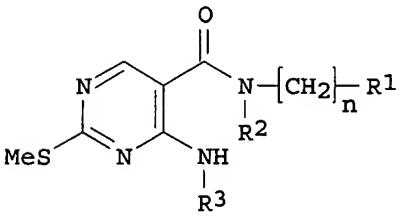
10/ 070,804

ACCESSION NUMBER: 2001:396847 CAPLUS
DOCUMENT NUMBER: 135:19650
TITLE: Preparation of novel 5-pyrimidinecarboxamides having inhibitory activity against the proliferation of human immunodeficiency virus (HIV) as well as hepatitis B virus (HBV)
INVENTOR(S): Yoon, Sung June; Lee, Sang Wook; Kim, Nam Doo; Park, Yong Kyun; Lee, Geun Hyung; Kim, Jong Woo; Park, Sang Jin; Park, Hee Jeoung; Jang, Hwan Bong
PATENT ASSIGNEE(S): Dong Wha Pharm. Ind. Co., Ltd, S. Korea
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038308	A1	20010531	WO 2000-KR1364	20001127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1235806	A1	20020904	EP 2000-981886	20001127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003514896	T2	20030422	JP 2001-540071	20001127

PRIORITY APPLN. INFO.:
KR 1999-53294 A 19991127
KR 1999-64403 A 19991229
KR 1999-64402 A 19991229
WO 2000-KR1364 W 20001127

OTHER SOURCE(S): MARPAT 135:19650
GI



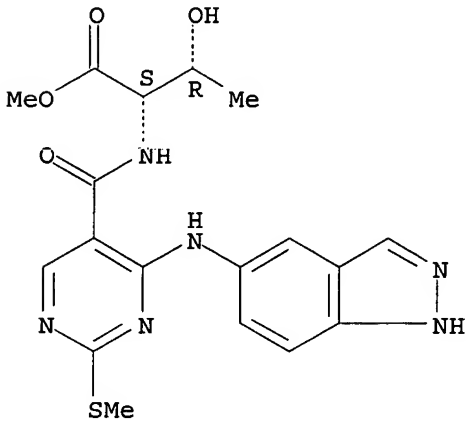
I

AB The title compds. [I; R1 = H, OH, alkyl, etc.; R2 = H, alkyl; R3 = indazol-5-yl, indazol-6-yl; n = 0-4], useful as a therapeutic agents as well as a preventive agents for hepatitis B and acquired immune deficiency syndrome (AIDS), were prepd. Thus, reacting 4-chloro-2-methylthio-5-pyrimidinecarboxylic acid Et ester with 5-aminoindazole followed by treatment of the resulting 4-(1H-5-indazolylamino)-2-methylthio-5-pyridimidinecarboxylic acid Et ester with 10% NH3/MeOH afforded I [R1, R2 = H; R3 = indazol-5-yl; n = 0] which showed 90% inhibition of HBV polymerase in reverse transcription in vitro.

10/ 070,804

IT **342637-17-6P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel 5-pyrimidinecarboxamides having inhibitory activity against the proliferation of human immunodeficiency virus (HIV) as well as hepatitis B virus (HBV))
RN 342637-17-6 CAPLUS
CN L-Threonine, N-[[4-(1H-indazol-5-ylamino)-2-(methylthio)-5-pyrimidinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:283947 CAPLUS
DOCUMENT NUMBER: 134:311217
TITLE: Preparation process and effect of pyrimidine-5-carboxamides as cGMP phosphodiesterase inhibitors for circulatory and allergic diseases and sexual dysfunction remedies
INVENTOR(S): Miwa, Tetsuo; Yamamoto, Mitsuo; Doi, Takayuki; Tarui, Naoki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027105	A1	20010419	WO 2000-JP7048	20001011
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000076835	A5	20010423	AU 2000-76835	20001011

JP 2001233875 A2 20010828 JP 2000-316833 20001011
EP 1223170 A1 20020717 EP 2000-966408 20001011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
PRIORITY APPLN. INFO.: JP 1999-289868 A 19991012
WO 2000-JP7048 W 20001011
OTHER SOURCE(S): MARPAT 134:311217
GI

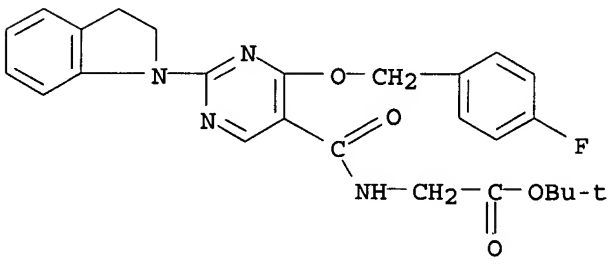
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 = nitrogen-contg. heterocycle having 1 to 5 nitrogen and attached via a constituting nitrogen; X = oxygen, nitrogen, sulfur; Y = bond, C1-5 alkylene; R2 = hydrogen, hydroxy, carbon ring; R3, R4 independently = hydrogen, ZR5; Z = bond or optionally substituted C5-10 alkylene; R5 = hydrogen, hydroxy; R3R4 = C1-8alkyl-(un)substituted heterocycle attached via nitrogen] or salts thereof are prepd. and have cGMP-specific phosphodiesterase (PDE) inhibitory activities and are usable as preventives and remedies for circulatory diseases (angina pectoris, hypertension), allergic diseases (asthma), and male or female sexual dysfunction. Thus, the title compd. II was prepd. and biol. tested for PDE inhibition with a result of IC50 = 0.304 nM.

IT **334703-21-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine-5-carboxamides as cGMP phosphodiesterase inhibitors for circulatory and allergic diseases and sexual dysfunction remedies)

RN 334703-21-8 CAPLUS

CN Glycine, N-[[2-(2,3-dihydro-1H-indol-1-yl)-4-[(4-fluorophenyl)methoxy]-5-pyrimidinyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

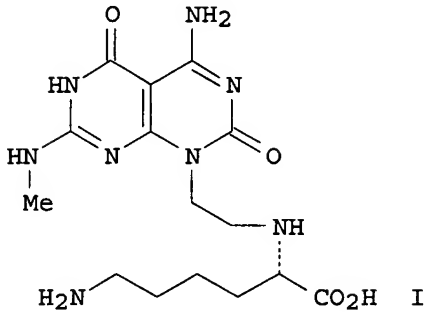


REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:229237 CAPLUS
DOCUMENT NUMBER: 135:33305
TITLE: Helical Rosette Nanotubes: Design, Self-Assembly, and Characterization
AUTHOR(S): Fenniri, Hicham; Mathivanan, Packiarajan; Vidale, Kenrick L.; Sherman, Debra M.; Hallenga, Klaas; Wood, Karl V.; Stowell, Joseph G.
CORPORATE SOURCE: Brown Chemistry Laboratory, Purdue University, West Lafayette, IN, 47907-1393, USA
SOURCE: Journal of the American Chemical Society (2001), 123(16), 3854-3855
CODEN: JACSAT; ISSN: 0002-7863

10/ 070,804

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:33305
GI



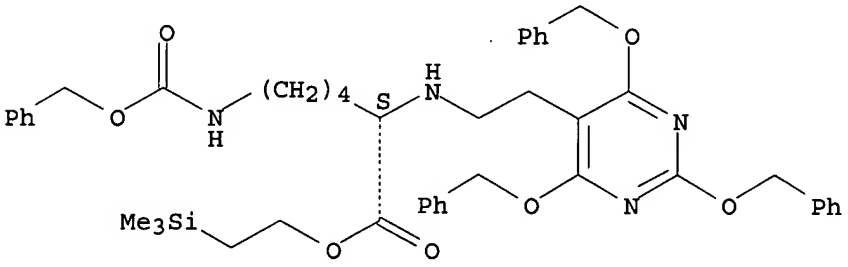
I

AB The combination of NMR (NOE), ESI-MS, and CD spectroscopies indicated that the module N-[2-(4,7-diaminopyrimido[4,5-d]pyrimidine-2,5-dione-1-yl)ethyl]-L-lysine (I.CF3CO2H) undergoes a cooperative, hierarchical self-assembly process with the formation of helically stacked rosettes (planar 6-mers) that display supramol. chirality. Dynamic light scattering revealed a narrow size distribution of columnar stacks (92% in the range 19-69 nm) with an av. apparent hydrodynamic radius of 30.4 nm. TEM provided further evidence of nanotube formation.

IT **343333-12-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(deprotection; design, self-assembly, and characterization helical rosette nanotubes)

RN 343333-12-0 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2-[2-[2,4,6-tris(phenylmethoxy)-5-pyrimidinyl]ethyl]-, 2-(trimethylsilyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:185733 CAPLUS
DOCUMENT NUMBER: 134:222728
TITLE: Preparation of pyrimidine derivatives as herbicides
INVENTOR(S): Yasuda, Atsushi; Takabe, Fumiaki; Urushibata, Ikumi; Yamaguchi, Mikio; Yamaji, Yoshihiro; Fujinami, Makoto; Miyazawa, Takeshige
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

10/ 070,804

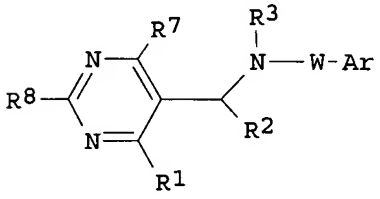
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017975	A1	20010315	WO 2000-JP6165	20000908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000068768	A5	20010410	AU 2000-68768	20000908
EP 1211246	A1	20020605	EP 2000-957066	20000908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: JP 1999-255029 A 19990909
WO 2000-JP6165 W 20000908

OTHER SOURCE(S): MARPAT 134:222728

GI



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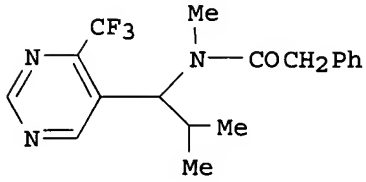
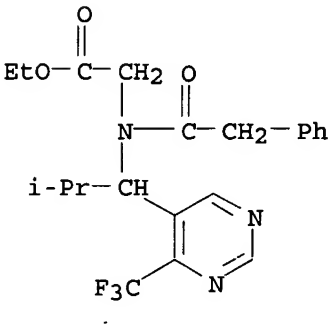


II

AB Title pyrimidine derivs. [I; R1 represents hydrogen, alkyl, haloalkyl, etc.; R2 represents alkyl, optionally substituted Ph, etc.; R3 represents hydrogen, alkyl, alkynyl, etc.; R7 represents hydrogen, halogeno, alkyl, etc.; R8 represents hydrogen, alkyl, etc.; W represents C(:Q)Z or SO2 (wherein Q represents O or S; and Z represents O, S, C(R4)R5, NR6, etc. (wherein R4 and R5 represent each hydrogen, alkyl, alkoxy, etc.; and R6 represents hydrogen or alkyl;)); and Ar represents optionally substituted Ph, optionally substituted pyridyl, etc.] which have an excellent herbicidal activity and a selectivity on crops from weeds are prepd. and herbicides contg. these pyrimidine derivs. as the active ingredient are discussed. Thus, the title compd. II was prepd. and tested.

10/ 070,804

IT **329374-87-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as herbicides)
RN 329374-87-0 CAPLUS
CN Glycine, N-[2-methyl-1-[4-(trifluoromethyl)-5-pyrimidinyl]propyl]-N-(phenylacetyl)-, ethyl ester (9CI) (CA INDEX NAME)

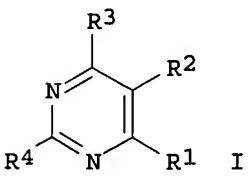


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:145003 CAPLUS
DOCUMENT NUMBER: 134:200483
TITLE: Processing method for black and white silver halide photographic material
INVENTOR(S): Hirano, Mitsunori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

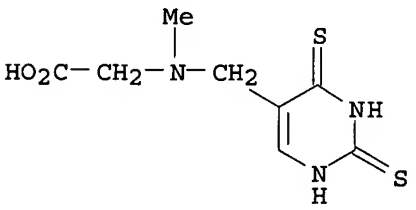
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001056537	A2	20010227	JP 1999-233304	19990819

PRIORITY APPLN. INFO.: JP 1999-233304 19990819
OTHER SOURCE(S): MARPAT 134:200483
GI



AB The material contg. 0-15 mg/m2 Ca in photog. layers, is processed with a developer with 9.0-11.0 pH, contg. I (R1-4 = H, halo, substituent to link to a ring with C, N, O, S, P; R1 .noteq. R3 .noteq. OH, .gtoreq.1 of R1-4 is SM; M = H, alkali metal, ammonium) at its replenishing rate <330 mL/m2. It prevents photog. property change, sludge, Ag stain, and processing tablet degrdn. resulting from air.

IT **194806-00-3**
RL: TEM (Technical or engineered material use); USES (Uses)
(developer; development of photog. film contg. controlled amt. of calcium ion using developer contg. pyrimidine compd.)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

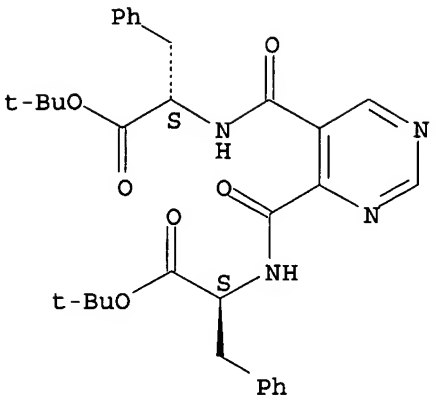


L3 ANSWER 21 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:91512 CAPLUS
DOCUMENT NUMBER: 134:131820
TITLE: Preparation of heterocyclyl peptides as aspartic protease inhibitors
INVENTOR(S): Baures, Paul W.
PATENT ASSIGNEE(S): Kansas State University Research Foundation, USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184241	B1	20010206	US 1998-139221	19980824

PRIORITY APPLN. INFO.: US 1998-139221 19980824
OTHER SOURCE(S): MARPAT 134:131820
AB This invention is directed to compds. having a heterocyclic core contg. at least two heteroatoms attached to two groups (e.g., amino acid or peptide residues) and which are aspartic protease inhibitors, in particular HIV protease, renin, pepsin and cathepsin D inhibitors. Thus, treating imidazole-4,5-dicarboxylic acid with L-phenylalanine tert-Bu ester hydrochloride in CH2Cl2 contg. 1-hydroxybenzotriazole afforded 1H-imidazole-4,5-dicarbonyldi-L-phenylalanine tert-Bu ester, which was coupled with L-valine tert-Bu ester hydrochloride to afford 1H-imidazole-4,5-dicarbonylbis(L-phenylalanyl-L-valine tert-Bu ester). Aspartic protease inhibitory activities of these two compds. and three others are shown graphically.
IT **321899-97-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl peptides as aspartic protease inhibitors)
RN 321899-97-2 CAPLUS
CN L-Phenylalanine, N,N'-(4,5-pyrimidinediyldicarbonyl)bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

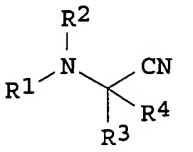
L3 ANSWER 22 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666700 CAPLUS
DOCUMENT NUMBER: 133:252170
TITLE: Preparation of novel N-cyanomethyl amides as protease inhibitors
INVENTOR(S): Bryant, Clifford M.; Bunin, Barry A.; Kraynack, Erica A.; Patterson, John W.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055125	A2	20000921	WO 2000-US6747	20000315
WO 2000055125	A3	20010426		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009042	A	20011226	BR 2000-9042	20000315
EP 1178958	A2	20020213	EP 2000-916343	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6455502	B1	20020924	US 2000-526090	20000315
US 6476026	B1	20021105	US 2000-526485	20000315
JP 2002539191	T2	20021119	JP 2000-605556	20000315
EE 200100485	A	20030217	EE 2001-485	20000315
ZA 2001007494	A	20020911	ZA 2001-7494	20010911
ZA 2001007495	A	20020911	ZA 2001-7495	20010911
NO 2001004485	A	20011105	NO 2001-4485	20010914
BG 106003	A	20020628	BG 2001-106003	20011010
HR 2001000738	A1	20021231	HR 2001-738	20011012
US 2002086996	A1	20020704	US 2001-17851	20011214
US 6593327	B2	20030715		

US 2003096796	A1	20030522	US 2002-205600		20020724
US 2003119788	A1	20030626	US 2002-241001		20020909
PRIORITY APPLN. INFO.:			US 1999-124420P	P	19990315
			US 2000-526090	A1	20000315
			US 2000-526485	A3	20000315
			WO 2000-US6747	W	20000315

OTHER SOURCE(S): MARPAT 133:252170

GI



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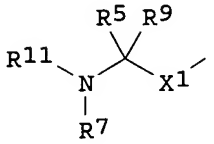
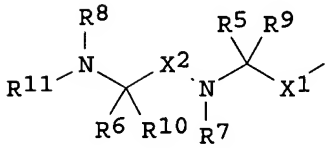
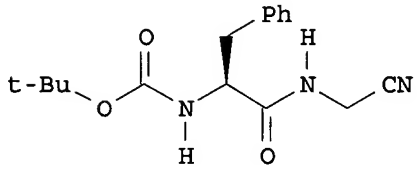


II



III



IV

AB The title compds. [I; R1 = II, III (wherein X1, X2 = CO, CH2SO2; R5, R6 = H, alkyl; R7, R8 = H, alkyl, etc.; R9, R10 = alkyl optionally substituted with CN, halo, NO2, etc.; R11 = X5X6R18; X5 = CO, COCO, SO2; X6 = a bond, O, NH, N(alkyl); R18 = alkyl optionally substituted with CN, halo, NO2, etc.); R2 = H, alkyl, etc.; R3 = H, alkyl, etc.; R4 = H, alkyl optionally substituted with CN, halo, NO2, etc.; R4 and R2 taken together form trimethylene, tetramethylene, phenylene-1,2-dimethylene, optionally substituted with hydroxy, oxo or methylene; R4 and R3 together with the carbon atom to which both are attached form cycloalkylene, heterocycloalkylene], useful for treating diseases assocd. with cysteine protease activity, particularly diseases assocd. with activity of cathepsins B, K, L or S such as inflammation and asthma, were prepd. and formulated. Thus, reacting 2(S)-tert-butoxycarbonylamino-3-phenylpropionic acid with aminoacetonitrile.HCl in the presence of Et3N in DMF and MeCN afforded the amide (1S)-IV. Biol. data for compds. I were given.

IT **294640-37-2P**

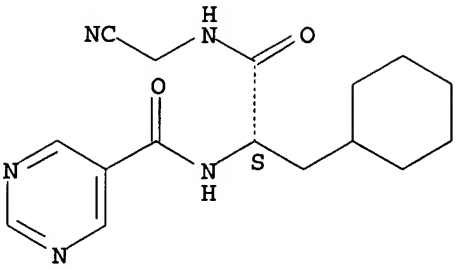
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel N-cyanomethyl amides as protease inhibitors)

RN 294640-37-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 23 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:384565 CAPLUS
DOCUMENT NUMBER: 133:28236
TITLE: Methods and compositions for performing an array of chemical reactions on a support surface
INVENTOR(S): Zebala, John A.
PATENT ASSIGNEE(S): Syntrix Biochip, Inc., USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000033084	A2	20000608	WO 1999-US28021	19991123
WO 2000033084	A3	20000810		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000018317	A5	20000619	AU 2000-18317	19991123
EP 1163374	A2	20011219	EP 1999-961813	19991123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002531470	T2	20020924	JP 2000-585669	19991123

PRIORITY APPLN. INFO.: US 1998-110527P P 19981201
US 1999-326479 A 19990604
WO 1999-US28021 W 19991123

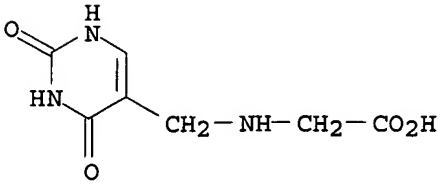
AB Compns. and methods are provided for performing regionally selective solid-phase chem. synthesis of org. compds. Such methods may employ solvent-resistant photoresist compns. to prep. arrays of org. compds., such as ligands, for use within a variety of diagnostic and drug discovery assays. Ligand-arrays may comprise, for example, nucleobase polymers that are resistant to degradative enzymes. DNA probes and enalaprilat analogs were synthesized on glass slides using a photoresist method and used in hybridization assays and ACE inhibitory activity screening.

IT **14886-75-0**
RL: DEV (Device component use); PRP (Properties); USES (Uses)
(array of nucleobase polymers contg.; methods and compns. for performing arrays of chem. reactions on support surfaces using photoresists)

RN 14886-75-0 CAPLUS

10/ 070,804

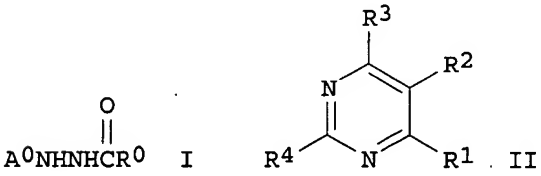
CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]- (8CI, 9CI) (CA INDEX NAME)



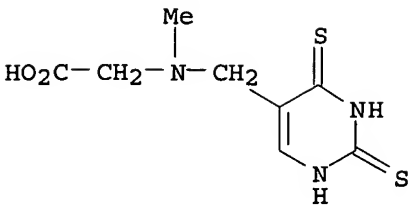
L3 ANSWER 24 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:223702 CAPLUS
DOCUMENT NUMBER: 132:258090
TITLE: Method of developing silver halide photographic material
INVENTOR(S): Hirano, Mitsunori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000098550	A2	20000407	JP 1998-286080	19980922

PRIORITY APPLN. INFO.: JP 1998-286080 19980922
OTHER SOURCE(S): MARPAT 132:258090
GI



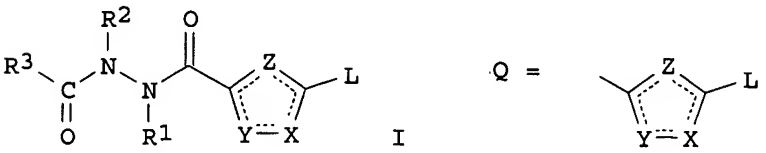
AB A Ag halide photog. material contg. .gtoreq.1 hydrazine nucleating agent is developed by a soln. which has a pH 9.0-11.0 and contains (1) dihydroxybenzene-based developing agent 0.05-0.8 mol/L, (2) 1-Ph-3-pyrazolidone- or p-aminophenol-based auxiliary developing agent 0.001-0.06 mol/L, (3) free sulfate ion .gtoreq.0.2 mol/L, and (4) I (R0 = difluoromethyl, monofluoromethyl; A0 = alkylthio, arylthio, etc.) and II (R1-4 = H, halo, etc.; M = H, alkali metal, ammonium). An amt. of the replenishment is set at .ltoreq.225 mL/m2.
IT **194806-00-3**
RL: NUU (Other use, unclassified); USES (Uses)
(method of developing silver halide photog. material)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 25 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:819241 CAPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compds. as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335876	AA	19991229	CA 1999-2335876	19990624
AU 9947237	A1	20000110	AU 1999-47237	19990624
EP 1093367	A1	20010425	EP 1999-930779	19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002518444	T2	20020625	JP 2000-555611	19990624

PRIORITY APPLN. INFO.: US 1998-90493P P 19980624
WO 1999-US14561 W 19990624
OTHER SOURCE(S): MARPAT 132:64530
GI



I

AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical

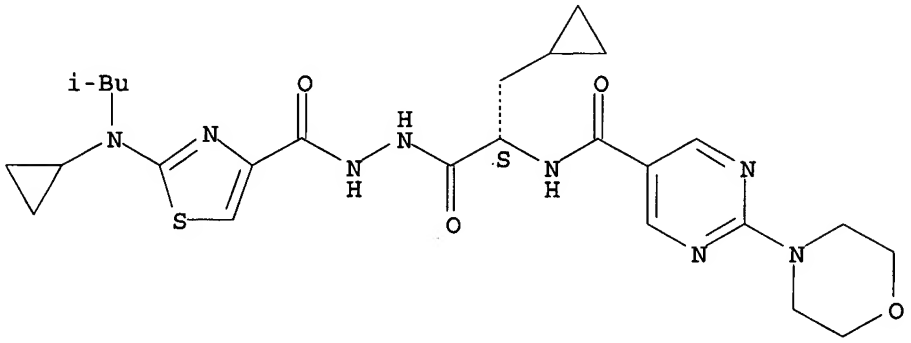
compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degrdn., including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-[N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-.beta.-tert-butylalanyl]hydrazide was prepd. via sequential reactions of Et 6-nicotinate, L-.beta.-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT **253314-54-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diacyl hydrazine compds. as protease inhibitors)

RN 253314-54-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-3-cyclopropyl-2-[[[2-(4-morpholinyl)-5-pyrimidinyl]carbonyl]amino]-1-oxopropyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



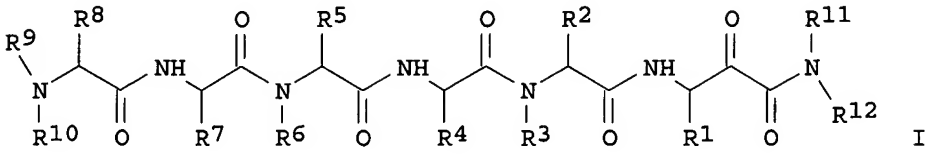
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:760024 CAPLUS
DOCUMENT NUMBER: 132:93653
TITLE: Preparation of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors
INVENTOR(S): Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Raynham, Tony Michael; Wilson, Francis Xavier
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Fr. Demande, 130 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2778406	A1	19991112	FR 1999-5650	19990504
FR 2778406	B1	20030509		
US 6187905	B1	20010213	US 1999-305030	19990504
IT 1312558	B1	20020422	IT 1999-MI950	19990504
GB 2338482	A1	19991222	GB 1999-10384	19990505
ES 2165269	A1	20020301	ES 1999-918	19990505
JP 11349597	A2	19991221	JP 1999-125419	19990506
DE 19920966	A1	20000113	DE 1999-19920966	19990506

10/ 070,804

PRIORITY APPLN. INFO.: GB 1998-9664 A 19980506
OTHER SOURCE(S): MARPAT 132:93653
GI



I

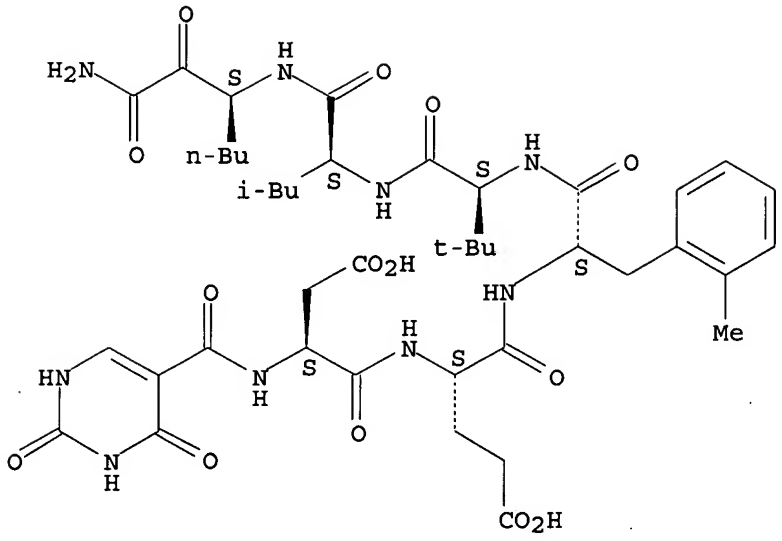
AB .alpha.-Ketoamide peptides I (R1 = alkyl, haloalkyl, cyanoalkyl, aralkyl, thioalkyl, heteroalkyl, alkenyl, alkynyl; R2 = alkyl, hydroxyalkyl, carboxyalkyl, aralkyl, aminocarbonylalkyl, cycloalkyl, arylalkoxyalkyl; R3, R6, R9 = independently H, alkyl; R2R3 = alkylidene; R4 = alkyl, hydroxyalkyl, cycloalkyl, carboxyalkyl, arylalkyl, arylalkoxyalkyl, thioalkyl, cyanoalkyl, alkenyl, aryl, heteroarylalkyl, arylsulfonylalkyl, acetamidothioalkyl, cycloalkyl; R5 = alkyl, hydroxyalkyl, thioalkyl, aralkyl, cyanoalkyl, thioalkyl, cycloalkyl, arylalkoxyalkyl, aryl, arysulfonylguanidinoalkyl, heteroarylalkyl; R7 = H, alkyl, carboxyalkyl, hydroxyalkyl, arylalkyl, cycloalkyl, heteroarylalkyl, nitroguanidinoalkyl, thioalkyl, arylalkoxycarbonylalkyl, formamidoalkyl; R8 = alkyl, cycloalkyl, carboxyalkyl, arylalkoxyalkyl, mercaptoalkyl, aryl, nitroguanidinoalkyl, thioalkyl, formamidoalkyl; R8R9 = sulfur-contg, trimethylene; R10 = alkyl, alkoxyalkylcarbonyl, acyl; R11, R12 = independently H, alkyl, aryl, arylalkyl, cycloalkyl, alkoxy, OH) were prepd. as HCV proteinase inhibitors and antiviral agents. 3(RS)-[[N-[N-[N-[N-[N-(3-carboxypropionyl)-L-.alpha.-aspartyl]-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucyl]amino]-5,5,5-trifluoro-N-[1(S)-2-naphthylethyl]-2-oxovaleramide was prepd. as antiviral HCV proteinase inhibitor (EC50 = 0.004 .mu.mol/L).

IT **254440-03-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of .alpha.-ketoamide peptides as antiviral HCV proteinase inhibitors)

RN 254440-03-4 CAPLUS

CN L-Leucinamide, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-L-.alpha.-aspartyl-L-.alpha.-glutamyl-2-methyl-L-phenylalanyl-3-methyl-L-valyl-N-[(1S)-1-(aminooxoacetyl)pentyl]- (9CI) (CA INDEX NAME)

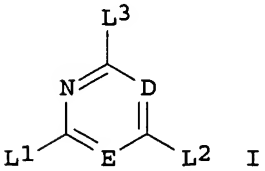
Absolute stereochemistry.



L3 ANSWER 27 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:658526 CAPLUS
DOCUMENT NUMBER: 131:293247
TITLE: Processing of silver halide photographic material containing development inhibitor-releasing redox compound
INVENTOR(S): Yamaguchi, Tetsuo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11282132	A2	19991015	JP 1998-98234	19980327

PRIORITY APPLN. INFO.: JP 1998-98234 19980327
OTHER SOURCE(S): MARPAT 131:293247
GI



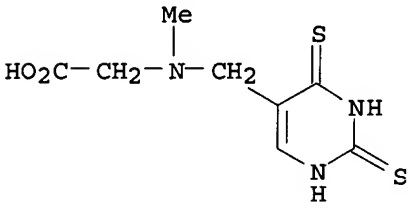
AB A Ag halide photog. material, possessing .gtoreq.1 Ag halide emulsion layer on a support and contg. .gtoreq.1 redox compd. which is oxidized to release a development inhibitor in .gtoreq.1 of the emulsion layer and other hydrophilic colloid layer, is imagewise exposed and then developed in the presence of .gtoreq.1 compd. I [D, E = :CH, :CR0 (R0 = substituent), N; L1-3 = H, halo, substituent linking to the ring by C, N, O, S or P atom, .gtoreq.1 of L1-3 and R0 is SM (M = alkali metal, H, ammonium), when E and D represent 1 N and 1 C atoms, E is N, D is C (:CH

or :CR0), and L2 and L3 are not OH]. A high contrast image with high sensitivity and Dmax, low pepper fog, and improved original reproducibility is obtained. and the material is useful for manuf. of graphic arts.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(developer contg. heterocyclic mercapto compd.)

RN 194806-00-3 CAPLUS

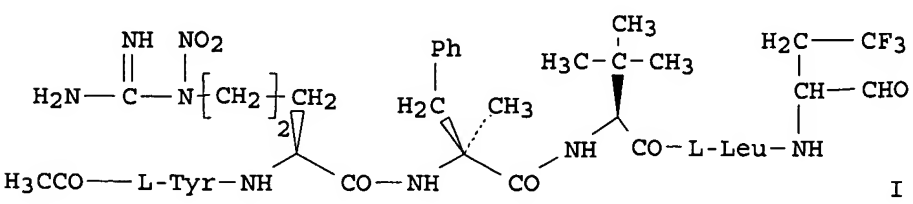
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:653384 CAPLUS
DOCUMENT NUMBER: 131:257880
TITLE: Preparation and use of amino acid derivatives as anti-viral agents
INVENTOR(S): Attwood, Michael Richard; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Raynham, Tony Michael; Wilson, Francis Xavier
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19914474	A1	19991007	DE 1999-19914474	19990330
US 6372883	B1	20020416	US 1999-265617	19990310
FR 2777891	A1	19991029	FR 1999-3872	19990329
FR 2777891	B1	20030131		
GB 2337262	A1	19991117	GB 1999-7263	19990329
JP 11322789	A2	19991124	JP 1999-85092	19990329
ES 2160046	A1	20011016	ES 1999-627	19990329
ES 2160046	B1	20020516		
IT 1311994	B1	20020322	IT 1999-MI657	19990330

PRIORITY APPLN. INFO.: GB 1998-6815 A 19980330
OTHER SOURCE(S): MARPAT 131:257880
GI



I

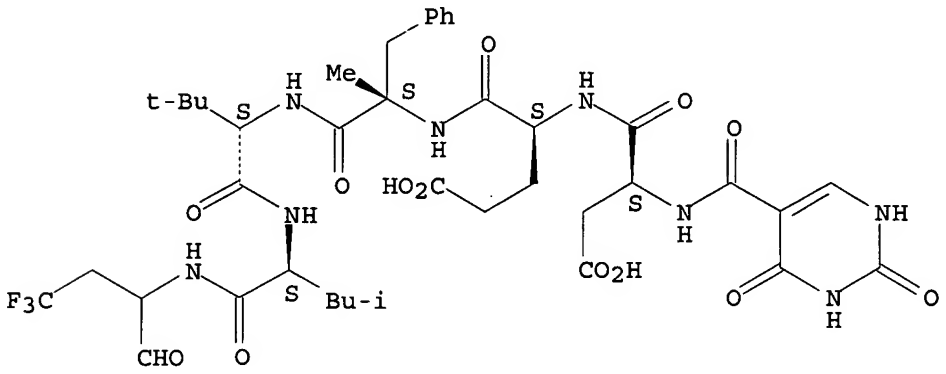
AB Pentapeptides partially composed of modified or D-amino acids C-terminated with F3CCH2CH(NH)CHO or H3CCH2CH(NH)B(OH)2 amido groups [e.g. (I)] were synthesized, using resin-support methods, as anti-hepatitis drugs. In in vitro fluorescence tests against hepatitis C virus proteinase, I had IC50 0.044 .mu.M/L.

IT **244303-09-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of in the synthesis of amino acid derivs. for use as anti-hepatitis agents)

RN 244303-09-1 CAPLUS

CN L-Leucinamide, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-L-.alpha.-aspartyl-L-.alpha.-glutamyl-.alpha.-methyl-L-phenylalanyl-3-methyl-L-valyl-N-(3,3,3-trifluoro-1-formylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 29 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:399032 CAPLUS

DOCUMENT NUMBER: 131:196237

TITLE: Calculation of relative binding free energy difference of DHFR inhibitors by a finite difference thermodynamic integration (FDTI) approach

AUTHOR(S): Kamath, Shantaram; Coutinho, Evans; Desai, Prashant

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Bombay College of Pharmacy, Mumbai, 400 098, India

SOURCE: Journal of Biomolecular Structure & Dynamics (1999), 16(6), 1239-1244
CODEN: JBSDD6; ISSN: 0739-1102

PUBLISHER: Adenine Press

DOCUMENT TYPE: Journal

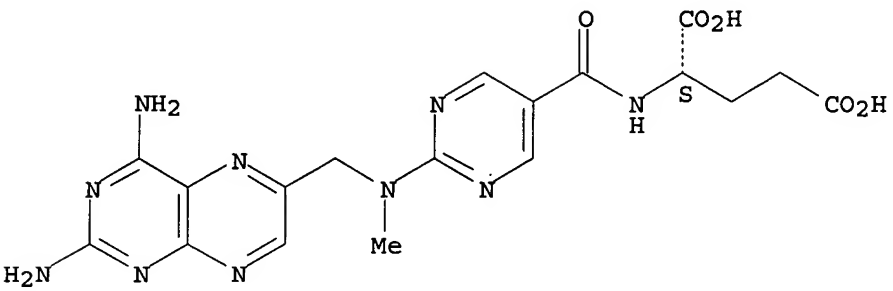
LANGUAGE: English

AB We have implemented a Finite Difference Thermodn. Integration (FDTI) approach to est. the binding free energy relative to methotrexate (MTX) of three unreported inhibitors of DHFR. The validity of the calcn. methodol. was first proved by evaluating the relative binding free energy difference for two well-known anticancer agents aminopterin and methotrexate. The usefulness of the method in drug design has been demonstrated by the fact that inhibitor 5, designed by us, was found to bind more tightly than MTX by as much as 7.5 kcal/mol and is a worthy candidate for further pharmacol. investigations.

IT **241822-90-2**
RL: PRP (Properties)
(calcn. of relative binding free energy difference of dihydrofolate reductase inhibitors by a finite difference thermodn. integration approach)

RN 241822-90-2 CAPLUS
CN L-Glutamic acid, N-[[2-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:215572 CAPLUS
DOCUMENT NUMBER: 130:262110
TITLE: Antibacterial peptide nucleic acids targeting rRNA or mRNA
INVENTOR(S): Nielsen, Peter E.; Good, Liam
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9913893	A1	19990325	WO 1998-US19199	19980916
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6300318	B1	20011009	US 1997-932140	19970916
AU 9894855	A1	19990405	AU 1998-94855	19980916
EP 1015011	A1	20000705	EP 1998-948239	19980916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001516724	T2	20011002	JP 2000-511512	19980916

PRIORITY APPLN. INFO.: US 1997-932140 A2 19970916
WO 1998-US19199 W 19980916

AB Methods of and compns. for killing or inhibiting the growth of a bacteria are disclosed. The methods comprise the use of peptide nucleic acids that are targeted to mRNA and/or rRNA. In certain embodiments, methods include the use of one or more sep. antibiotics. Thus, triplex-forming PNAs targeting rRNA inhibited Escherichia coli growth.

IT **221362-49-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antibacterial peptide nucleic acids targeting rRNA or mRNA)

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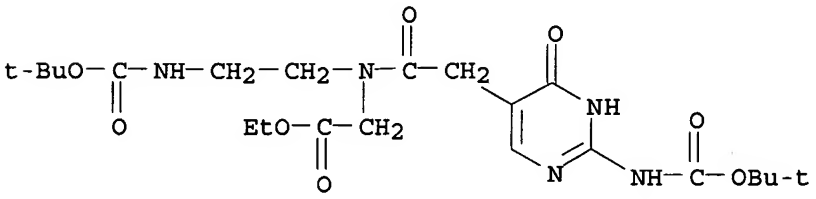
RN 221362-49-8 CAPLUS
CN Glycine, N-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1,4-dihydro-4-oxo-5-pyrimidinyl]acetyl]-N-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

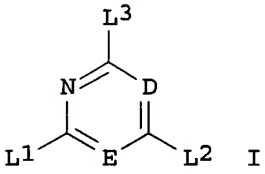


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:631956 CAPLUS
DOCUMENT NUMBER: 129:308487
TITLE: Processing of photographic material using developer containing silver stain inhibitor
INVENTOR(S): Yamamoto, Seiichi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10260512	A2	19980929	JP 1997-66575	19970319

PRIORITY APPLN. INFO.: JP 1997-66575 19970319
OTHER SOURCE(S): MARPAT 129:308487
GI



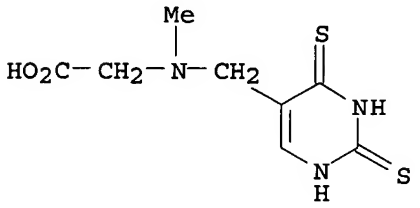
I

AB A Ag halide photosensitive material, possessing .gtoreq.1 previously fogged direct pos. emulsion layer on a support, is imagewise exposed and developed in the presence of a compd. I [D, E = :CH, :CR0 (R0 = substituent), N; L1-3 = H, halo, substituent linking to the ring by C, N, O, S or P atom, .gtoreq.1 of L1-3 and R0 has SM group (M = alkali meal, H, ammonium), when only 1 of E and D is N, E = N, D = :CH or :CR0, and L2 .noteq. L3 .noteq. OH]. The material shows low Dmin even upon processing using a low replenishment rate of the developing soln. and the formation of Ag sludges is suppressed.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(photog. developer contg. triazine or diazine compd. silver stain inhibitor)

10/ 070,804

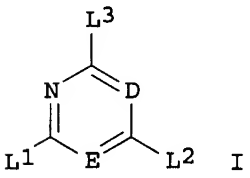
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 32 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:505248 CAPLUS
DOCUMENT NUMBER: 129:168057
TITLE: Processing of silver halide photographic material using developer containing heterocyclic compound
INVENTOR(S): Hirano, Mitsunori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

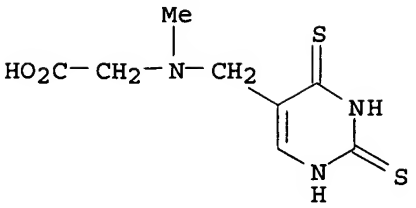
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10207019	A2	19980807	JP 1997-9824	19970122

PRIORITY APPLN. INFO.: JP 1997-9824 19970122
OTHER SOURCE(S): MARPAT 129:168057
GI



I

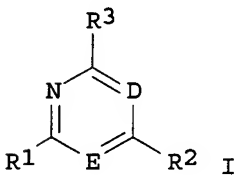
AB The title material, possessing .gtoreq.1 Ag halide emulsion layer, is developed by using a developing soln. of pH 9.0-11.0 contg. a compd. I [D, E = CH:, CR0: (R0 = substituent), N; L1-3 = H, halo, substituent linking to the ring by C, N, O, S or P atom, .gtoreq.1 of L1-3 and R0 is SM (M = alkali metal, H, ammonium), when D and E are 1 N and 1 C atoms, E = N, D = C (CH: or CR0), and L2 .noteq. L3 .noteq. OH] and an automatic developer in which the opening rate of the developing bath is .ltoreq.0.05. Low Ag stain and stable photog. properties are obsd. when the material is processed using a low replenishment rate of the developing soln.
IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(photog. developer contg. nitrogen-contg. heterocyclic mercapto compd.)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

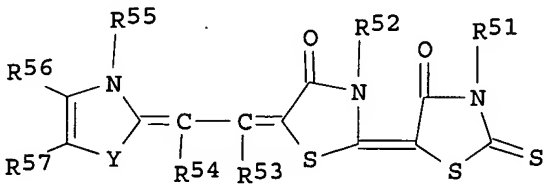


L3 ANSWER 33 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:457354 CAPLUS
DOCUMENT NUMBER: 129:142539
TITLE: Method for processing silver halide photographic material using a mercapto compound
INVENTOR(S): Yamazaki, Ichiki
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10186599	A2	19980714	JP 1996-351070	19961227
US 6200739	B1	20010313	US 1997-998431	19971224

PRIORITY APPLN. INFO.: JP 1996-351070 A 19961227
GI


I


II

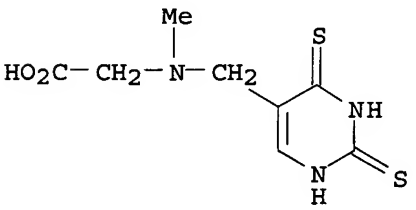
AB Claimed method for processing photog. materials comprises imagewise exposure followed by the development in presence of a mercapto compd. I (D, E = CH, CR0, N; R0 = substituent; L1-3 = H, halo, a group linked to the 6-membered ring through C, N, O, S, or P atom; at least one of substituents is SM; M = H, alkali metal atom, ammonium), in which the emulsion is spectrally sensitized by a sensitizer selected from (i) trimethylenic merocyanine dyes, (ii) meso-alkyl-benzo (or naphtho)thia (or seleno)carbocyanines, (iii) trinucleic polycarbocyanines and (i.v.) compds. II (R51-55 = H, alkyl, alkenyl, aryl; >2 of the R51-55 are water-sol. org. group; R56, R57 = alkyl, alkenyl, aryl, alkoxy, alkynyl, alkylthio, acyl, alkoxycarbonyl, arylsulfonyl, carbamoyl, sulfamoyl, OH, halo, carboxy, CN). The material preferably contains a hydrazine deriv. The processing method provides high speed and high contrast, and generates little sludge during processing, accordingly, it is suitably applied to laser scanning imaging process using image scanner films. Thus, a spectrally sensitized Ag(Br, Cl) photog. film was processed by a developer soln. contg. 2,4-dimercapto-4-(N-carboxymethyl-N-methyl-aminomethyl)pyrimidine (I).

IT **194806-00-3**
RL: TEM (Technical or engineered material use); USES (Uses)

(developer; method for processing spectrally sensitized photog. material using mercapto compd. to reduce sludge generation)

RN 194806-00-3 CAPLUS

CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 34 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:455466 CAPLUS

DOCUMENT NUMBER: 129:142535

TITLE: Method for processing silver halide photographic material using a mercapto compound

INVENTOR(S): Yoshida, Tetsuo; Watanabe, Harumi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

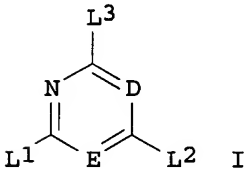
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10186598	A2	19980714	JP 1996-350838	19961227

PRIORITY APPLN. INFO.: JP 1996-350838 19961227

OTHER SOURCE(S): MARPAT 129:142535

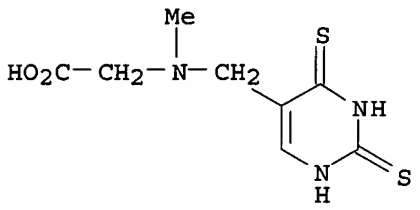
GI



AB Claimed method for processing photog. materials having surface pH of .ltoreq.6.0 comprises exposure followed by the development in presence of a mercapto compd. I (D, E = CH:, CR0:, N; R0 = substituent; L1-3 = H, halo, a group linked to the 6-membered ring through C, N, O, S, or P atom; at least one of substituents is SM; M = H, alkali metal atom, ammonium). Preferably, the developer soln. does not contain hydroquinone and does contain a reductone selected from ascorbic acid and related compds. The processing method provides high speed and high contrast, and generates little sludge during processing. Thus, a Ag(Br, Cl) photog. film contg. cross-linked acrylic acid/epoxy methacrylate copolymer (pH-controlling compd.) in the surface layer was processed by a developer soln. contg. 2,4-dimercapto-4-(N-carboxymethyl-N-methyl-aminomethyl)pyrimidine.

IT **194806-00-3**
RL: TEM (Technical or engineered material use); USES (Uses)
(developer contg.; method for processing photog. material using

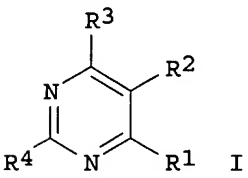
mercapto-substituted 6-membered heterocyclic compd. to reduce sludge generation)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 35 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:455465 CAPLUS
DOCUMENT NUMBER: 129:142534
TITLE: Method for processing silver halide photographic material using a developer containing a mercaptopyrimidine
INVENTOR(S): Fukui, Kota; Sasaoka, Senzo; Yamada, Kosaburo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10186596	A2	19980714	JP 1996-340246	19961219
US 5976758	A	19991102	US 1997-995146	19971219

PRIORITY APPLN. INFO.: JP 1996-340246 19961219
OTHER SOURCE(S): MARPAT 129:142534
GI



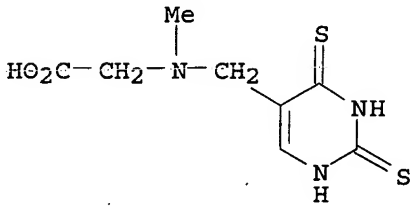
AB Claimed method for processing photog. material contg. a hydrazine deriv. in an emulsion layer or other hydrophilic colloid layer comprises imagewise exposure followed by development with a developer soln. of pH 9.0-10.5 contg. ascorbic acid, a 1-phenyl-3-pyrazolidone deriv. (auxiliary developing agent), a pyrimidine deriv. I (R1-4 = H, halo, a group linking with the pyrimidine nucleus through C, N, S, or P atom; at least one of R1-4 is mercapto group; R1 and R3 are not OH) and not contg. dihydroxybenzene. The process is free of dihydroxybenzene (hydroquinone) which is environmentally toxic, and provides high contrast images by a low pH and low replenishment process. Preferable nucleator is a polyiminothioether deriv. having dialkylamino group at both terminals. Preferable developer soln. has the pH of .ltoreq.11.0 with the replenishment rate of .ltoreq.180 mL/m2. It provides a black-and-white Ag

image with extremely high contrast and good tonal reprodn. quality. Thus, a graphic arts film contg. an 1-(2-carboxyethylcarbonyl)-2-[4-[3-(hexylthioethylureido)phenylsulfoamino]phenyl]hydrazine and bis(piperidin-1-yl-ethoxyethyl)thioether was developed by a developer soln. contg. Na erythorbate, 1-phenyl-4-methyl-4-hydroxymethyl-3-pyrazolidone and 2,6-dimercaptopyrimidine, and showed the mentioned advantages.

IT **194806-00-3**
RL: MOA (Modifier or additive use); USES (Uses)
(additive for developer; method for processing hydrazine-contg. photog. material using developer contg. mercaptopyrimidine to reduce silver sludge)

RN 194806-00-3 CAPLUS

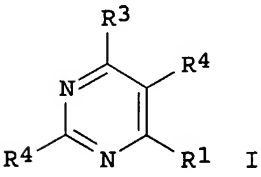
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 36 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:407864 CAPLUS
DOCUMENT NUMBER: 129:128919
TITLE: Processing of silver halide photographic material for printing platemaking
INVENTOR(S): Yoshida, Tetsuo; Watanabe, Harumi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10171079	A2	19980626	JP 1996-336133	19961216

PRIORITY APPLN. INFO.: JP 1996-336133 19961216
OTHER SOURCE(S): MARPAT 129:128919
GI



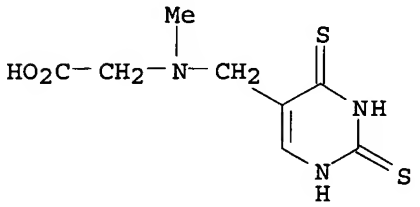
AB The title material, possessing .gtoreq.1 Ag halide emulsion layer and .gtoreq.1 protective layer contg. gelatin at .ltoreq.1.5 g/cm2 on a reflective support, is processed with a developing soln. contg. a pyrimidine deriv. I [R1-4 = H, halo, substituent which links to the ring by C, N, O, S or P atom, R1 and R3 are not OH and .gtoreq.1 of R1-4 is SM

(M = H, alkali metal, ammonium)]. The material shows high contrast and low residual color stain, and Ag sludge formation is suppressed even if the replenishment rate of the developing soln. is low.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(photog. developer contg. pyrimidine deriv. as silver stain inhibitor)

RN 194806-00-3 CAPLUS

CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 37 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:361166 CAPLUS

DOCUMENT NUMBER: 129:87969

TITLE: Development of silver halide photographic materials with pyrimidines

INVENTOR(S): Fukui, Kota; Yamada, Kozaburo

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 58 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

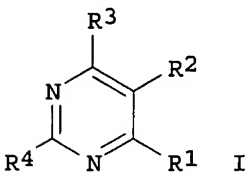
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10153841	A2	19980609	JP 1996-324883	19961121
US 5972580	A	19991026	US 1997-976342	19971121

PRIORITY APPLN. INFO.: JP 1996-324883 19961121

OTHER SOURCE(S): MARPAT 129:87969

GI



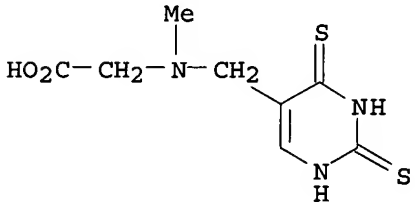
AB The title materials having .gtoreq.1 Ag halide emulsion layer on a support and contg. .gtoreq.1 hydrazine deriv. in the emulsion layer and/or .gtoreq.1 of other hydrophilic colloid layers, are imagewise exposed and processed with a developing soln. of pH 9.0-10.5 contg. .gtoreq.1 ascorbic acid deriv. as a developing agent, .gtoreq.1 of aminophenols as an auxiliary developing agent, and a pyrimidine deriv. I [R1-R4 = H, halo, substituent linking to the ring via C, N, O, S or P atom; R1 and R3 are not OH, .gtoreq.1 of R1-4 is SM (M = H, alkali metal, ammonium)], but no dihydroxybenzenes. High-contrast images are obtained without Ag stain by

a process using a developing soln. contg. no dihydroxybenzenes and a low replenishment rate.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(pyrimidine deriv., ascorbic acid deriv., and aminophenol in silver halide photog. development)

RN 194806-00-3 CAPLUS

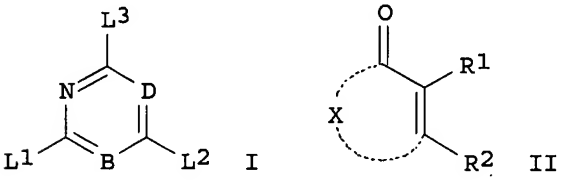
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 38 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:361165 CAPLUS
DOCUMENT NUMBER: 129:101872
TITLE: Processing of silver halide photographic materials with mercapto compounds
INVENTOR(S): Hirano, Mitsunori; Yamada, Kozaburo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10153840	A2	19980609	JP 1996-314617	19961126
US 6048675	A	20000411	US 1997-979929	19971126

PRIORITY APPLN. INFO.: JP 1996-314617 19961126
OTHER SOURCE(S): MARPAT 129:101872
GI



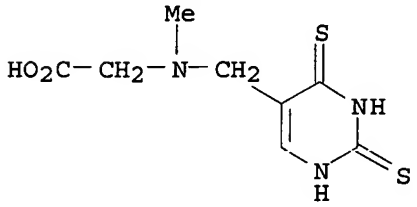
AB The title materials having .gtoreq.1 Ag halide emulsion layer, are processed with a developing soln. of pH 9.0-11.0 contg. a mercapto compd. I [D, E = CH, CR0 (R0 = substituent), N; L1-L3 = H, halo, substituent linking to the ring by C, N, O, S or P atom; .gtoreq.1 of L1-L3 and R0 is SM (M = alkali metal, H, ammonium); when E and D indicate 1 N and 1 C atoms, then E is N, D is CH or CR0, and L2 and L3 are not OH group] and a compd. II (R1, R2 = OH, amino, acylamino, alkylsulfonylamino, arylsulfonylamino, alkoxycarbonylamino, SH, alkylthio; X is composed of C, O or N atom and forms a 5- or 6-membered ring along with the 2 vinyl C

atoms and the carbonyl C atom) in a II/hydroquinone-type developing agent concn. ratio of 0.03-0.12. In the process using a developing soln. with relatively low pH, Ag stain is suppressed even if the replenishment rate is low.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(mercapto compd. and ascorbic acid deriv. in silver halide photog. development without Ag stain)

RN 194806-00-3 CAPLUS

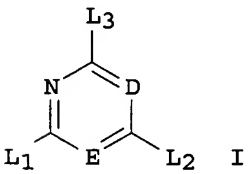
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 39 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:361164 CAPLUS
DOCUMENT NUMBER: 129:87968
TITLE: Processing of hydrazine-containing silver halide photographic material in presence of heterocyclic mercapto compound
INVENTOR(S): Oikawa, Norishige
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10153839	A2	19980609	JP 1996-314048	19961125

PRIORITY APPLN. INFO.: JP 1996-314048 19961125
GI

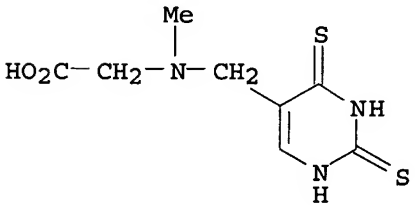


AB The method comprises development of photog. materials, which has, in the same or different layer on the support, .gtoreq.2 spectrally sensitized Ag halide emulsions with different sensitivity and which contains, in the emulsion layer or in other hydrophilic layer, a hydrazine deriv. as a nucleator in the presence of an azacyclic compd. I (D, E = CH:, CR1:, N:; R1 = substituent; L1-3 = H, halo, substituent linked with the N-contg. ring through C, N, O, S, or P atom; .gtoreq.1 of L1-3 and R1 = SM; M = alkali metal, H, NH4). A nucleation accelerator selected from amines,

onium compds., disulfides and hydroxymethyl compds. is incorporated in the emulsion layer or other hydrophilic colloid layer. I is preferably incorporated in the developer soln. The method enables high-speed processing to give high-contrast images with little sludge formation during processing. A Ag(Br, Cl) photog. film having 2 emulsion layers with different photog. speed but spectrally sensitized with the same sensitizer, i.e., 1-(3-sulfoethylbenzoxazol-2-ylidene)-3-methyl-4-(2-thio-3-carboxymethyl-4-oxothiazol-5-ylidene)butene-2, was processed with a developer soln. contg. 2,4-dimercapto-4-(N-carboxymethyl-N-methyl-aminomethyl)pyrimidine.

IT **194806-00-3**
RL: TEM (Technical or engineered material use); USES (Uses)
(developer; processing of hydrazine-contg. silver halide photog. material in presence of heterocyclic mercapto compd. to reduce sludge generation)

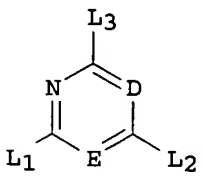
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 40 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:361163 CAPLUS
DOCUMENT NUMBER: 129:87967
TITLE: Processing of silver halide photographic materials containing mercapto compounds
INVENTOR(S): Oikawa, Tokuju
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 38 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10153838	A2	19980609	JP 1996-311537	19961122

PRIORITY APPLN. INFO.: JP 1996-311537 19961122
OTHER SOURCE(S): MARPAT 129:87967
GI



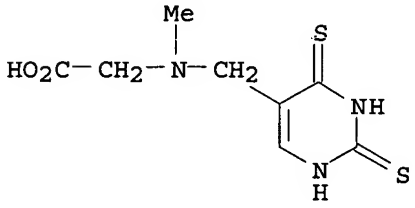
AB The title materials having, on a support, .gtoreq.1 Ag halide emulsion layer comprising Ag halide grains contg. .gtoreq.70 mol% AgCl and having a

surface layer and/or a localized phase, both of which have lower AgCl contents than the inside, on the surface, are developed in the presence of .gtoreq.1 mercaptopyridines or mercaptopyrimidines I [D, E = CH, CR0 (R0 = substituent), N; L1-L3 = H, halo, substituent linking to the ring by C, N, O, S or P atom; .gtoreq.1 of L1-L3 and R0 is SM (M = alkali metal, H, ammonium); when E and D indicate 1 N and 1 C atom, then E is N, D is CH or CR0, and L2 and L3 are not OH]. The materials show high sensitivity and contrast without formation of Ag sludge upon development.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(mercapto compd. in silver halide photog. development without Ag sludge formation)

RN 194806-00-3 CAPLUS

CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)

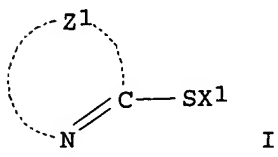


L3 ANSWER 41 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:361160 CAPLUS
DOCUMENT NUMBER: 129:101871
TITLE: Development of silver halide photographic materials
INVENTOR(S): Fukui, Kota
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10153835	A2	19980609	JP 1996-314047	19961125

PRIORITY APPLN. INFO.: JP 1996-314047 19961125
OTHER SOURCE(S): MARPAT 129:101871
GI



I

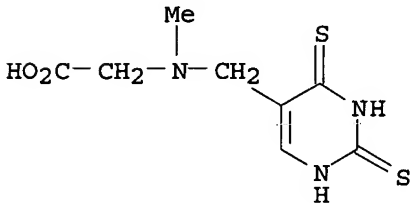
AB The title materials, possessing .gtoreq.1 Ag halide emulsion layer on a support and contg. .gtoreq.1 hydrazine deriv. in the emulsion layer and/or .gtoreq.1 of other hydrophilic colloid layers, are imagewise exposed and processed with a hydroxybenzene-free developing soln. of pH 9.0-11.0 contg. .gtoreq.1 ascorbic acid deriv. as a developing agent, a B compd. >0.1 mol/L, and a compd. I [Z1 = nonmetal atoms required to form a

(substituted) 5- or 6-membered N-contg. arom. heterocycle along with the N and C atoms, X1 = H or cation; 2 radicals from Z1 of which any 1 H atom is eliminated may link to form a bis-form structure]. High contrast images are obtained by a process using a developing soln. contg. no dihydroxybenzenes and a low replenishment rate.

IT **194806-00-3**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(photog. developer contg. ascorbic acid deriv., boron compd., and heterocyclic mercapto compd.)

RN 194806-00-3 CAPLUS

CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 42 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:352311 CAPLUS

DOCUMENT NUMBER: 129:73991

TITLE: Photographic development using sludge preventers of heterocyclic thiols and mercaptopyrimidines

INVENTOR(S): Watanabe, Harumi; Yamada, Kozaburo

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 46 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

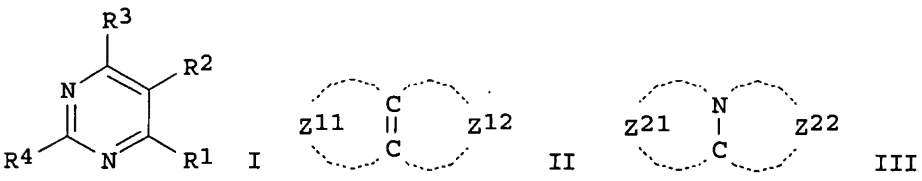
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10148917	A2	19980602	JP 1996-311102	19961121

PRIORITY APPLN. INFO.: JP 1996-311102 19961121

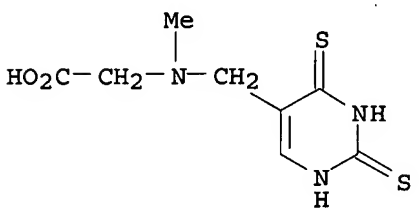
GI



AB Photog. films having .gtoreq.1 emulsion layers are developed with solns. contg. (a) pyrimidine derivs. I (R1-4 = substituent, H, halo, where .gtoreq.1 of them being SH) and (b) bicyclic compds. II or III [Z11-12, Z21-22 = 5- or 6-membered rings having .gtoreq.1 (/mol.) SH]. The sludge generation on the photog. films is suppressed.

IT **194806-00-3**
RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical

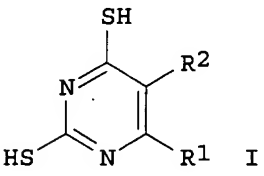
process); PROC (Process); USES (Uses)
(sludge preventers; photog. development using sludge inhibitors of heterocyclic thiols and mercaptopyrimidines)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



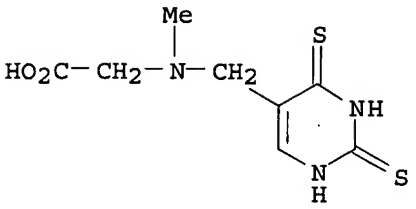
L3 ANSWER 43 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:298202 CAPLUS
DOCUMENT NUMBER: 129:34406
TITLE: Silver halide photographic developer containing pyrimidine compound
INVENTOR(S): Yamada, Kozaburo; Sasaki, Hirotomo; Watanabe, Harumi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10123677	A2	19980515	JP 1996-272281	19961015

PRIORITY APPLN. INFO.: JP 1996-272281 19961015
OTHER SOURCE(S): MARPAT 129:34406
GI



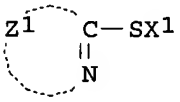
AB The developer contains a pyrimidine deriv. I (R1 = H or aliph. group; R2 = H or substituent, when R2 = H, R1 = aliph. group substituted for .gtoreq.1 water-sol. group). Black-and-white Ag halide photog. materials are imagewise exposed and then processed with the developing soln. which may contain .gtoreq.0.3 mol/L sulfites addnl. The developing soln. suppresses Ag stain without adverse effects on the photog. properties in rapid processing of the materials.
IT **194806-00-3P**
RL: MOA (Modifier or additive use); PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(photog. developer contg. mercaptopyrimidine compd.)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 44 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:745920 CAPLUS
DOCUMENT NUMBER: 128:68412
TITLE: Method for development of silver halide photographic photosensitive material using ascorbic acid as a developing agent
INVENTOR(S): Okutsu, Eiichi; Yamada, Kozaburo; Hirano, Shigeo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09297379	A2	19971118	JP 1997-29766	19970129

PRIORITY APPLN. INFO.: JP 1996-75356 19960305
OTHER SOURCE(S): MARPAT 128:68412
GI

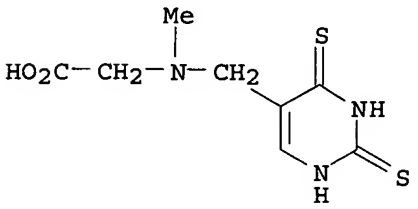


I

AB A silver halide photosensitive photog. material of swelling ratio 130-250%, possessing at least one silver halide emulsion layer contg. planar silver halide grains of aspect ratio .gtoreq.3.0 which occupy .gtoreq.50% of the total projection area of the entire silver halide grains, is processed by a developer liq. contg. ascorbic acid or its deriv. (e.g., erythorbic acid sodium salt) as the developing agent and a mercaptoheterocycle represented by formula (I; Z1 = a group of atoms necessary to form a 5- to 6-membered ring together with the N and C atom; X1 = H, cation; or two groups of I derived by removing any H atom from Z1 may be joined together to form a bis structure). In this development method, replenishment of the developer liq. is limited to .ltoreq.200 mL per 1 m2 of the photog. material. This process is used for development of a X-day film and uses ascorbic acid instead of toxic hydroquinone as the developing agent and stably provides the high sensitivity film and markedly reduces silver stains of the film under long-term running (2 mo) while limiting replenishment of the developer liq. to lower the cost of treating the waste developer liq. of high C.O.D and B.O.D.

IT **194806-00-3**
RL: TEM (Technical or engineered material use); USES (Uses)
(method for development of silver halide photog. photosensitive material using developer contg. ascorbic acid as developing agent and

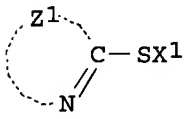
mercaptoheterocycles)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 45 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:553933 CAPLUS
DOCUMENT NUMBER: 127:227386
TITLE: Method for development of silver halide photographic material
INVENTOR(S): Sasaoka, Senzo; Sasaki, Hirotomo
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 789271	A1	19970813	EP 1997-101987	19970207
R: DE, FR, GB				
JP 09274288	A2	19971021	JP 1996-292953	19961105

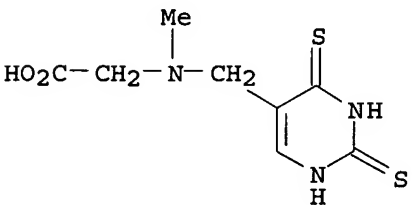
PRIORITY APPLN. INFO.: JP 1996-44077 19960207
OTHER SOURCE(S): MARPAT 127:227386
GI



I

AB A method for continuously developing an exposed silver halide photog. material contg. a hydrazine deriv. by an automatic processor is carried out by using a developer being substantially free from a dihydroxybenzene compd. and contg. an ascorbic acid and/or a deriv. thereof as a developing agent, an aminophenol deriv. as an auxiliary developing agent which exhibits a superadditive property and at least 0.5 mol/L of a carbonate as a buffer and the developer being replenished with a developing replenisher having a pH at least 0.2 higher than that of the starting developing soln. In a preferred embodiment, the developing replenisher contains a silver stain inhibitor represented by the formula I (Z1 = a nonmetallic at. group necessary to form a 5- or 6-membered nitrogen-contg. arom. heterocyclic ring together with the nitrogen and carbon atoms in the compd. and having R1 and (SX2)n as substituent groups; R1 = H, halogen, or a substituent group connected to the ring by a carbon, oxygen, nitrogen, or sulfur atom; X1, X2 = H or a cation; n = an integer of 0, i, or 2).
IT **194806-00-3**

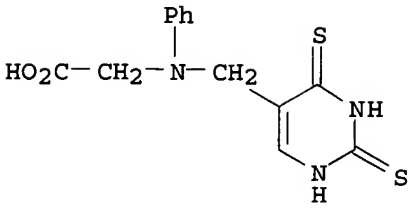
RL: TEM (Technical or engineered material use); USES (Uses)
(silver stain inhibitor for replenishing solns. for photog. developers)
RN 194806-00-3 CAPLUS
CN Glycine, N-methyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 46 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:553901 CAPLUS
DOCUMENT NUMBER: 127:227383
TITLE: Developer for silver halide photographic photosensitive material
INVENTOR(S): Sasaki, Hirotomo; Watanabe, Harumi; Yamada, Kohzaburoh
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 100 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 789272	A1	19970813	EP 1997-102000	19970207
R: DE, FR, GB				
JP 09211810	A2	19970815	JP 1996-44040	19960207
JP 09211806	A2	19970815	JP 1996-44023	19960207
JP 09274289	A2	19971021	JP 1996-254572	19960926
US 5840472	A	19981124	US 1997-797633	19970207

PRIORITY APPLN. INFO.: JP 1996-44023 19960207
JP 1996-44040 19960207
JP 1996-44060 19960207
JP 1996-254572 19960926
OTHER SOURCE(S): MARPAT 127:227383
AB A developer for a silver halide photog. photosensitive material contg. at least one of monocyclic dimercaptotriazine compds., bis-type dimercaptotriazine compds., mercapto-1,2,4-triazine compds., and dimercaptopyrimidine compds. and a process for developing a silver halide photog. photosensitive material using the developer are disclosed. The compds. of the present invention provides excellent effect in preventing silver stains without affecting the photog. properties of the photog. material.
IT **194982-77-9**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. developers contg.)
RN 194982-77-9 CAPLUS
CN Glycine, N-phenyl-N-[(1,2,3,4-tetrahydro-2,4-dithioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 47 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:119217 CAPLUS
DOCUMENT NUMBER: 126:131753
TITLE: PNA-DNA chimeras and PNA synthons for their preparation
INVENTOR(S): Gildea, Brian D.; Coull, James M.
PATENT ASSIGNEE(S): Perseptive Biosystems, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640709	A1	19961219	WO 1996-US7844	19960529
W: JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 840741	A1	19980513	EP 1996-917840	19960529
R: DE, GB				
JP 2001518054	T2	20011009	JP 1997-500780	19960529
US 6063569	A	20000516	US 1997-910552	19970811
US 6265559	B1	20010724	US 2000-569564	20000512

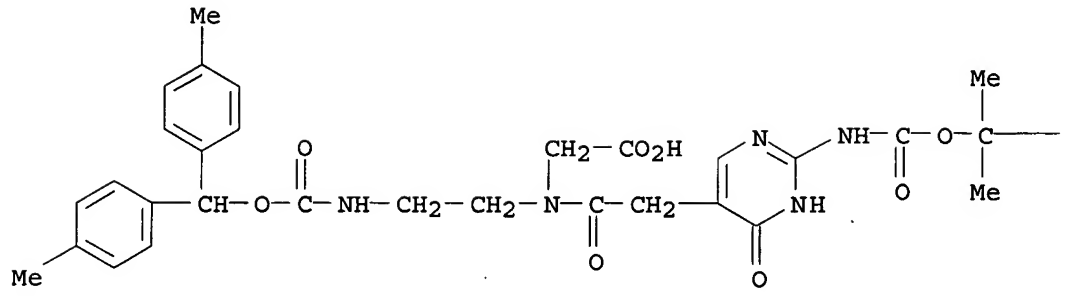
PRIORITY APPLN. INFO.: US 1995-480228 A 19950607
WO 1996-US7844 W 19960529
US 1997-910552 A3 19970811
OTHER SOURCE(S): MARPAT 126:131753

AB A method is disclosed for the prepn. of novel peptide nucleic acid (PNA) synthons compatible with DNA synthetic reagents and instrumentation. Accordingly, the PNA synthons of this invention are particularly suitable for the prepn. of PNA-DNA chimeras, among other oligomers. The PNA synthons are designed to have a protecting group strategy which is orthogonal and allows removal of the protecting groups under mild conditions. Generally, an acid labile protected backbone is coupled to a nucleobase side chain moiety to form the PNA synthon. A novel method for synthesizing the acid labile protected backbone also is described. In addn., novel compns. of matter are disclosed.

IT **186188-75-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptide nucleic acid-DNA chimeras and PNA synthons for their prepn.)

RN 186188-75-0 CAPLUS
CN Glycine, N-[2-[[[bis(4-methylphenyl)methoxy]carbonyl]amino]ethyl]-N-[[2-[[(2-cyano-1,1-dimethylethoxy)carbonyl]amino]-1,4-dihydro-4-oxo-5-pyrimidinyl]acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

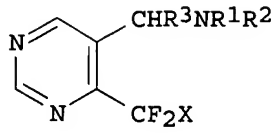
— CH_2 — CN

L3 ANSWER 48 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:580283 CAPLUS
DOCUMENT NUMBER: 125:221859
TITLE: Preparation of novel pyrimidine derivatives as agricultural fungicides and insecticides
INVENTOR(S): Satow, Jun; Kondo, Yasuo; Kudo, Yoshihiro; Mikashima, Takumi; Watanabe, Junichi; Ohya, Hiroshi; Nishioka, Masanori; Sasabe, Shigeru; Furusato, Takashi
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622980	A1	19960801	WO 1996-JP129	19960125
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
JP 11228545	A2	19990824	JP 1996-4039	19960112
AU 9644960	A1	19960814	AU 1996-44960	19960125

PRIORITY APPLN. INFO.:
JP 1995-11894 19950127
JP 1995-228130 19950905
JP 1996-4039 19960112
WO 1996-JP129 19960125

OTHER SOURCE(S): MARPAT 125:221859
GI



I

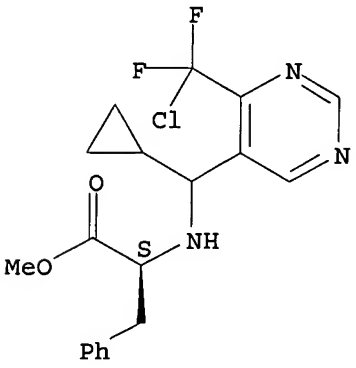
AB The title compds. [I; R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, (un)substituted Ph, etc.; NR1R2 = 3-8 membered heterocyclyl; R3 = C1-8 alkyl, C3-6 cycloalkyl, etc.; X = halo, etc.] are prepd. Thus, 4-chlorodifluoromethyl-5-(1-chloro-1-cyclopropylmethyl)pyrimidine (prepn. given) was refluxed with morpholine in isopropanol to give I [X = Cl; R1 and R2 formed together: 1-morpholinyl; R3 = 1-cyclopropylmethyl] (II). II at 500 ppm demonstrated 100% bacteriostasis for Sphaerotheca fuliginea.

IT **181366-64-3P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of pyrimidine derivs. as agricultural fungicides and insecticides)

RN 181366-64-3 CAPLUS

CN L-Phenylalanine, N-[[4-(chlorodifluoromethyl)-5-pyrimidinyl]cyclopropylmethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 49 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:265320 CAPLUS

DOCUMENT NUMBER: 124:317794

TITLE: Peptide nucleic acids and bis-peptide nucleic acids containing C-pyrimidines and isopyrimidines

INVENTOR(S): Egholm, Michael; Nielsen, Peter; Buchardt, Ole; Dueholm, Kim L.; Christensen, Leif; Coull, James M.; Kiely, John; Griffith, Michael

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA; Perseptive Biosystems; Buchardt, Dorte

SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 17

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9602558 A1 19960201 WO 1995-US9084 19950713
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 6451968 B1 20020917 US 1994-275951 19940715
AU 9531967 A1 19960216 AU 1995-31967 19950713
EP 773950 A1 19970521 EP 1995-928084 19950713
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 10503759 T2 19980407 JP 1995-505245 19950713
JP 3326181 B2 20020917 JP 1996-505245 19950713
US 6441130 B1 20020827 US 1998-765798 19980628
PRIORITY APPLN. INFO.: US 1994-275951 A 19940715
DK 1991-986 A 19910524
DK 1991-987 A 19910524
DK 1992-510 A 19920415
WO 1992-EP1219 W 19920522
US 1993-88658 A2 19930702
US 1993-88661 A2 19930702
US 1993-108591 A2 19931122
WO 1995-US9084 W 19950713

AB Novel peptide nucleic acids and novel linked peptide nucleic acids, form triple stranded structures with nucleic acids. The peptide nucleic acids include ligands such as naturally occurring nucleobases attached to a peptide backbone through a suitable linker. Other nucleobases including C-pyrimidines and iso-pyrimidines can be used as the ligands in Hoogsteen strands to increase binding affinity. Two peptide nucleic acid strands are joined together with a linker to form a bis-peptide nucleic acid. The individual strands of the peptide nucleic acids in the bis compds. can be oriented either parallel or antiparallel to each other.

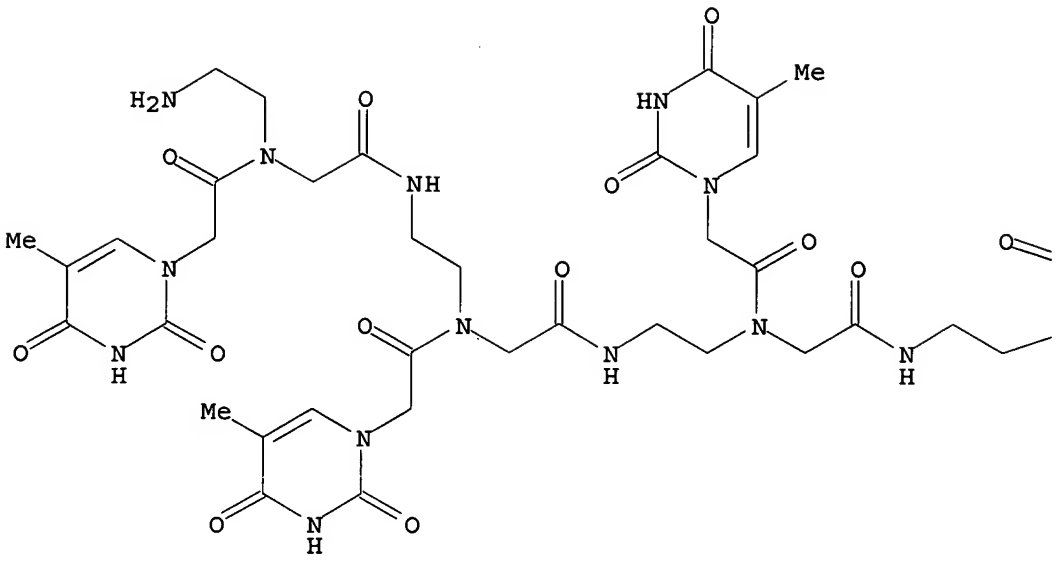
IT **164535-69-7P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(peptide nucleic acids and bis-peptide nucleic acids contg. C-pyrimidines and isopyrimidines)

RN 164535-69-7 CAPLUS

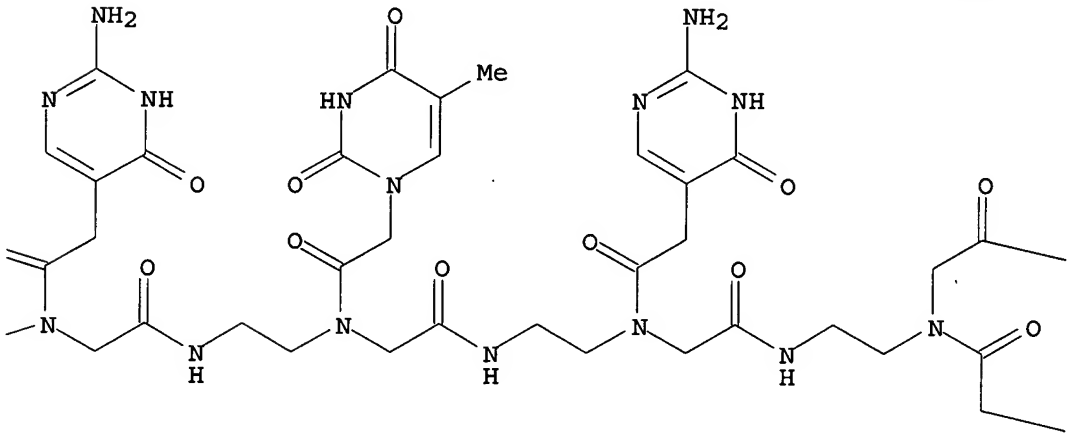
CN Peptide nucleic acid, (H-T-T-T-[1'-(2-amino-1,4-dihydro-4-oxo-5-pyrimidinyl)-1'-de(6-amino-9H-purin-9-yl)]A-T-A-T)-Lys-NH2 (9CI) (CA INDEX NAME)

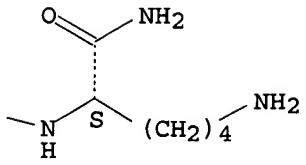
Absolute stereochemistry.

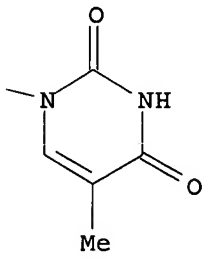
PAGE 1-A



PAGE 1-B





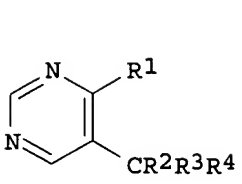


L3 ANSWER 50 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:881361 CAPLUS
DOCUMENT NUMBER: 123:286073
TITLE: Preparation of 4,5-disubstituted pyrimidine derivatives as herbicides
INVENTOR(S): Satow, Jun; Kondo, Yasuo; Kudo, Yoshihiro; Mikashima, Takumi; Nawamaki, Tsutomu; Ito, Yoichi; Sudo, Kazuhisa; Nakahira, Kunimitsu; Watanabe, Shigeomi; Ishikawa, Kimihiro
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 251 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

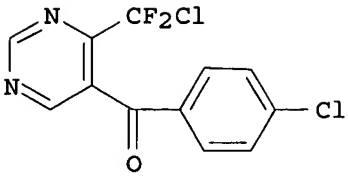
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9512582	A1	19950511	WO 1994-JP1847	19941101
W: AU, BG, BR, CA, CN, CZ, HU, KR, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 08073441	A2	19960319	JP 1994-247466	19941013
AU 9480045	A1	19950523	AU 1994-80045	19941101
CN 1133589	A	19961016	CN 1994-193944	19941101
EP 764641	A1	19970326	EP 1994-931203	19941101
R: CH, DE, ES, FR, GB, IT, LI, NL				
US 5716904	A	19980210	US 1996-635950	19960501

PRIORITY APPLN. INFO.: JP 1993-273392 19931101
JP 1994-89904 19940427
JP 1994-127456 19940609
JP 1994-131709 19940614
JP 1994-144774 19940627
JP 1994-247466 19941013
WO 1994-JP1847 19941101

OTHER SOURCE(S): MARPAT 123:286073
GI



I



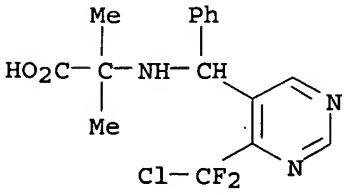
III

AB 4,5-Disubstituted pyrimidine derivs. represented by general formula [I; R1 = C1-2 haloalkyl; R2 = C1-8 alkyl, C3-8 cycloalkyl, (un)substituted Ph, thienyl, furyl, pyridyl, C1-6 haloalkyl, C3-6 halocycloalkyl, C3-8 alkenyl or alkynyl, C1-2 sulfonyl-C1-4 alkyl, C1-4 alkylthio-C3-6 cycloalkyl; R3 = halo, SH, NH2, OR5, phenyl-C2-6 (un)branched (un)satd. carbon chain group, C1-4 alkoxycarbonyl-C2-6 (un)branched (un)satd. carbon chain group, (un)substituted benzoyl, SR5, substituted NH2, etc.; wherein R5 = C1-8 alkyl, alkenyl, or alkynyl, (un)substituted CONH2 or C(S)NH2, C1-4 hydroxyalkyl, di(C1-6 alkyl)aminosulfonyl, C1-6 alkylcarbonyl, C1-6 alkoxycarbonyl, etc.; R4 = H, halo, C1-6 alkyl or alkoxy, C3-6 cycloalkyl, C3-8 alkenyl or alkynyl, C1-6 haloalkyl, C3-6 halocycloalkyl or cycloalkoxy, C3-8 alkenyloxy or alkynyloxy, C1-6 haloalkoxy, C3-6 halocycloalkoxy, C1-6 alkylthio, (un)substituted Ph; or CR3R4 forms NH, NNH2, NOH, NOR5, NO-ZR5, (un)substituted 5- or 6-membered ring consisting of groups or an atom selected from O, CH2, CH2CH2, and CH2C(:CH2), etc.; wherein Z = C2-6 (un)branched (un)satd. carbon chain group] are prepd. Thus, Et chlorodifluoroacetate was slowly added to NaOMe in Et2O under ice-cooling and stirred at room temp. for 12 h to give ClCF2COCH2 COC6H4Cl-p, which was refluxed with Et orthoformate and Ac2O for 4 days to give crude ClCF2COC(:CHOEt)COC6H4Cl-p (II). H2NCH:NH.AcOH was added to a soln. of NaOMe in MeOH and stirred at room temp. for 15 min, followed by slowly adding II under ice-cooling, and the resulting mixt. was refluxed for 2 h to give a title compd. 4-(chlorodifluoromethyl)pyrimidine deriv. (III). I (R1 = CF2Cl, R2 = Ph, R3 = NMeEt, R4 = H) at 10 g/are (preemergence) completely killed 6 weeds including Echinochloa crus-galli, Scirpus juncoides, Monochoria vaginalis, Rotala indica, Sagittaria pygmaea, and Cyperus serotinus in flooded soil and did not harm rice seedlings. A total of 188 I were prepd. and tested for herbicidal activity.

IT **169374-07-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-(haloalkyl)pyrimidine derivs. as herbicides)

RN 169374-07-6 CAPLUS

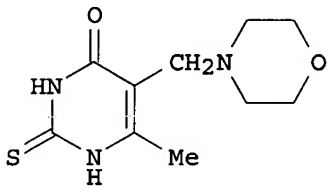
CN Alanine, N-[[4-(chlorodifluoromethyl)-5-pyrimidinyl]phenylmethyl]-2-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 51 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:616104 CAPLUS
DOCUMENT NUMBER: 123:111724

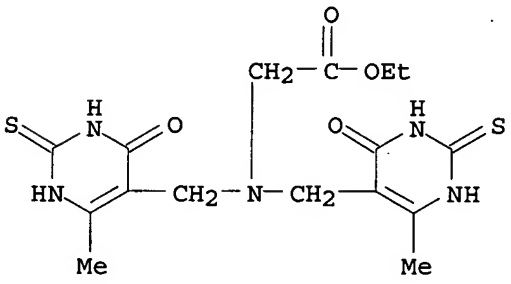
10/ 070,804

TITLE: Synthesis of different 5-methylamino and 5- imino derivatives of 6-methyl-2-thiouracil
AUTHOR(S): Haikal, A.A.; Ahmed, A.F. Sayed
CORPORATE SOURCE: Faculty of Science, Zagazig University, Zagazig, Egypt
SOURCE: Communications de la Faculte des Sciences de l'Universite d'Ankara, Series B: Chemistry and Chemical Engineering (1994), Volume Date 1992, 38(1-2), 1-7
CODEN: CFBEEC
PUBLISHER: University of Ankara, Faculty of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



II

AB Condensations of 5-chloromethyl-6-methyl-2-thiouracil (I) and the corresponding 5-formyl analog with different amines were completely studied. Thus, reacting I with morpholine gave morpholinothiouracil II.
IT **155439-42-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of methylamino- and imino-thiouracil derivs.)
RN 155439-42-2 CAPLUS
CN Glycine, N,N-bis[(1,2,3,4-tetrahydro-6-methyl-4-oxo-2-thioxo-5-pyrimidinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 52 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:545138 CAPLUS
DOCUMENT NUMBER: 123:3652
TITLE: Prebiotic synthesis of 5-substituted uracils: a bridge between the RNA world and the DNA-protein world
AUTHOR(S): Robertson, Michael P.; Miller, Stanley L.
CORPORATE SOURCE: Dep. Chem. Biochem., Univ. California, San Diego, La Jolla, CA, 92093, USA
SOURCE: Science (Washington, D. C.) (1995), 268(5211), 702-5
CODEN: SCIEAS; ISSN: 0036-8075
PUBLISHER: American Association for the Advancement of Science
DOCUMENT TYPE: Journal
LANGUAGE: English

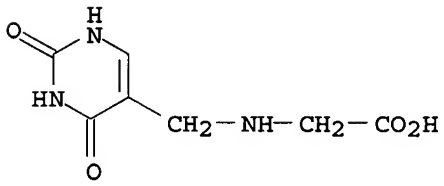
10/ 070,804

AB Under prebiotic conditions, formaldehyde adds to uracil at the C-5 position to produce 5-hydroxymethyluracil with favorable rates and equil. Hydroxymethyluracil adds a variety of nucleophiles such as ammonia, glycine, guanidine, hydrogen sulfide, hydrogen cyanide, imidazole, indole, and phenol, to give 5-substituted uracils with the side chains of most of the 20 amino acids in proteins. These reactions are sufficiently robust that if uracil had been present on the primitive earth, then these substituted uracils would also have been present. The ribozymes of the RNA world would have included many of the functional groups found in proteins today, and their catalytic activities may been been considerably greater than presently assumed.

IT **14886-75-0**
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative)
(prebiotic synthesis of 5-substituted uracils and bridge between RNA world and DNA-protein world)

RN 14886-75-0 CAPLUS

CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 53 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:538873 CAPLUS

DOCUMENT NUMBER: 122:309430

TITLE: Characterization of a Novel, Stable Norcaradiene Adduct Resulting from the Inactivation of Thymine Hydroxylase by 5-Ethynyluracil

AUTHOR(S): Lai, Ming-tain; Wu, Wei; Stubbe, JoAnne

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA

SOURCE: Journal of the American Chemical Society (1995), 117(18), 5023-30
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

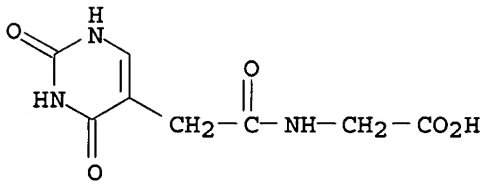
AB Thymine hydroxylase is an .alpha.-ketoglutarate, non-heme iron-dependent dioxygenase that catalyzes the conversion of thymine to its corresponding alc., aldehyde, and carboxylic acid in three steps, each accompanied by the conversion of .alpha.-ketoglutarate to succinate and CO2. Studies by Thornburg and Stubbe (Biochem. 1993, 32, 14034) showed that incubation of thymine hydroxylase with 5-ethynyluracil resulted in the prodn. of 5-(carboxymethyl)uracil and uracil-5-acetylglycine and inactivation of the protein by covalent modification. Tryptic digestion of the inactivated protein followed by isolation of the modified peptides and their anal. by mass spectrometry revealed sequences (N)SIAFXSNPSLR, in which X was proposed to be a modified tyrosine residue. Recent efforts to clone the gene for thymine hydroxylase fortuitously resulted in isolation of the unmodified peptide. Sequencing of this peptide established that the amino acid residue modified by 5-ethynyluracil is a phenylalanine and not the predicted tyrosine!. Two sets of expts. have been carried out to reveal the structure of the 5-ethynyluracil-modified phenylalanine. Incubation of 5-ethynyluracil with thymine hydroxylase in the presence of 18O2

revealed, subsequent to tryptic digestion and peptide isolation, that two atoms of oxygen derived from 18O2 have been incorporated. A similar expt. using [2-14C]-5-[1',2'-13C2]ethynyluracil resulted in the isolation of a sufficient amt. of modified peptide for anal. by 1D and 2D NMR spectroscopy. This anal. revealed a novel 7-carboxylated norcaradiene moiety. A mechanism involving partitioning of a carbene intermediate between insertion into the phenylalanine residue of the protein and rearrangement to generate a ketene is proposed to account for the structure of the peptide adduct and the previously characterized small mol. products (5-(carboxymethyl)uracil and uracil-5-acetylglycine). 18O2-Labeling expts. and the presence of a carboxylic acid in the adduct suggest that thymine hydroxylase carries out a second hydroxylation reaction while the oxidized inhibitor is covalently bound in the enzyme's active site.

IT **152551-85-4**
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(characterization of a norcaradiene adduct resulting from inactivation of thymine hydroxylase by 5-ethynyluracil)

RN 152551-85-4 CAPLUS

CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)acetyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 54 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:393518 CAPLUS

DOCUMENT NUMBER: 123:105529

TITLE: Efficient pH-independent sequence-specific DNA binding by pseudoisocytosine-containing bis-PNA

AUTHOR(S): Egholm, Michael; Christensen, Leif; Dueholm, Kim L.; Buchardt, Ole; Coull, James; Nielsen, Peter E.

CORPORATE SOURCE: Dep. Organic Chemistry, Oersted Inst., Copenhagen, DK 2100, Den.

SOURCE: Nucleic Acids Research (1995), 23(2), 217-22
CODEN: NARHAD; ISSN: 0305-1048

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis and DNA binding properties of bis-PNA (peptide nucleic acid) are reported. Two PNA segments each of seven nucleobases in length were connected in a continuous synthesis via a flexible linker composed of three 8-amino-3,6-dioxaoctanoic acid units. The sequence of the first strand was TCTCTTT (C- to N-terminal), while the second strand was TTTCTCT or TTTJTJT, where J is pseudoisocytosine. These bis-PNAs from triple-stranded complexes of somewhat higher thermal stability than monomeric PNA with complementary oligonucleotides and the thermal melting transition shows very little hysteresis. When the J base is placed in the strand parallel to the DNA complement ('Hoogsteen strand'), the DNA binding was pH independent. The bis-PNAs were also superior to monomeric PNAs for targeting double-stranded DNA by strand invasion.

IT **164535-69-7**
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(efficient pH-independent sequence-specific DNA binding by

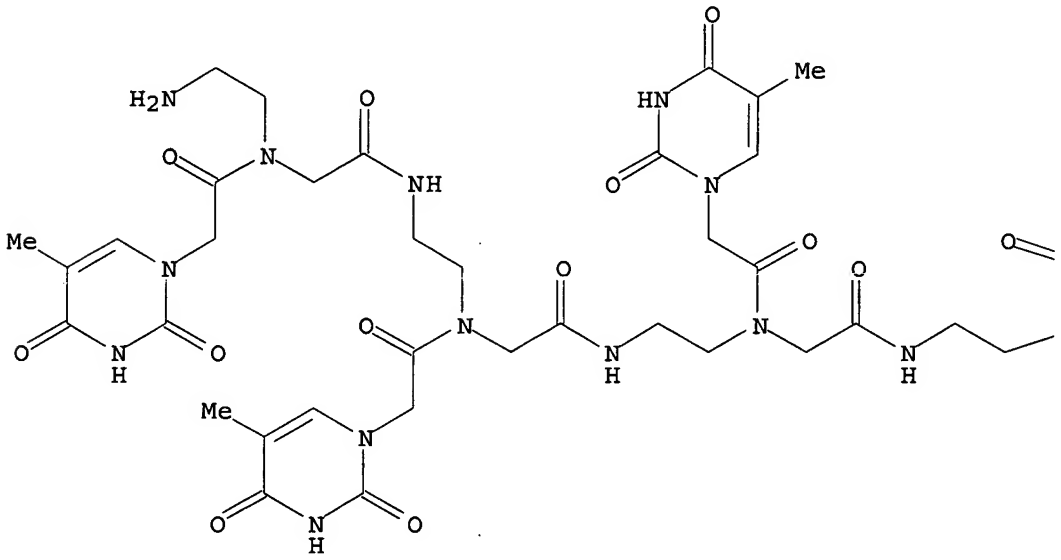
pseudoisocytosine-contg. bis-PNA peptide nucleic acid)

RN 164535-69-7 CAPLUS

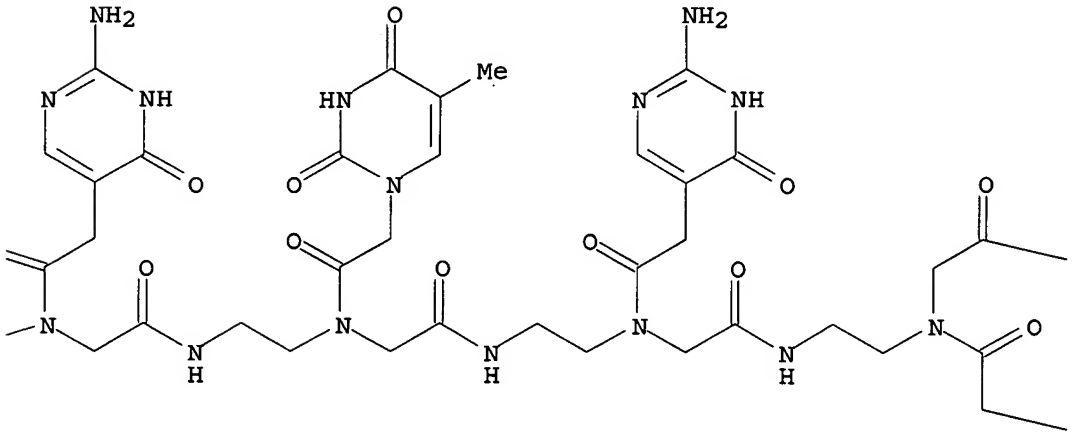
CN Peptide nucleic acid, (H-T-T-T-[1'-(2-amino-1,4-dihydro-4-oxo-5-pyrimidinyl)-1'-de(6-amino-9H-purin-9-yl)]A-T-A-T)-Lys-NH2 (9CI) (CA INDEX NAME)

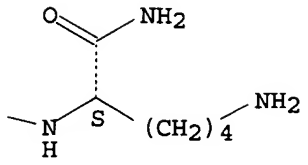
Absolute stereochemistry.

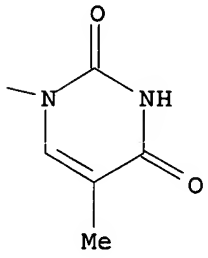
PAGE 1-A



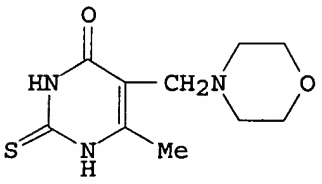
PAGE 1-B







L3 ANSWER 55 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:409313 CAPLUS
DOCUMENT NUMBER: 121:9313
TITLE: Synthesis of different 5-(aminomethyl) and 5-(iminomethyl) derivatives of 6-methyl-2-thiouracil
AUTHOR(S): Haikal, A. Z.; Ahmed, A. F. Sayed
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(5-6), 869-76
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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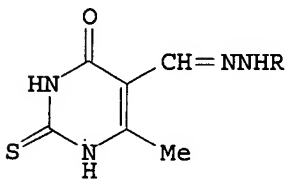
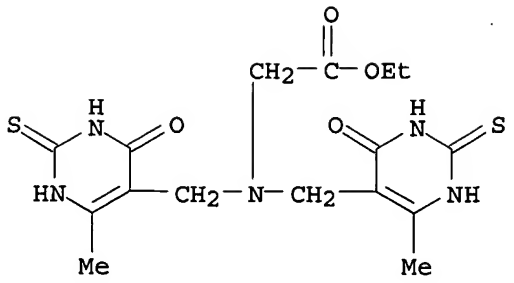


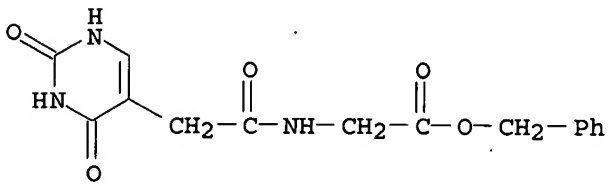
II

AB Condensation of 5-(chloromethyl)-6-methyl-2-thiouracil and its 5-formyl analog with amines gave title compds. such as I and II (R = H, Ph).

IT **155439-42-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 155439-42-2 CAPLUS
CN Glycine, N,N-bis[(1,2,3,4-tetrahydro-6-methyl-4-oxo-2-thioxo-5-pyrimidinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 56 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:72151 CAPLUS
DOCUMENT NUMBER: 120:72151
TITLE: Mechanism-based inactivation of thymine hydroxylase, an .alpha.-ketoglutarate-dependent dioxygenase, by 5-ethynyluracil
AUTHOR(S): Thornburg, Lora D.; Stubbe, JoAnne
CORPORATE SOURCE: Dep. Biochem., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Biochemistry (1993), 32(50), 14034-42
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 5-Ethynyluracil was shown to be a mechanism-based inactivator of thymine 7-hydroxylase, with Ki = 22 .mu.M and k2 = 2.6 min-1. Inactivation resulted in covalent modification of the enzyme with a stoichiometry of .apprx.1 adduct/enzyme mol. The reaction. of thymine 7-hydroxylase with 5-ethynyluracil also generated 2 products: 5-(carboxymethyl)uracil and uracil-5-acetylglycine. The enzyme adduct was stable at pH 2, 8, and 10 and stable to treatment with hydroxylamine. Following trypsin digestion of labeled enzyme, 2 labeled peptides corresponding to 45% of the adduct were isolated and sequenced. The results demonstrated the presence of a single modified amino acid. Tandem mass spectrometry suggested that the modified amino acid is tyrosine, which is linked to the inhibitor in an unprecedented fashion.
IT **152551-86-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deesterification of)
RN 152551-86-5 CAPLUS
CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)acetyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 57 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:118534 CAPLUS
DOCUMENT NUMBER: 112:118534
TITLE: Preparation of 1-sulfo-2-oxoazetidines as antibacterial agents

10/ 070,804

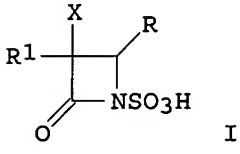
INVENTOR(S): Ochiai, Michihiko; Kishimoto, Shoji; Matsuo, Taisuke
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 252 pp. Cont.-in-part of U.S. Ser. No. 326,938.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4782147	A	19881101	US 1983-499802	19830531
WO 8201873	A1	19820610	WO 1980-JP297	19801205
W: MC				
WO 8203859	A1	19821111	WO 1981-JP103	19810430
W: MC				
WO 8300689	A1	19830303	WO 1981-JP183	19810821
W: MC				
WO 8301063	A1	19830331	WO 1981-JP252	19810924
W: MC				
US 4822788	A	19890418	US 1981-326938	19811203
JP 58210061	A2	19831207	JP 1982-93463	19820531
JP 04066865	B4	19921026		
US 4572801	A	19860225	US 1983-499801	19830531
GB 2156350	A1	19851009	GB 1985-9070	19850409
GB 2156350	B2	19860604		
NO 8700981	A	19831031	NO 1987-981	19870310
FI 8801563	A	19880405	FI 1988-1563	19880405

PRIORITY APPLN. INFO.:

WO 1980-JP297	19801205
WO 1981-JP103	19810430
WO 1981-JP183	19810821
WO 1981-JP252	19810924
US 1981-326938	19811203
JP 1982-93463	19820531
WO 1981-WO103	19810430
WO 1981-WO183	19810821
WO 1981-WO252	19810924
JP 1982-73728	19820430
US 1982-405592	19820805
GB 1983-10520	19830419
FI 1983-1457	19830428
NO 1983-1514	19830429

OTHER SOURCE(S): MARPAT 112:118534
GI



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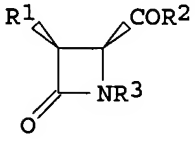

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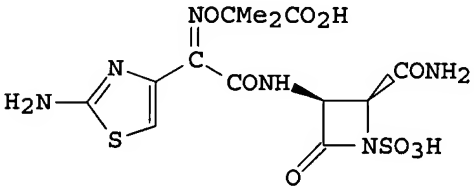


III

AB The title compds. [I; R = H, N3, halo, NH2, acylamino, OR5, SOnR5,

P(O)(OR5)2, SSR5, C-attached org. residue; R1 = (protected) NH2, acylamino; R5 = org. residue; X = H, MeO; n = 0-2] and their salts were prepd. 2-Oxoazetidine II [R1 = PhCH2O2CNH, R2 = OMe, R3 = 2,4-(MeO)2C6H3CH2] (prepn. from corresponding 3-amino deriv. given] was stirred 3 h at 90-95.degree. with K2S2O8 in aq. MeCN contg. K2HPO4 to give II (R1 and R2 as above, R3 = H) which was stirred 19 h in THF contg. aq. NH3 to give II (R1 as above, R2 = NH2, R3 = H). The latter was hydrogenolyzed over Pd/C and the product stirred with 4-O2NC6H4CH2O2CCMe2ON:CQCOCl [Q = 2-(2-chloroacetamido)-4-thiazolyl] (prepn. given) to give II (R1 = 4-O2NC6H4CH2O2CCMe2ON:CQCONH, R2 = NH2, R3 = H) which was treated overnight at 4.degree. with SO3.DMF in DMF to give, after ion-exchange chromatog., II (R1, R2 unchanged, R3 = SO3Na). Deprotection of the latter in 2 steps gave title compd. III, which had min. inhibitory concn. of 1.56 and 0.39 .mu.g/mL against Enterobacter cloacae IFO 129537 and Klebsiella pneumoniae TN 1711, resp.

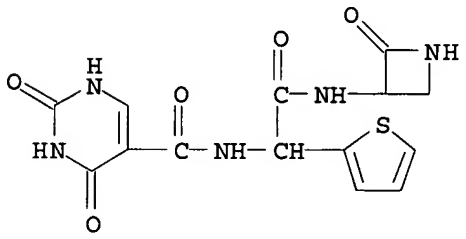
IT **78625-54-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of antibacterial agents)

RN 78625-54-4 CAPLUS

CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-N-[2-oxo-2-[(2-oxo-3-azetidinyl)amino]-1-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 58 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:231560 CAPLUS

DOCUMENT NUMBER: 110:231560

TITLE: Sparsomycin analogs. IV. Synthesis and antitumor activity of pyrimidine-5-carboxamides and (E)-.beta.-(pyrimidin-5-yl)-acrylamides

AUTHOR(S): Kanatomo, Shoichi; Wada, Akimori; Yomei, Masakatsu; Hase, Tetsuko; Nagai, Sotoo; Fukuda, Shizuo; Tanaka, Motohiro; Sasaki, Takuma

CORPORATE SOURCE: Sch. Pharm., Hokuriku Univ., Kanazawa, 920-11, Japan

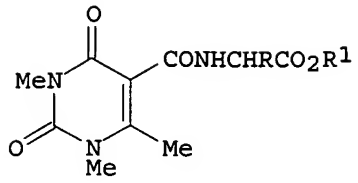
SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(6), 2042-9
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:231560

GI



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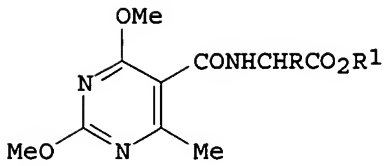
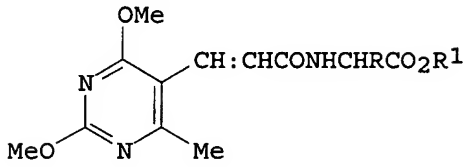
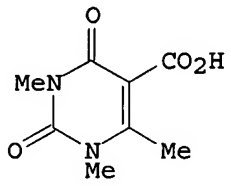


II



III



IV

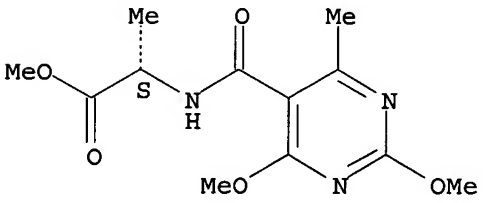
AB Various pyrimidine-5-carboxamides (e.g., I and II; R = H, .alpha.-Me, .beta.-Me, .alpha.-Me2CH, .beta.-Me2CH; .alpha.-MeSCH2CH2, .beta.-MeSCH2CH2; R2 = H, Me) and (E)-3-(pyrimidin-5-yl)acrylamides (e.g., III, R, R1 = same as above) were prepd. as sparsomycin analogs, and examd. for antitumor activity by cell growth inhibition assay against mouse leukemia L5178Y cells in vitro. Thus, dioxotrimethylpyrimidinecarboxylic acid IV was treated with ClCO2CH2CHMe2 and N-methylmorpholine followed by MeO2CCH2NH2.cntdot.HCl to give 82% I (R = H, R1 = Me). The compds. having an ethylene linkage at the C(5) position and an ester moiety at the terminal amino acid functionality (e.g., III, R .noteq. H, R1 = Me) exhibited remarkable antitumor activity.

IT **106852-33-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and neoplasm inhibiting activity of)

RN 106852-33-9 CAPLUS

CN L-Alanine, N-[(2,4-dimethoxy-6-methyl-5-pyrimidinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 59 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:186366 CAPLUS

DOCUMENT NUMBER: 108:186366

TITLE: Studies on ampicillin and amoxicillin derivatives. III. Synthesis of 6-[2-[(pyrido[2,3-d]pyrimidin-6-yl)methylamino]-2-phenylacetamido]penicillanic acid derivatives, 6-[2-(4-pyrimidinylamino)-2-phenylacetamido]penicillanic acid derivatives and -cephalosporanic acid derivatives

AUTHOR(S): Mishio, Shinsaku; Hirose, Toru; Nakano, Junji; Matsumoto, Junichi

CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, 564, Japan

SOURCE: Yakugaku Zasshi (1987), 107(8), 607-15

10/ 070,804

CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 108:186366

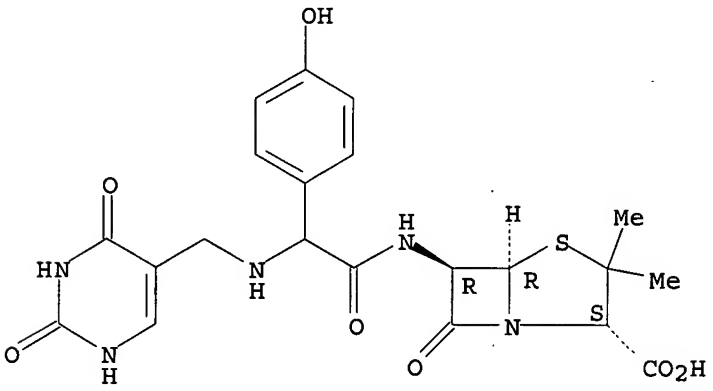
AB A series of N-alkylampicillin, N-heteroarylampicillin and N-heteroarylcephalexin were synthesized. 6-[2-[(Pyrido[2,3-d]pyrimidin-6-yl)methylamino]-2-phenylacetamido]penicillanic acid derivs. were prepd. by the redn. of the Schiff base which was derived from the reaction of pyrido[2,3-d]pyrimidine-6-carboxaldehyde with ampicillin. 6-N-(4-Pyrimidinyl)ampicillin and -cephalexin derivs. were obtained by the reaction of 4-chloropyrimidine with ampicillin or cephalexin. None of them have a broad or potent antibacterial activity.

IT **114082-12-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

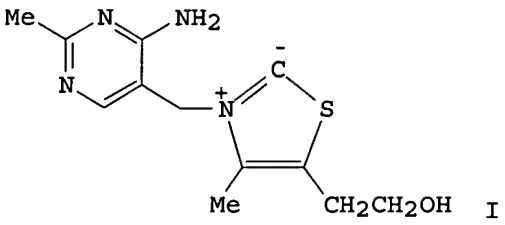
RN 114082-12-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(4-hydroxyphenyl)[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]amino]acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 60 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:5761 CAPLUS
DOCUMENT NUMBER: 108:5761
TITLE: Chemical behavior of isolated thiamin ylide in neutral aqueous solution - a new mechanism of interconversion of thiamin and thiamin thiolate
AUTHOR(S): Sugimoto, Hirohiko; Hirai, Kentaro
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, 553, Japan
SOURCE: Heterocycles (1987), 26(1), 13-17
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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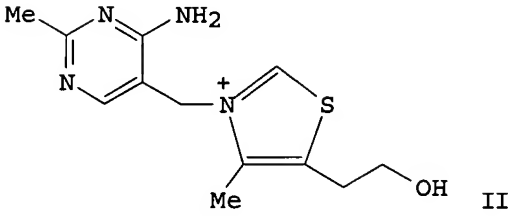

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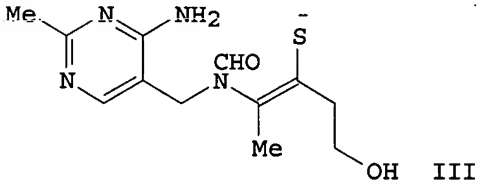


III

AB The isolated thiamin ylide (I) was converted into thiamin thiolate (II) in neutral aq. soln. The formation of the ion-pair can best be explained by the disproportionation of the ylide into the yellow form, followed by ring-opening by the attack of H2O on the pyrimido[4,5-d]pyrimidine ring of the yellow form. These results suggested a new mechanism for the interconversion between thiamin and thiamin thiolate.

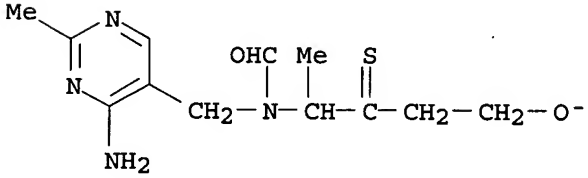
IT **111734-60-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 111734-60-2 CAPLUS

CN Thiazolium, 3-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-5-(2-hydroxyethyl)-4-methyl-, salt with N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-thioxobutyl)formamide (1:1) (9CI) (CA INDEX NAME)

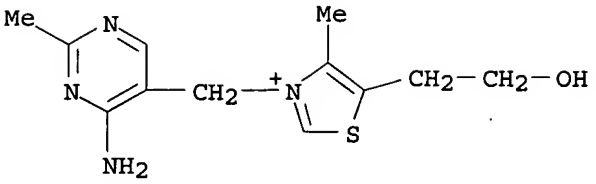
CM 1

CRN 111734-59-9
CMF C12 H17 N4 O2 S



CM 2

CRN 70-16-6
CMF C12 H17 N4 O S

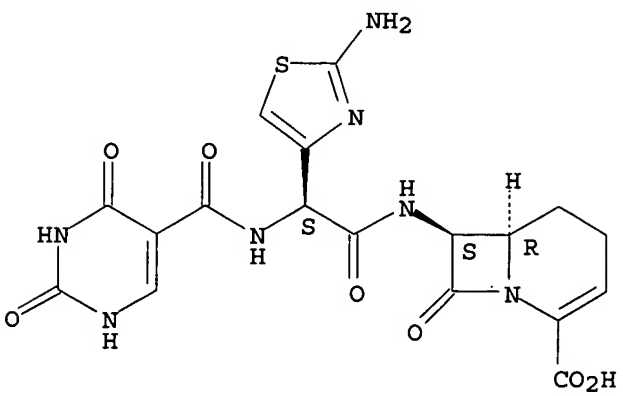


L3 ANSWER 61 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:436454 CAPLUS
DOCUMENT NUMBER: 107:36454
TITLE: Aminothiazolylglycyl derivatives of carbacephem antibiotics. II. Synthesis and antibacterial activity of novel aminothiazolyl cephem compounds with hydroxypyridone moiety
AUTHOR(S): Mochida, Kenichi; Ono, Yasuyuki; Yamasaki, Motoo; Shiraki, Chihiro; Hirata, Tadashi; Sato, Kiyoshi; Okachi, Ryo
CORPORATE SOURCE: Tokyo Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Machida, 194, Japan
SOURCE: Journal of Antibiotics (1987), 40(2), 182-9
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis and antimicrobial activity of novel carbacephem antibiotics which have amido moiety of (S)-aminothiazolylglycyl side chain are described. Among them, a compd. having a 5-hydroxy-4-pyridon-2-carboxyl group (KT-4697) showed exceptionally strong activity against Pseudomonas aeruginosa as well as gram-neg. bacteria. A caphalosporin with this acyl group, namely KT-4788 with methylpyridiniumthiomethyl group at C-3, was the most active against gram-pos. and gram-neg. strains, including P. aeruginosa.

IT **108905-17-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)
RN 108905-17-5 CAPLUS
CN 1-Azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-8-oxo-, [6R-[6.alpha.,7.beta.(S*)]]- (9CI) (CA INDEX NAME)

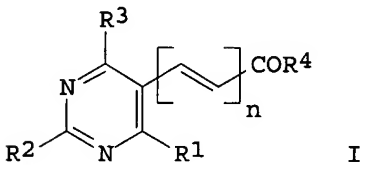
Absolute stereochemistry.



L3 ANSWER 62 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:119897 CAPLUS
DOCUMENT NUMBER: 106:119897
TITLE: Antitumor alkoxypyrimidines
INVENTOR(S): Kanetomo, Shoichi; Nagai, Hokao; Wada, Akimori; Sasaki, Takamaro; Tanaka, Motohiro
PATENT ASSIGNEE(S): Gakko Hojin Shoun Gakuen, Japan; Yakult Honsha Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61249973	A2	19861107	JP 1985-90957	19850430

PRIORITY APPLN. INFO.: JP 1985-90957 19850430
OTHER SOURCE(S): CASREACT 106:119897
GI



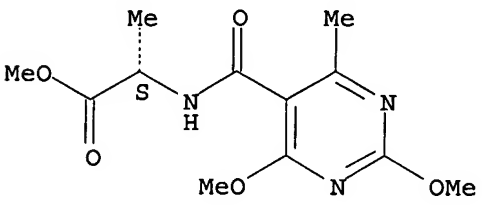
I

AB The title compds. (I; n = 0, 1; R1 = H, Me; R2 = H, alkoxy, MeS; R3 = H, alkoxy; R2, R3 not both H; R4 = OH, alkoxy, amino acid residue), useful as antitumor agents, were prepd. Thus, BuLi in hexane was added to a soln. of 5-bromo-2,4-dimethoxy-6-methylpyrimidine in ether at -70.degree., the resulting soln. stirred for 15 min, excess dry ice in ether added, and the reaction mixt. allowed to warm up to room temp. to give 90% I (n = 0, R1 = Me, R2 = R3 = MeO, R4 = OH). At 50 .mu.M/mL 16 I inhibited the growth of L 5178 Y lymphoma cells 13.6-99.3%.

IT **106852-33-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antitumor agent)

RN 106852-33-9 CAPLUS
CN L-Alanine, N-[(2,4-dimethoxy-6-methyl-5-pyrimidinyl)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 63 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

10/ 070,804

ACCESSION NUMBER: 1986:626616 CAPLUS
DOCUMENT NUMBER: 105:226616
TITLE: Pyridopyrimidine derivatives
INVENTOR(S): Kihara, Noriaki; Ishitoku, Takeshi; Tan, Hiroaki
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61060687	A2	19860328	JP 1984-180369	19840831
JP 03039510	B4	19910614		

PRIORITY APPLN. INFO.: JP 1984-180369 19840831
OTHER SOURCE(S): CASREACT 105:226616
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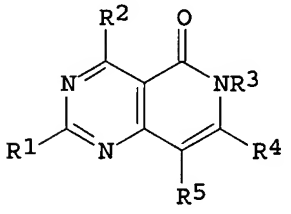
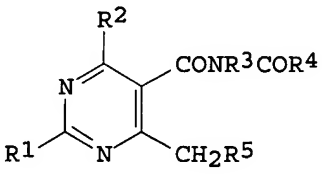


I

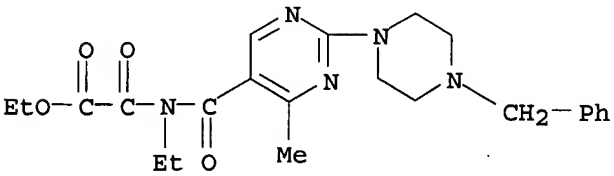


II

AB The title compds. [I, R1 = (alkyl)amino, N-contg. heterocycle-N-yl; R2,R3,R5 = H, alkyl; R4 = OH, CO2H, alkoxycarbonyl], useful as herbicides, were prepd. by intramol. cyclocondensation of the pyrimidinecarboxamides II in the presence of a base. Thus, II [R1 = 4-benzyl-1-piperazinyl; R2 = R5 = H; R3 = Et; R4 = EtO2C] was heated with NaH in DMF at 120.degree. for 2 h to give 33% resp. I, which was very effective against common weeds.

IT **104965-97-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(intramol. cyclocondensation of)

RN 104965-97-1 CAPLUS
CN Acetic acid, [ethyl[[4-methyl-2-[4-(phenylmethyl)-1-piperazinyl]-5-pyrimidinyl]carbonyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 64 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:608919 CAPLUS
DOCUMENT NUMBER: 105:208919
TITLE: Quinazoline derivatives and antihypertensive preparations containing them
INVENTOR(S): Yokoyama, Keiichi; Kato, Koji; Kitahara, Takumi; Ohno, Hiroyasu; Nishina, Takashi; Awaya, Akira; Nakano, Takuo; Watanabe, Kazuyuki; Saruta, Sakae; Kumakura,

10/ 070,804

	Mikio
PATENT ASSIGNEE(S):	Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
SOURCE:	Eur. Pat. Appl., 235 pp.
	CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 188094	A2	19860723	EP 1985-309049	19851212
EP 188094	A3	19871223		
EP 188094	B1	19920318		
R: DE, FR, GB, IT				
JP 61140568	A2	19860627	JP 1984-263015	19841214
JP 05028709	B4	19930427		
JP 62056488	A2	19870312	JP 1985-194968	19850905
JP 03071430	B4	19911113		
JP 62067077	A2	19870326	JP 1985-204463	19850918
JP 05029223	B4	19930428		

PRIORITY APPLN. INFO.:	JP 1984-263015	19841214
	JP 1985-194968	19850905
	JP 1985-204463	19850918

OTHER SOURCE(S): CASREACT 105:208919

GI

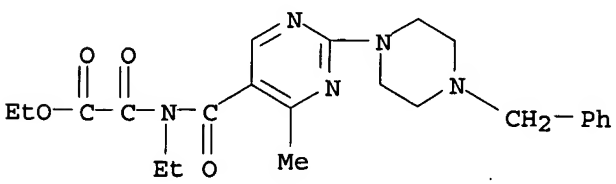
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperazinyl- and homopiperazinylquinazolines I (R1 = H, MeO; R2, R3 = H, alkoxy; R4 = H, NH2; R5 = substituted 2-pyrimidinyl, 2-pyridinyl, 2-quinolinyl, fused pyrimidinyl; n = 2, 3) were prepd. as antihypertensives. Thus, 4-benzyl-1-piperazinecarboxamidine sulfate was cyclocondensed with MeCOC(CO2Me):CHOMe to give pyrimidinecarboxylate II. This was amidated with EtNH2 and cyclocondensed with DMF to give pyridopyrimidinone III, which was debenzylated and condensed with 4-amino-2-chloro-6,7-dimethoxyquinazoline to give piperazinylquinazoline IV. In rats 1 mg IV/kg orally reduced blood pressure 23.0% after 6 h, the effect lasting 24 h. Tablets were prepd. each contg. I 1, starch 60, microcrystn. cellulose 35, light silica 3, and Mg stearate 1 mg.

IT **104965-97-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization reaction of, with DMF)

RN 104965-97-1 CAPLUS

CN Acetic acid, [ethyl[[4-methyl-2-[4-(phenylmethyl)-1-piperazinyl]-5-pyrimidinyl]carbonyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



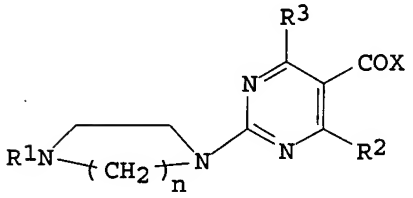
L3 ANSWER 65 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

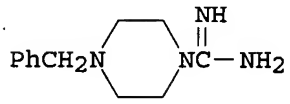
10/ 070,804

ACCESSION NUMBER: 1986:442843 CAPLUS
DOCUMENT NUMBER: 105:42843
TITLE: Pyrimidinylpiperazines
INVENTOR(S): Kihara, Noriaki; Ishida, Tatsukazu; Isayama, Shigeru; Ishitoku, Takeshi; Tan, Hiroaki; Takahashi, Katsuya
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61043173	A2	19860301	JP 1984-163771	19840806
JP 05022702	B4	19930330		

PRIORITY APPLN. INFO.: JP 1984-163771 19840806
GI

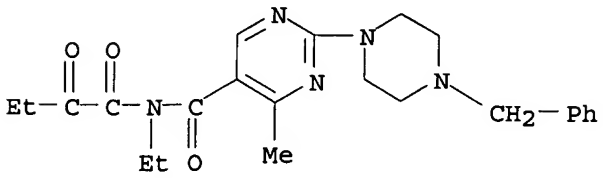

I


II

AB The title compds. [I, R1 = H, substituted Me, alkoxycarbonyl; R2, R3 = H, substituted alkyl; X = alkoxy, OH, (substituted) NH2; n = 2, 3], useful as herbicides against common weeds (no data), were prepd. Thus, the piperazinecarboxamidine deriv. II sulfate reacted with MeOCH:C(COMe)CO2Me in MeOH/aq. NaOH at room temp. overnight to give 88% I (R1 = PhCH2, n = 2, R2 = H, R3 = Me, X = OMe).

IT **102976-34-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide)

RN 102976-34-1 CAPLUS
CN 5-Pyrimidinecarboxamide, N-(1,2-dioxobutyl)-N-ethyl-4-methyl-2-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 66 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:16527 CAPLUS
DOCUMENT NUMBER: 104:16527
TITLE: N-Substituted-N',N'-disubstituted glycinamides as fungicides
INVENTOR(S): Spatz, David M.
PATENT ASSIGNEE(S): Chevron Research Co. , USA

10/ 070,804

SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

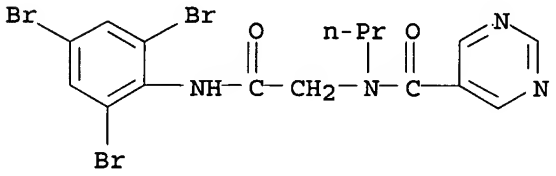
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4532251	A	19850730	US 1982-446802	19821203
US 4661494	A	19870428	US 1985-739590	19850530

PRIORITY APPLN. INFO.: US 1982-446802 19821203
OTHER SOURCE(S): CASREACT 104:16527

AB The glycinamides RR3NCOCR4R5NR1CR2O (R = di-, trihalophenyl; R1 = lower alkyl; R2 = N-contg. heterocyclic radical; R3, R4, R5 = H, alkyl) are fungicides. Thus, 250 ppm N-(2,4,6-trichlorophenyl)-N'-propyl-N'-(3-pyridylcarbonyl)glycinamide (I) [99468-64-1] totally controlled bean powdery mildew, caused by Erysiphe polygoni, in greenhouse expts. I was prepd. by reacting 3-pyridinecarboxylic acid [59-67-6] with carbonyldiimidazole [530-62-1] to give 3-pyridylcarboxylic acid imidazolide [59846-45-6], followed by the addn. of N-(2,4,6-trichlorophenyl)-N'-(propyl)glycinamide [99468-75-4].

IT **99468-65-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as fungicide)

RN 99468-65-2 CAPLUS
CN 5-Pyrimidinecarboxamide, N-[2-oxo-2-[(2,4,6-tribromophenyl)amino]ethyl]-N-propyl- (9CI) (CA INDEX NAME)



L3 ANSWER 67 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:68019 CAPLUS
DOCUMENT NUMBER: 100:68019
TITLE: N-Substituted glycine derivatives
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58150562	A2	19830907	JP 1982-34296	19820303

PRIORITY APPLN. INFO.: JP 1982-34296 19820303

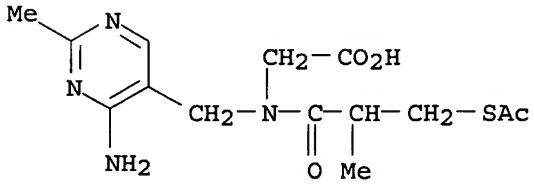
AB Forty-three N-substituted glycine derivs. RZN(CH2CO2R3)COCHR1CH2SR2 I [R = (un)substituted aryl, heterocyclic, aryloxy; R1 = H, alkyl; R2 = H, acyl; R3 = H, alkyl, aralkyl, Z = alkylene, alkenylene], useful as antihypertensives, were prepd. by, e.g., reaction of RZNHCH2CO2R3 (II) with R2SCH2CHR1CO2H (III) or their CO2H reactive derivs. Thus, 2 mL III chloride (R1 = Me, R2 = Ac) was added to 1.8 g II [R = PhO, R3 = H, Z =

(CH2)3] in Me2NAc at room temp. to give 1.8 g I [R = PhO, R1 = Me, R2 = Ac, R3 = H, Z = (CH2)3] (IV). Angiotensin I-converting enzyme inhibitory test data of IV were shown (93% at 10 .mu.M).

IT **88719-98-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 88719-98-6 CAPLUS

CN Glycine, N-[3-(acetylthio)-2-methyl-1-oxopropyl]-N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 68 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:522396 CAPLUS

DOCUMENT NUMBER: 99:122396

TITLE: Sparsomycin analogs. II. Synthesis and biological activities of 5-carboxy-6-methyluracil derivatives

AUTHOR(S): Kanatomo, Shoichi; Nagai, Sotoo; Hase, Tetsuko; Ohki, Kazuhiro; Nomura, Chiaki; Okezaki, Eiichi

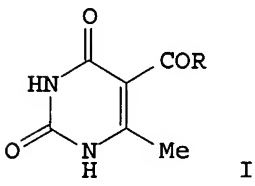
CORPORATE SOURCE: Sch. Pharm., Hokuriku Univ., Kanagawa, 920-11, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1983), 31(1), 135-43
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB In order to study the structure-activity relationship of sparsomycin, an antitumor antibiotic, 26 sparsomycin-related compds. I (R = amino acid ester residue, amino acid residue, amino alc. residue) were synthesized and their antibacterial activities and lytic actions on Ehrlich ascites carcinoma cells were tested.

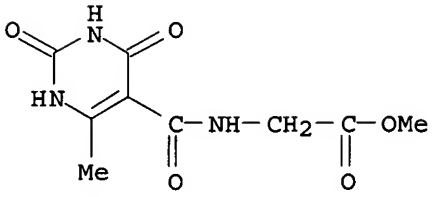
IT **86267-56-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 86267-56-3 CAPLUS

CN Glycine, N-[(1,2,3,4-tetrahydro-6-methyl-2,4-dioxo-5-pyrimidinyl)carbonyl]-

10/ 070,804

, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 69 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:505050 CAPLUS
DOCUMENT NUMBER: 99:105050
TITLE: .beta.-Lactam antibacterial agents
INVENTOR(S): Milner, Peter Henry
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 282 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

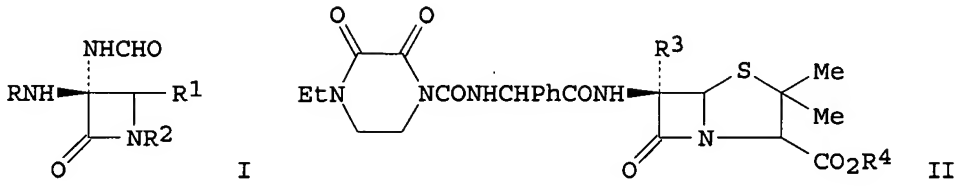
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 71395	A1	19830209	EP 1982-303821	19820721
EP 71395	B1	19880810		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2107307	A1	19830427	GB 1982-21059	19820721
GB 2107307	B2	19860226		
AT 36334	E	19880815	AT 1982-303821	19820721
NO 8202538	A	19830126	NO 1982-2538	19820723
NO 162192	B	19890814		
NO 162192	C	19891122		
FI 8202606	A	19830126	FI 1982-2606	19820723
FI 78702	B	19890531		
FI 78702	C	19890911		
DK 8203309	A	19830126	DK 1982-3309	19820723
ZA 8205296	A	19830525	ZA 1982-5296	19820723
HU 27347	O	19831028	HU 1982-2381	19820723
HU 188983	B	19860528		
ES 514308	A1	19831201	ES 1982-514308	19820723
AU 8286351	A1	19841018	AU 1982-86351	19820723
AU 568062	B2	19871217		
US 4539149	A	19850903	US 1982-401266	19820723
CA 1216576	A1	19870113	CA 1982-407903	19820723
PL 145252	B1	19880831	PL 1982-237640	19820723
PL 146092	B1	19881231	PL 1982-261915	19820723
PL 146182	B1	19890131	PL 1982-248815	19820723
JP 58038288	A2	19830305	JP 1982-128353	19820724
IL 67222	A1	19860429	IL 1982-67222	19821110
ES 520953	A1	19840516	ES 1983-520953	19830324
US 4609652	A	19860902	US 1985-694592	19850124
US 4877783	A	19891031	US 1985-694622	19850124
GB 2161803	A1	19860122	GB 1985-14519	19850607
GB 2161803	B2	19860723		

PRIORITY APPLN. INFO.:		
	GB 1981-23033	19810725
	GB 1981-23034	19810725
	GB 1981-36823	19811207
	GB 1981-36824	19811207

GB 1982-7966	19820318
GB 1982-9953	19820403
GB 1982-9954	19820403
GB 1982-15007	19820522
EP 1982-303821	19820721
GB 1982-21059	19820721
US 1982-401266	19820723

OTHER SOURCE(S): CASREACT 99:105050

GI



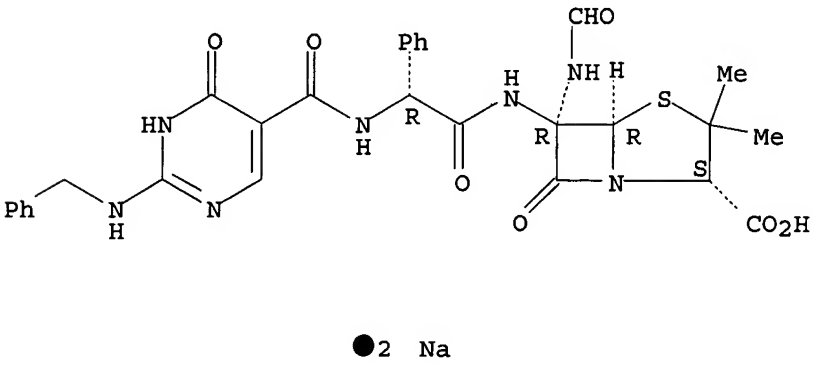
AB .beta.-Lactams I (R = H, acyl; R1R2 = atoms required to complete a penam, cephem, or oxadithiacephem system) were prepd. Thus II (R3 = SMe, R4 = CH2Ph) was treated with NH3 to give II (R3 = NH2, R4 = CH2Ph) which was formylated with HCO2Ac to give II (R3 = NHCHO, R4 = CH2Ph). Hydrogenolysis of the ester group and treatment with BuCHEtCO2Na gave II (R3 = NHCHO, R4 = Na) which had a min. inhibitory concn. against Proteus mirabilis 889 of 0.2 .mu.g/mL.

IT **86061-87-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 86061-87-2 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,4-dihydro-4-oxo-2-[(phenylmethyl)amino]-5-pyrimidinyl]carbonyl]amino]phenylacetyl]amino]-6-(formylamino)-3,3-dimethyl-7-oxo-, disodium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 70 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:487869 CAPLUS

DOCUMENT NUMBER: 99:87869

TITLE: New broad-spectrum cephalosporins with antipseudomonal activity. II. Synthesis and antibacterial activity

of 7.beta.-[2-acylamino-2-(4-hydroxyphenyl)acetamido]-3-[(1-methyl-1H-tetrazol-5-yl)thiomethyl]ceph-3-em-4-carboxylic acids

AUTHOR(S): Yamada, Hirotada; Tobiki, Hisao; Jimpo, Kiyokazu; Gooda, Houshiro; Takeuchi, Yutaka; Ueda, Shinji; Komatsu, Toshiaki; Okuda, Takao; Noguchi, Hiroshi; et al.

CORPORATE SOURCE: Pharm. Div., Sumitomo Chem. Co., Ltd., Osaka, 554, Japan

SOURCE: Journal of Antibiotics (1983), 36(5), 532-42
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

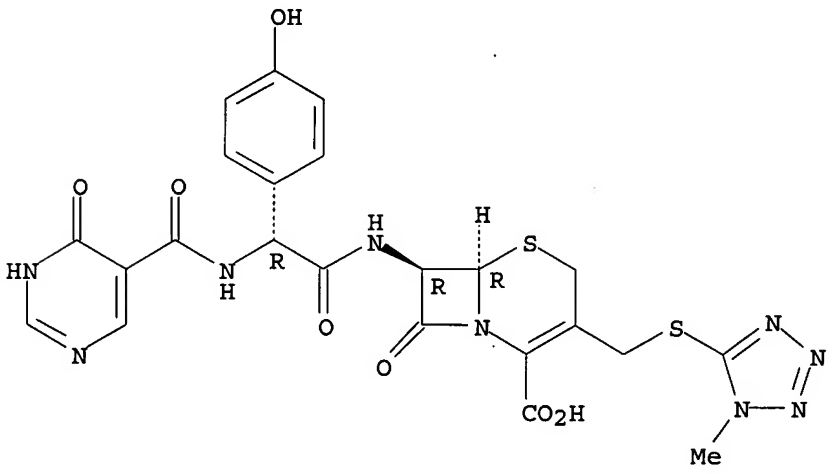
AB The influence of the chirality of the 7-acyl side chain and of various N-acyl moieties on the in vitro activity of 7.beta.-[2-acylamino-2-(4-hydroxyphenyl)acetamido]-3-[(1-methyl-1H-tetrazol-5-yl)thiomethyl]ceph-3-em-4-carboxylic acids was investigated. A cephalosporin having a 7-acyl side chain of S-configuration was only weakly active against Staphylococcus aureus and Klebsiella pneumoniae and was inactive against the other species tested. Among the various N-acyl moieties of the R-configuration, the 4-hydroxypyridine-3-carbonyl moiety, unsubstituted or substituted with 5-bromo and (or) 6-alkyl groups and the 4-hydroxy-1,5-naphthyridine-3-carbonyl moiety, unsubstituted or substituted with a 6-Me and a 6-methoxy group gave the most active compds. N-Ethylation of the 4-hydroxy-1,5-naphthyridine-3-carbonyl deriv. and the 4-hydroxypyridine-3-carbonyl deriv. resulted in a decrease of the in vitro activity.

IT **86788-81-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 86788-81-0 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



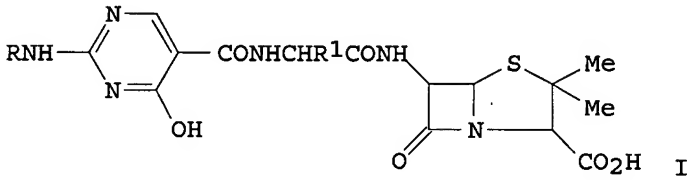
L3 ANSWER 71 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:215402 CAPLUS
DOCUMENT NUMBER: 98:215402

10/ 070,804

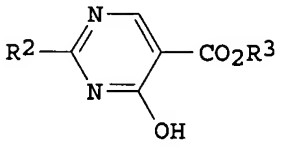
TITLE: Penicillins and compositions containing them
INVENTOR(S): Taylor, Andrew William; Adams, Richard George
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 67610	A1	19821222	EP 1982-302819	19820601
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
US 4447422	A	19840508	US 1982-385805	19820607
ZA 8204052	A	19830330	ZA 1982-4052	19820609
JP 58021681	A2	19830208	JP 1982-102916	19820615
ES 513128	A1	19830801	ES 1982-513128	19820615
AU 8284931	A1	19821223	AU 1982-84931	19820616

PRIORITY APPLN. INFO.: GB 1981-18552 19810616
GI



I



II

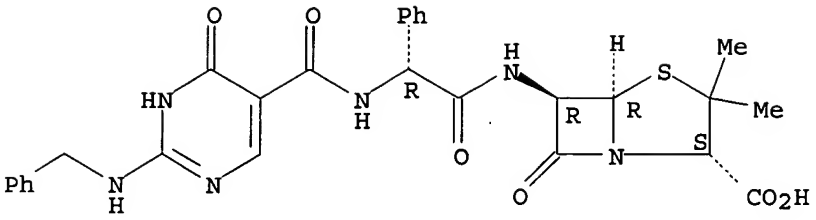
AB Penicillins I (R = alkyl, cycloalkyl, acyl; R1 = Ph, substituted Ph, heterocyclic) were prepd. Thus II (R2 = SMe, R3 = Et) was treated with PhCH2NH2 to give II (R2 = PhCH2NH, R3 = Et) which was hydrolyzed to the acid. II (R2 = PhCH2NH, R3 = H) was used to acylate ampicillin by the acid chloride method to give I (R = PhCH2, R1 = Ph) which had a min. inhibitory concn. against Escherichia coli NCTC 10418 of 2.5 .mu.g/mL.

IT **85580-33-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

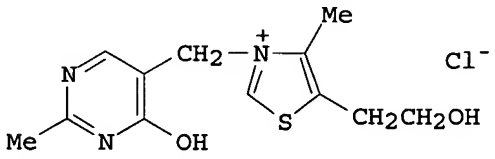
RN 85580-33-2 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[1,4-dihydro-4-oxo-2-[(phenylmethyl)amino]-5-pyrimidinyl]carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

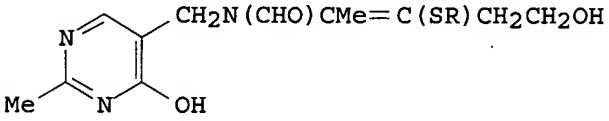
Absolute stereochemistry.



L3 ANSWER 72 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:89309 CAPLUS
DOCUMENT NUMBER: 98:89309
TITLE: Synthesis and study of some new disulfide derivatives of oxythiamine
AUTHOR(S): Zimatkina, T. I.; Kravchenya, N. A.; Gorenshtein, B. I.; Ostrovskii, Yu. M.
CORPORATE SOURCE: Otd. Regul. Obmena Veshchestv, Grodno, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1982), 16(11), 1353-6
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

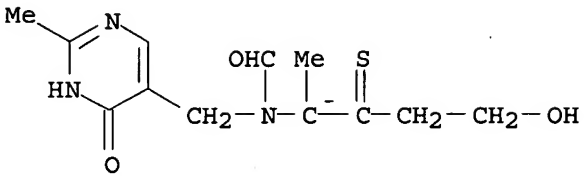


II

AB Treatment of oxythiamine (I) with NaOH gave II (R = Na) which was treated with R1SSO3Na (R1 = C5H11, C6H13, C7H15, C8H17, C9H19) to give 48-63% II (R = R1S). II (R = C5H11S) was 155% more effective than I as a pyruvate dehydrogenase inhibitor.

IT **84714-56-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with sodium alkyl thiosulfates)

RN 84714-56-7 CAPLUS
CN Formamide, N-[(1,4-dihydro-2-methyl-4-oxo-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-thioxobutyl)-, ion(1-), sodium (9CI) (CA INDEX NAME)



● Na^+

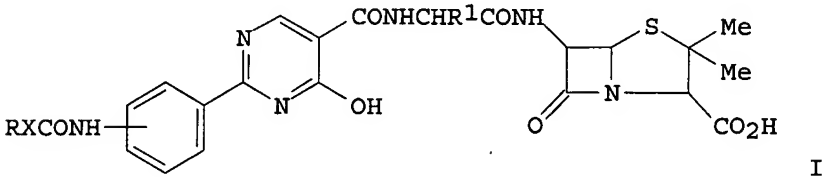
L3 ANSWER 73 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1982:423526 CAPLUS
DOCUMENT NUMBER:	97:23526
TITLE:	Antibacterial amide compounds and pharmaceutical compositions containing them
INVENTOR(S):	Mich, Thomas F.; Haskell, Theodore H.; Hutt, Marland P., Jr.
PATENT ASSIGNEE(S):	Warner-Lambert Co. , USA
SOURCE:	U.S., 8 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4315933	A	19820216	US 1980-190154	19800924
PRIORITY APPLN. INFO.:			US 1980-190154	19800924
OTHER SOURCE(S):	CASREACT 97:23526			

GI



I

AB Penicillins I [R = alkyl, Cl2CH, PhCH2, F3C, alkylamino, alkylcarbonyl, alkoxycarbonyl, PhCH2O, alkoxy, cyano, tetrazolyl, F3CCH2S, NCCH2S; R1 = Ph, 4-HOC6H4, 2-thienyl, cyclohexadienyl; X = bond, CH2] were prepd. Thus, treating 2-[4-(dichloroacetamido)phenyl]-4-hydroxy-5-pyrimidinecarboxylic acid with carbonyldiimidazole in THF at 50.degree. gave the corresponding imidazolide which condensed with amoxicillin in AcNMe2 contg. Et3N to give I [RX = Cl2CH (4-substituted), R1 = 4-HOC6H4] which had a min. inhibitory concn. 0.8 .mu.g/mL against Pseudomonas aeruginosa.

IT **82118-92-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

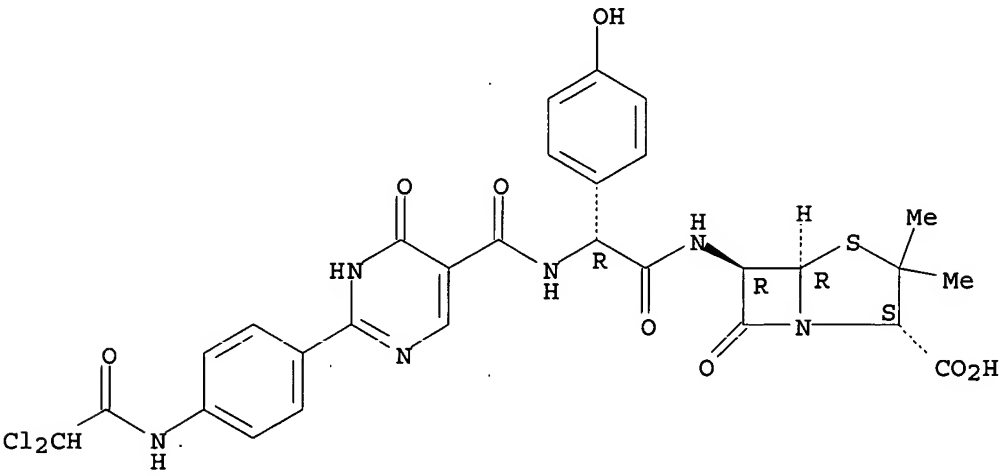
(prepn. and bactericidal activity of)

RN 82118-92-1 CAPLUS

10/ 070,804

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-[(dichloroacetyl)amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

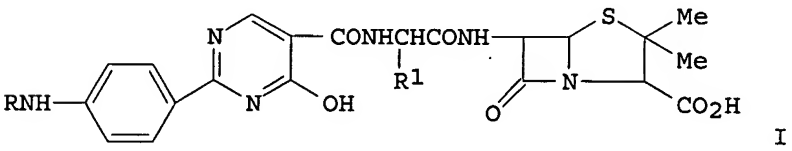
Absolute stereochemistry.



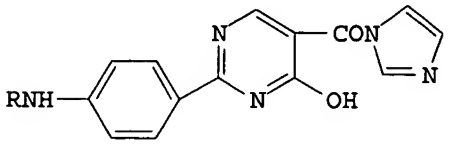
L3 ANSWER 74 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:142879 CAPLUS
DOCUMENT NUMBER: 96:142879
TITLE: Antibacterial amide compounds
INVENTOR(S): Haskell, Theodore H.; Hutt, Marland P., Jr.; Nicolaides, Ernest D.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 19,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4267180	A	19810512	US 1980-117318	19800131
PRIORITY APPLN. INFO.:			US 1979-19984	19790312

GI



I



III

AB Amoxicillins I (R = N-acylglycyl, N-acylalanyl, N-acylisobutyryl, N-acylprolyl, N-acylmethionyl, N-acylvalyl, N-acylleucyl, N-acylglutaminyl, N-acyltyrosyl; R1 = Ph, 4-HOC6H4, 2-thienyl, 1,4-cyclohexadienyl), useful as bactericides, were prepd. by treating amoxicillin (II) with imidazolide III. Thus, treating II Me2SO complex in DMF with III (R = N-acetylglycyl) in the presence of Et3N 2.5 h at room temp. gave I (R = N-acetylglycyl, R1 = 4-HOC6H4), isolated as the Na salt.

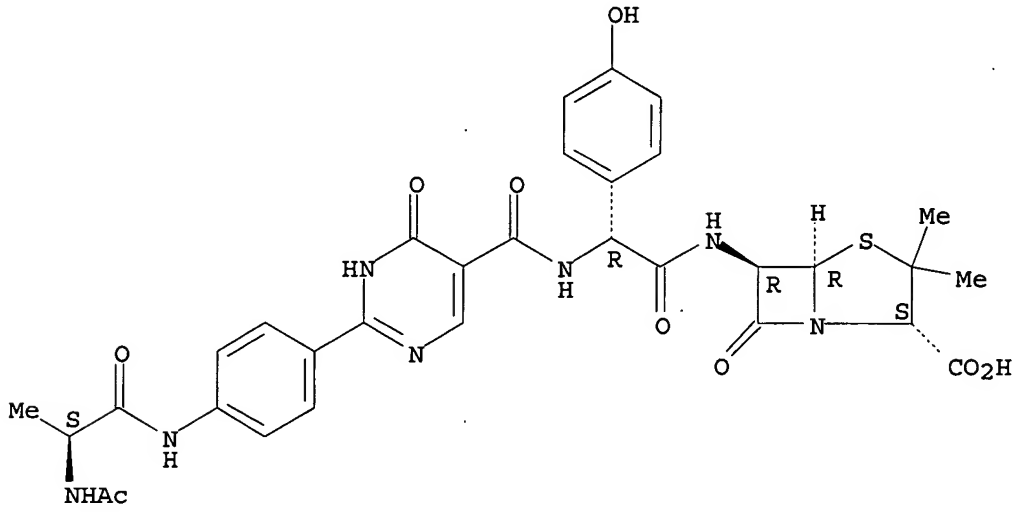
IT **79033-91-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 79033-91-3 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-[[2-(acetylamino)-1-oxopropyl]amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

● Na

L3 ANSWER 75 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:525876 CAPLUS

DOCUMENT NUMBER: 95:125876

TITLE: Semisynthetic penicillins. A structure-activity study of a new series of acyl amino acid-pyridone and pyrimidone amoxicillin analogs

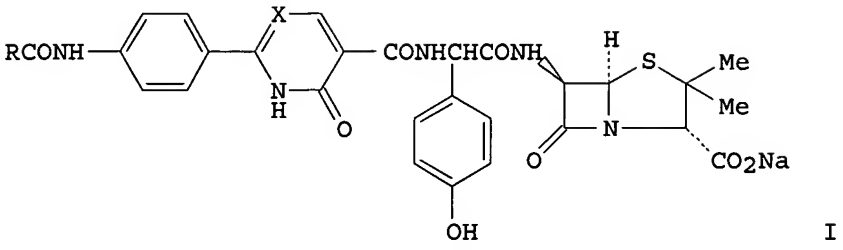
AUTHOR(S): Haskell, T. H.; Woo, P. W. K.; Nicolaides, E. D.; Hutt, M. P.; Huang, G. G.; Sanchez, J. P.; DeJohn, D.; Heifetz, C. L.; Krolls, U.; et al.

CORPORATE SOURCE: Warner-Lambert/Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA

SOURCE: Journal of Antibiotics (1981), 34(7), 862-8
CODEN: JANTAJ; ISSN: 0021-8820

10/ 070,804

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The synthesis and biol. activities of a series of 12 new semisynthetic penicillins I [R = CH3CH(NHAc), Ac(NH(CH2)3, etc.; X = C or N] is described. These compds. consisted of acylated amino acid analogs of 6-substituted-1,2-dihydro-2-oxonicotinic acid and 2-substituted-3,4-dihydro-4-oxo-5-pyrimidinecarboxylic acid attached to amoxicillin [26787-78-0]. The effect of the amino acid substituent, chirality of amino acid, and acyl function on biol. properties is discussed.

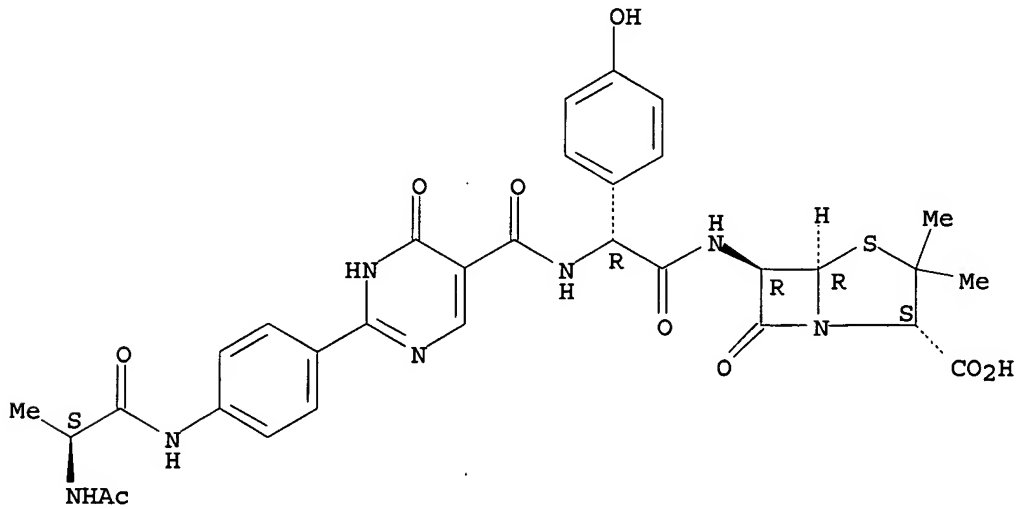
IT **79033-91-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and bactericidal activity of, structure in relation to)

RN 79033-91-3 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-[[2-(acetylamino)-1-oxopropyl]amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.[S*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



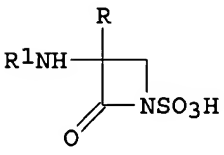
Na

L3 ANSWER 76 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:497566 CAPLUS
DOCUMENT NUMBER: 95:97566
TITLE: 1-Sulpho-2-oxoazetidine derivatives and pharmaceutical compositions thereof
INVENTOR(S): Matsuo, Taisuke; Sugawara, Tohru; Masuya, Hirotomo; Kawano, Yasuhiko
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 194 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

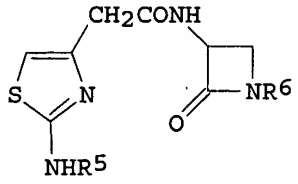
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 21678	A1	19810107	EP 1980-301900	19800606
EP 21678	B1	19841107		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
JP 55164672	A2	19801222	JP 1979-72813	19790608
JP 01001468	B4	19890111		
JP 56133260	A2	19811019	JP 1980-36366	19800322
AT 10191	E	19841115	AT 1980-301900	19800606
CA 1338538	A1	19960820	CA 1980-353545	19800606

PRIORITY APPLN. INFO.: JP 1979-72813 19790608
JP 1980-36366 19800322
EP 1980-301900 19800606

GI



I

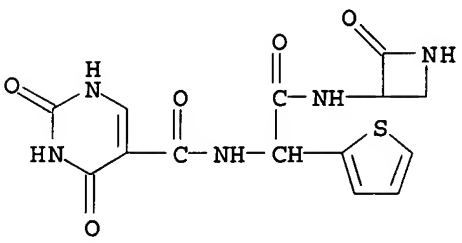


II

AB Bactericidal oxoazetidinesulfonates I [R = H, MeO; R1 = H, acyl, protecting group, R2NHCHR3CO [R2 = H, amino acid moiety, R4(CH2)nCO (R4 = heterocycle, Ph, alkyl, n = 0-4), carbamoyl; R3 = H, alkyl, Ph, heterocycle] and their salts were prepd. Thus, 3-amino-2-oxoazetidine was acylated by 2-(chloroacetamido)-4-thiazolylacetyl chloride in CH2Cl2 contg. ethylene oxide to give the thiazolylacetamidoazetidine II (R5 = ClCH2CO, R6 = H), which was treated with pyridine-SO3 to give II (R5 = ClCH2CO, R6 = SO3Na). Treatment of the latter with MeNHC(S)SNa gave II (R5 = H, R6 = SO3Na) (III). The min. inhibitory concns. of III against Staphylococcus aureus FDA209P and Escherichia coli 0-111 were 50 and 0.39 .mu.g/mL, resp.

IT **78625-54-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and sulfonation of)
RN 78625-54-4 CAPLUS
CN 5-Pyrimidinecarboxamide, 1,2,3,4-tetrahydro-2,4-dioxo-N-[2-oxo-2-[(2-oxo-3-azetidinyl)amino]-1-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

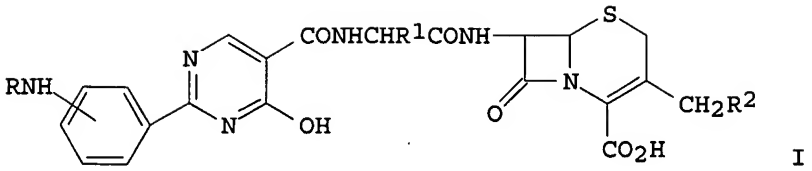


L3 ANSWER 77 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:121570 CAPLUS
DOCUMENT NUMBER: 94:121570
TITLE: N-(2[(Acylaminoacylamino or aminoacylamino)phenyl)-4-hydroxy-5-pyrimidinylcarbonyl]cephalosporin compounds and compositions containing them
INVENTOR(S): Haskell, Theodore Herbert; Mich, Thomas Frederick; Sanchez, Joseph Peter; Schweiss, Dietrich
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 81 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

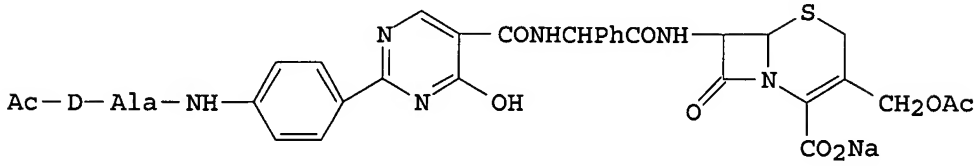
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 15772	A1	19800917	EP 1980-300737	19800311
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4311699	A	19820119	US 1980-112656	19800131
JP 55147291	A2	19801117	JP 1980-31476	19800311

PRIORITY APPLN. INFO.: US 1979-19992 19790312
US 1980-112656 19800131

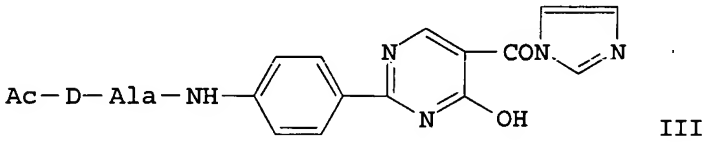
GI



I



II



III

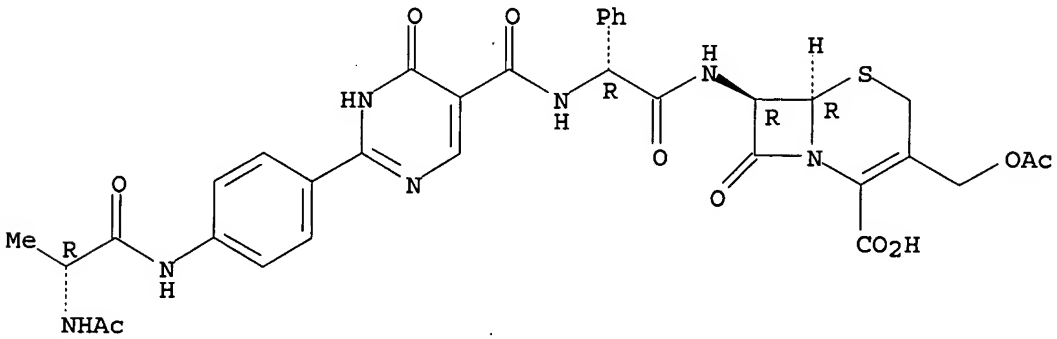
AB Cephalosporins I (R = amino acid or peptide residue; R1 = Ph, 4-HOC6H4, 2-thienyl, 1,4-cyclohexadienyl; R2 = OAc, O2CNH2, heterocyclylthio) were prepd. Thus II was prepd. by treating cephaloglycine with imidazolide III and NaOH. III was prepd. by treating 4-H2NC6H4C(:NH)NH2.2HCl with EtOCH:C(CO2Et)2, acylating the resulting aminophenylpyrimidinecarboxylic acid with Ac-D-Ala-OH, and converting to the imidazolide. II had a min. inhibitory concn. against Pseudomonas of 3.1 .mu.g/mL.

IT **76718-21-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 76718-21-3 CAPLUS

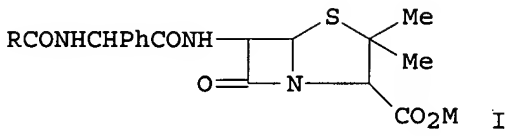
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[[2-[4-[[2-(acetylamino)-1-oxopropyl]amino]phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino]phenylacetyl]amino]-3-[(acetyloxy)methyl]-8-oxo-, monosodium salt, [6R-[6.alpha.,7.beta.[R*(R*)]]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Na

L3 ANSWER 78 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:495178 CAPLUS
DOCUMENT NUMBER: 93:95178
TITLE: Studies on .beta.-lactam antibiotics. III. Synthesis of 6-[D(-)-.alpha.-(acylamino)phenylacetamido]penicillanic acids and antibacterial activity
AUTHOR(S): Tobiki, Hisao; Yamada, Hirotada; Nakatsuka, Iwao; Shimago, Kozo; Eda, Yasuko; Noguchi, Hiroshi; Komatsu, Toshiaki; Nakagome, Takenari
CORPORATE SOURCE: Pharm. Div., Sumitomo Chem. Co., Ltd., Takarazuka, Japan
SOURCE: Yakugaku Zasshi (1980), 100(1), 38-48
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



I

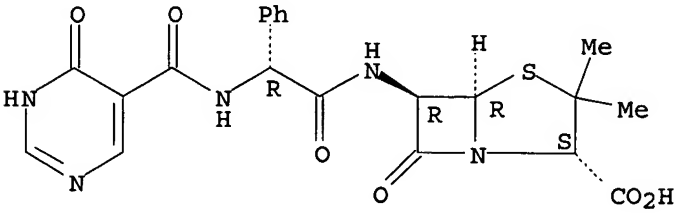
AB Thirty-four title compds. I (R = 2-pyridyl, substituted pyridyl, substituted pyridazinyl, substituted pyrimidinyl, etc.; M = H, K, Na) were prepd. by treating ampicillin with the appropriate acylating derivs. of RCO2H. I were tested against Staphylococcus aureus, Escherichia coli, Klebsiella pneumoniae, Proteus mirabilis and Pseudomonas aeruginosa.

IT **50617-43-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 50617-43-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(4-hydroxy-5-pyrimidinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monopotassium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



K

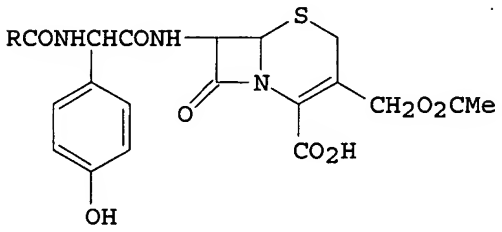
L3 ANSWER 79 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:111043 CAPLUS

10/ 070,804

DOCUMENT NUMBER: 92:111043
TITLE: [.alpha.-Acylamino-.alpha.-(p-hydroxyphenyl)acetamido]cephalosporanic acid derivatives
INVENTOR(S): Suzuki, Hiroyuki; Tanno, Norihiko; Yamada, Hirotada; Tobiki, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54122294	A2	19790921	JP 1978-29745	19780314

PRIORITY APPLN. INFO.: JP 1978-29745 19780314
GI



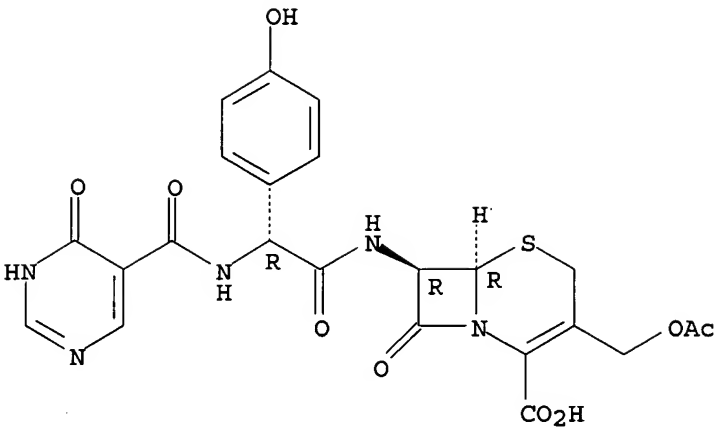
I

AB Cephalosporanic acid derivs. (I; R = OH-substituted heterocycle) and their amine and metal salts were prepd. Thus, 2.00 g d-I (R = p-methoxybenzyloxy) was added to 1.23 g p-MeC6H4SO3H and 0.27 g anisole in MeCN at 25.degree., 6 mL Me2SO, 1.38 g Et3N, and 0.73 g succinimido 4-hydroxy-3-pyridinecarboxylate were added, and the mixt. was stirred to give 84% d-I.Et3N (R = 4-hydroxy-3-pyridyl). Similarly prepd. were 13 addnl. I.

IT **66491-96-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 66491-96-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L3 ANSWER 80 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:186973 CAPLUS
DOCUMENT NUMBER: 90:186973
TITLE: 3-Heterocyclic thiomethyl 7-methoxy-7 substituted acetamido cephalosporins
INVENTOR(S): Yamade, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

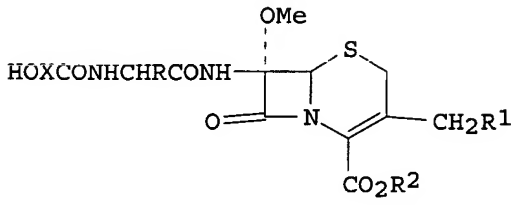
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4125611	A	19781114	US 1976-745749	19761129
JP 52068193	A2	19770606	JP 1975-142647	19751128
AU 7620018	A1	19780601	AU 1976-20018	19761125
HU 173394	P	19790428	HU 1976-SU933	19761125
DK 7605354	A	19770529	DK 1976-5354	19761126
SE 7613304	A	19770529	SE 1976-13304	19761126
NL 7613206	A	19770601	NL 1976-13206	19761126
NO 7604054	A	19770601	NO 1976-4054	19761126
ZA 7607088	A	19771026	ZA 1976-7088	19761126
AT 7608798	A	19790215	AT 1976-8798	19761126
AT 352273	B	19790910		
CA 1086716	A1	19800930	CA 1976-266656	19761126
CH 625527	A	19810930	CH 1976-14880	19761126
ES 453726	A1	19780116	ES 1976-453726	19761127
BE 848887	A1	19770316	BE 1976-172825	19761129
FR 2332758	A1	19770624	FR 1976-35943	19761129
FR 2332758	B1	19811127		
DD 128562	C	19771123	DD 1976-196021	19761129
GB 1532866	A	19781122	GB 1976-49647	19761129
CS 212257	P	19820326	CS 1976-7707	19761129
ES 463736	A1	19781216	ES 1977-463736	19771031
ES 463737	A1	19790101	ES 1977-463737	19771031
CS 212258	P	19820326	CS 1978-3750	19780608

CS 212259	P	19820326	CS 1978-3751		19780608
CS 212260	P	19820326	CS 1978-3752		19780608
AT 7805817	A	19780215	AT 1978-5817		19780810
AT 352282	B	19790910			
AT 7805816	A	19790515	AT 1978-5816		19780810
AT 353970	B	19791210			
US 4226863	A	19801007	US 1978-937626		19780825
AT 7900006	A	19791015	AT 1979-6		19790102
AT 356817	B	19800527			

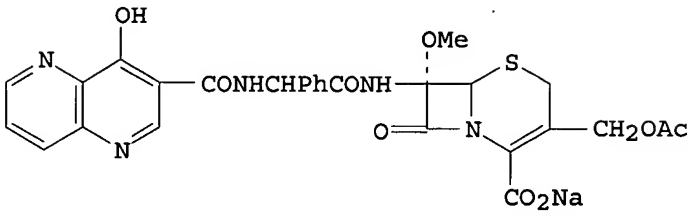
PRIORITY APPLN. INFO.:

JP 1975-142647	A	19751128
AT 1976-8798	A	19761126
US 1976-745749	A3	19761129

GI



I



II

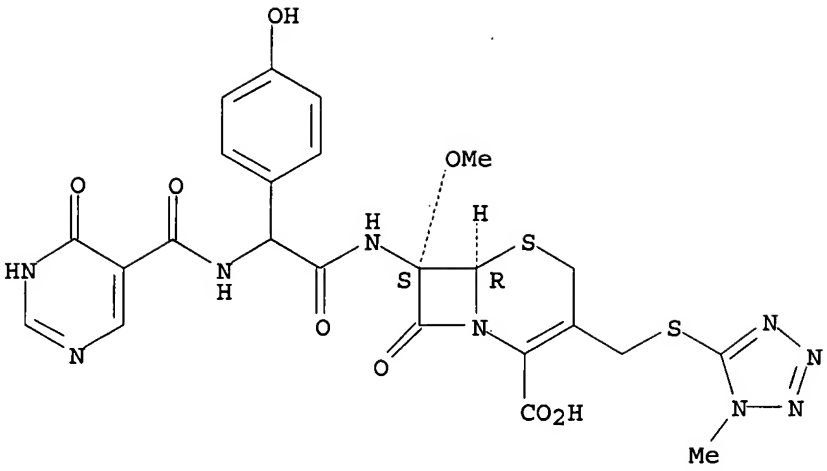
AB Cephalosporins I (X = optionally substituted N heterocycle; R = optionally substituted Ph; R1 = heterocyclylthio; R2 = H, protective group) were prepd. Thus, 0.53 g II was obtained by treating 0.549 g of the aminophenylacetamidocephem with 0.287 g 4-hydroxy-1,5-naphthyridine-3-carboxylic acid N-hydroxysuccinimide ester and 0.332 g BuCHEtCO2Na. II had a min. inhibitory concn. against Escherichia coli NIHJ of 3.13 .mu.g/mL.

IT **64152-31-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 64152-31-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6.alpha.,7.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

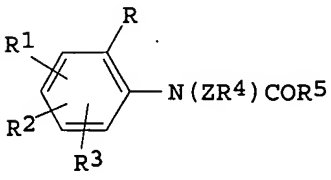


● Na

L3 ANSWER 81 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:54800 CAPLUS
DOCUMENT NUMBER: 90:54800
TITLE: Anilides
INVENTOR(S): Hubele, Adolf
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Patentschrift (Switz.), 9 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 606028	A	19781013	CH 1977-15000	19750210

PRIORITY APPLN. INFO.: CH 1977-15000 19750210
GI

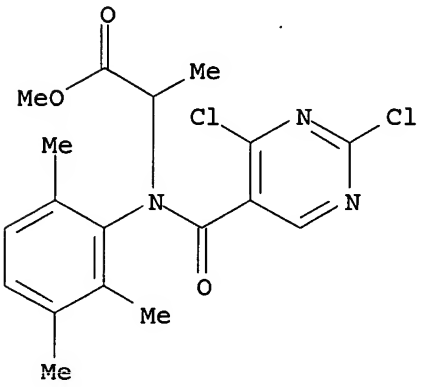


I

AB The heterocyclic anilides I [R = halogen, C1-4 alkyl or alkoxy; R1 = R2 = H, halogen, C1-4 alkyl; R3 = H, Me; Z = CH2, CHMe; R4 = (esterified) CO2H, (substituted) CONH2; R5 = 5- or 6-membered ring contg. 1 or 2 heteroatoms] were prepd. for use as phytopathol. fungicides (no data). Thus, 2,3,6-Me3C6H2NH2 reacted with BrCHMeCO2Me to give 2,3,6-Me3C6H2NHCHMeCO2Me, which reacted with 2-furoyl chloride to give I (R = Me, R2 = 3-Me, R2 = H, R3 = 6-Me, Z = CHMe, R4 = CO2Me, R5 = 2-furyl).

IT **58184-30-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)

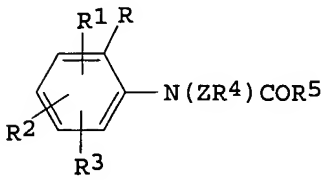
(prepn. of)
RN 58184-30-8 CAPLUS
CN Alanine, N-[(2,4-dichloro-5-pyrimidinyl)carbonyl]-N-(2,3,6-trimethylphenyl)-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 82 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:54799 CAPLUS
DOCUMENT NUMBER: 90:54799
TITLE: Heterocyclic carboxylic acid anilides
INVENTOR(S): Hubele, Adolf
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Patentschrift (Switz.), 17 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 606029	A	19781013	CH 1977-15061	19750210

PRIORITY APPLN. INFO.: CH 1977-15061 19750210
GI

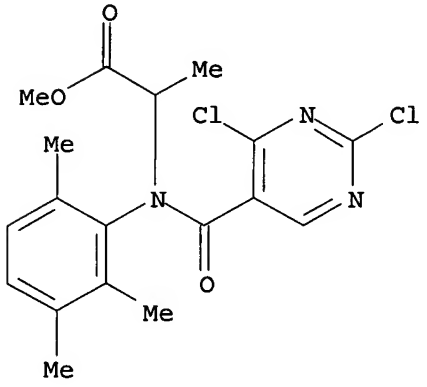


I

AB The anilides I [R = C1-4 alkyl or alkoxy, halogen; R1 = R2 = H, C1-3 alkyl, halogen; R3 = H, Me; Z = CH2, CHMe; R4 = (esterified) CO2H, (substituted) CONH2; R5 = (Me- or halogen-substituted) 5- or 6-membered heterocycle with 1 or 2 hetero atoms] were prepd. for use as phytopathol. fungicides (no data). Thus, 2,6-Me2C6H5NH2 reacted with 2-furoyl chloride, and the product was treated with BrCHMeCO2Me to give I (R = Me, R1 = R2 = H, R3 = 6-Me, ZR4 = CHMeCO2Me, R5 = 2-furyl).
IT **58184-30-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 58184-30-8 CAPLUS

10/ 070,804

CN Alanine, N-[(2,4-dichloro-5-pyrimidinyl)carbonyl]-N-(2,3,6-trimethylphenyl)-, methyl ester (9CI) (CA INDEX NAME)

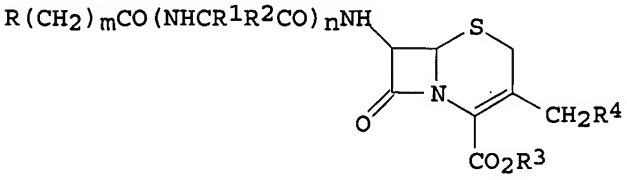


L3 ANSWER 83 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:38950 CAPLUS
DOCUMENT NUMBER: 90:38950
TITLE: Cephem-4-carboxylic acid derivatives
INVENTOR(S): Kocsis, Karoly; Fechtig, Bruno; Bickel, Hans
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Patentschrift (Switz.), 7 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

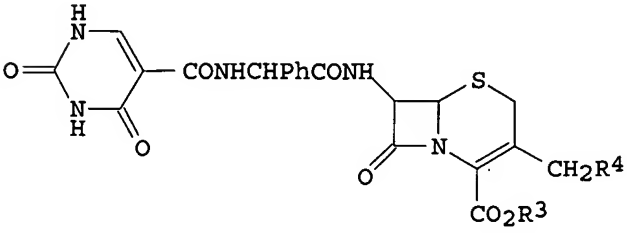
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 606016	A	19781013	CH 1973-11198	19730801
ES 419189	A1	19770101	ES 1973-419189	19730928

PRIORITY APPLN. INFO.:
CH 1972-14257 19720929
CH 1973-3694 19730314
CH 1973-7444 19730524
CH 1973-11198 19730801

GI



I



II

10/ 070,804

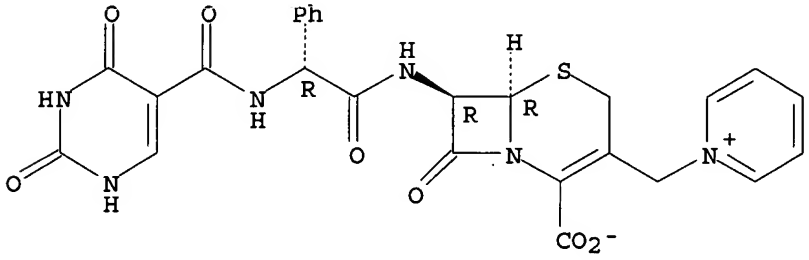
AB The cephemcarboxylates I [R1 = H; R2 = (substituted) Ph, thienyl, or furyl; R1R2C = C4-7 cycloalkyl; R4 = (substituted) pyridinio; R3 = H, ester group; R = pyrimidinyl substituted by oxo, thioxo, NH2, SH, OH or combinations thereof] were prepd. Thus, II (R3 = Na, R4 = AcO) was treated with BzSH and NaHCO3 to give II (R4 = BzS), which was treated with pyridine and Hg perchlorate, then with NaI-HCl to give II (R3 = H, R4 = pyridinio) iodide.

IT **52759-32-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and salt formation of)

RN 52759-32-7 CAPLUS

CN Pyridinium, 1-[[2-carboxy-8-oxo-7-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 84 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:443300 CAPLUS

DOCUMENT NUMBER: 89:43300

TITLE: Studies on pyrimidine derivatives and their related compounds. XCI. On the oxidation products of 2-substituted 1,4-thiazin-3-one derivatives

AUTHOR(S): Takamizawa, Akira; Harada, Hiroshi; Makino, Itsuo

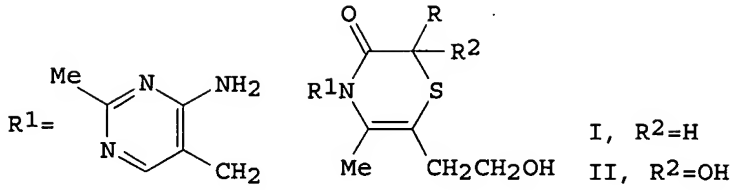
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1978), 26(3), 722-35
CODEN: CPBTAL; ISSN: 0009-2363

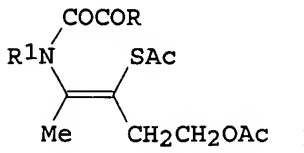
DOCUMENT TYPE: Journal

LANGUAGE: English

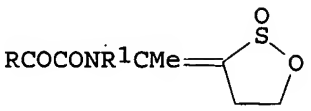
GI



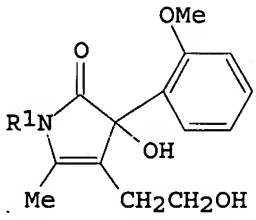
I, R^2=H
II, R^2=OH



III



IV



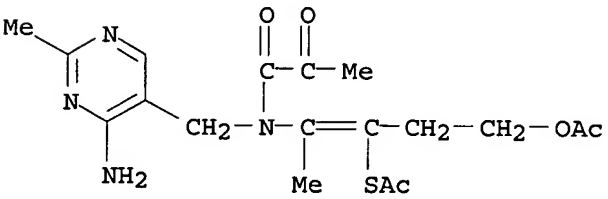
V

AB Treatment of 2-p-chlorophenylthiazinothiamine I (R = p-ClC6H4) with H2O2 gave 2-hydroxy-2-p-chlorophenylthiazinothiamine II (R = p-ClC6H4). Similar reaction products of I (R = Ph, Me) were reinvestigated and found to give II. Reactions of II with Ac2O gave the corresponding oxalamides III. Treatment of I (R = o-MeC6H4) with H2O2 gave the oxathiolanylideneethyloxalamide IV. Similar treatment of I (R = o-MeOC6H4) with H2O2 gave the .DELTA.2-pyrrolin-5-one V. Oxidns. of I (R = Ph, o-MeC6H4, o-MeOC6H4) with m-ClC6H4C(O)OOH also gave IV.

IT **66666-32-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 66666-32-8 CAPLUS

CN Ethanethioic acid, S-[1-[2-(acetyloxy)ethyl]-2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl](1,2-dioxopropyl)amino]-1-propenyl] ester (9CI) (CA INDEX NAME)



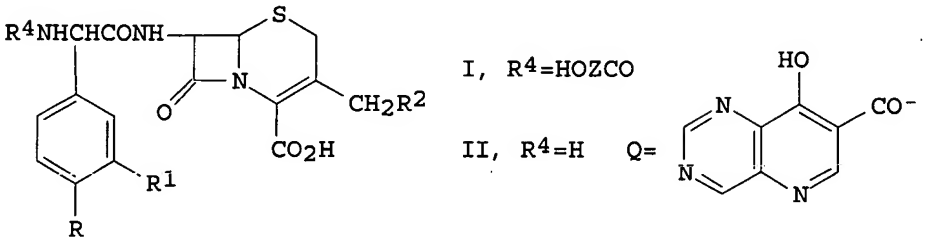
L3 ANSWER 85 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:190862 CAPLUS
DOCUMENT NUMBER: 88:190862
TITLE: 7-(N-Acylamino-.alpha.-arylacetamido)cephalosporins
INVENTOR(S): Yamada, Hirotada; Okamura, Kosaku; Tobiki, Hisao; Tanno, Norihiko; Shimaji, Kozo; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; et al.
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

10/ 070,804

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52156893	A2	19771227	JP 1977-64213	19770531

PRIORITY APPLN. INFO.: JP 1977-64213 19770531
GI

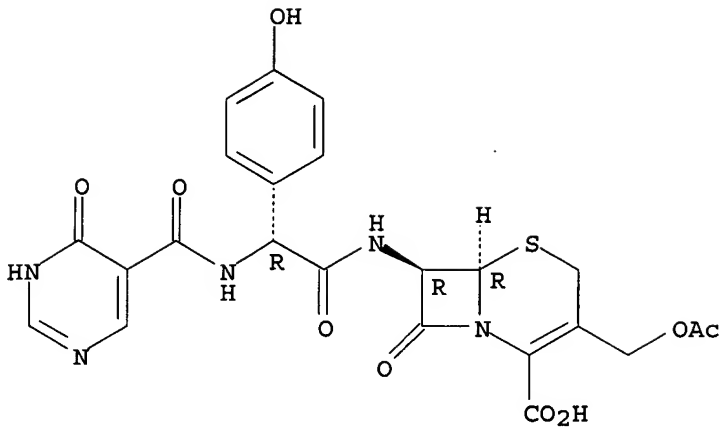


AB Twenty-six title compds. I [R, R1 = H, OH; R2 = OAc, SR3 (R3 = 5-membered heterocyclic rings; Z = pyridazine, pyrimidine, cinnoline, and other heterocyclic ring groups] were prepd., e.g., by reaction of HOZCO2H or their reactive derivs. with II or their reactive derivs. Thus, 2.88 g 8-hydroxypyrido[3,2-d]pyrimidine-7-carboxylic acid N-hydroxysuccinimide ester was added to a mixt. of 4.21 g DL-II (R = OH, R1 = H, R2 = OAc) and 2.02 g Et3N in Me2SO and the whole stirred 1 h at room temp. to give, after treatment with 2.32 g Na 2-ethylhexanoate, 5.05 g D-I Na salt (R = OH, R1 = H, R2 = OAc, R4 = Q, which was freed with 3N HCl. Min. inhibitory concns. of I were given against Staphylococcus aureus, E. coli, Klebsiella pneumoniae, etc. in comparison with cephaloglycin, cefalotin, and cefazolin.

IT **66491-96-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 66491-96-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

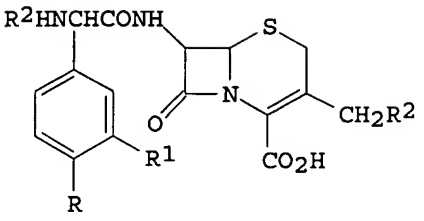


● Na

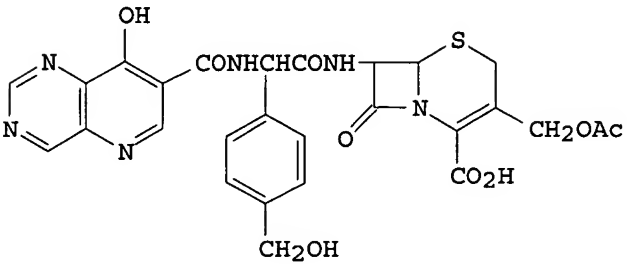
L3 ANSWER 86 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:170169 CAPLUS
DOCUMENT NUMBER: 88:170169
TITLE: 7-(N-Acylamino-.alpha.-arylacetamido)cephalosporanic acids
INVENTOR(S): Yamada, Hirotada; Okamura, Kosaku; Tobiki, Hisao; Tanno, Norihiko; Shimaji, Kozo; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; et al.
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52156895	A2	19771227	JP 1977-64215	19770531

PRIORITY APPLN. INFO.: JP 1977-64215 19770531
GI



I, R^2=HOZCO
II, R^2=H



III

AB One hundred and nine title compds. I [R, R1 = H, CH2OH, NH2, NHCONH2; R2 = OAc, SR3 (R3 = 5- or 6-membered heterocyclic rings); Z = mono- or dicyclic N-contg. 6-membered ring] were prepd., e.g., by reaction of HOZCO2H or their derivs. with II or their derivs. Thus, 0.287 g 8-hydroxypyrido[3,2-d]pyrimidine-7-carboxylic acid N-hydroxysuccinimide ester was added to a mixt. of 0.435 g DL-II (R = CH2OH, R1 = H, R2 = OAc) and 0.202 g Et3N in Me2SO and the whole stirred 1 h at room temp. to give, after treatment with 0.232 g Na 2-ethylhexanoate, 0.5 g DL-III Na salt. Min. inhibitory concns. of I were given against Staphylococcus aurreus, E. coli, etc. in comparison with cephaloglycin, cefalotin, and cefazolin.

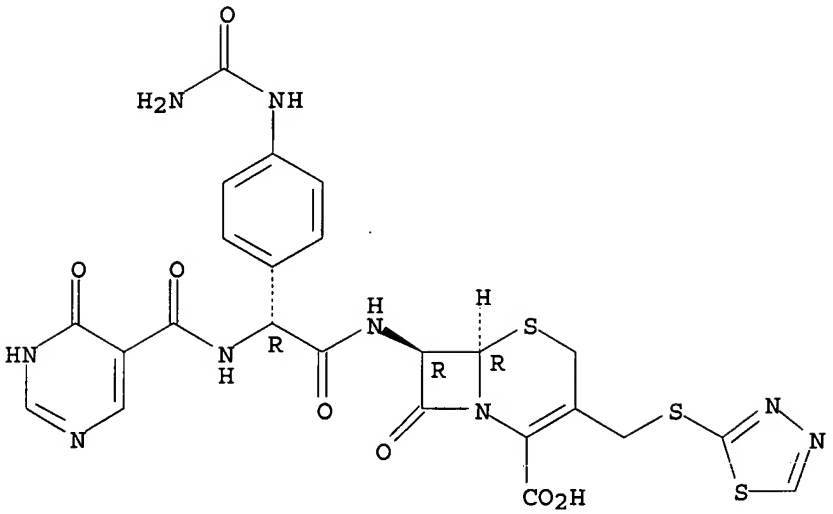
IT **60214-97-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 60214-97-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[4-[(aminocarbonyl)amino]phenyl][[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-8-oxo-3-[(1,3,4-thiadiazol-2-ylthio)methyl]-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



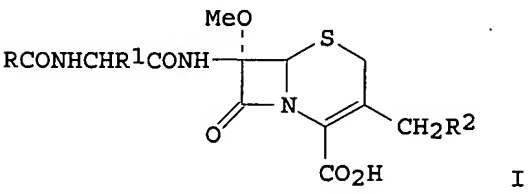
PAGE 2-A

● Na

L3 ANSWER 87 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:136634 CAPLUS
DOCUMENT NUMBER: 88:136634
TITLE: 7.alpha.-Methoxycephalosporins
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52106886	A2	19770907	JP 1976-23480	19760303

PRIORITY APPLN. INFO.: JP 1976-23480 19760303
GI



I

AB Fifty-two antibacterial cephalosporins I (R = 4-hydroxy-1,5-naphthyridin-3-yl, 4-hydroxy-3-pyridyl, etc.; R1 = Ph, p-hydroxyphenyl, 2-thienyl, etc.;

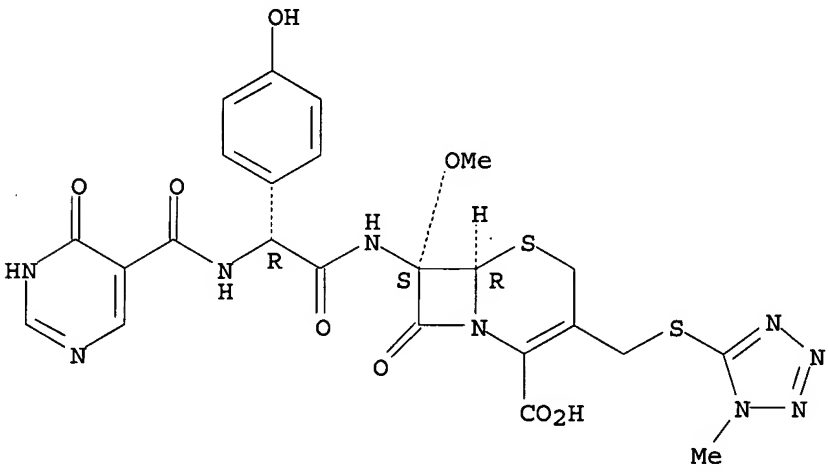
R2 = OAc, O2CNH2, pyridinio, 1-methyltetrazol-5-yl, etc.) were prepd. by N-acylation or nucleophilic replacement. Thus, 7.beta.-(D-2-amino-2-phenylacetamido)-7.alpha.-methoxycephalosporanic acid was acylated with N-hydroxysuccinimide ester of 4-hydroxy-1,5-naphthyridine-3-carboxylic acid and heated with C5H5N and KSCN in H2O to give I (R = 4-hydroxy-1,5-naphthyridin-3-yl, R1 = Ph), where R2 = OAc (Na salt) and pyridinio (hydrothiocyanate).

IT **65759-70-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 65759-70-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-[6.alpha.,7.alpha.,7(R*)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

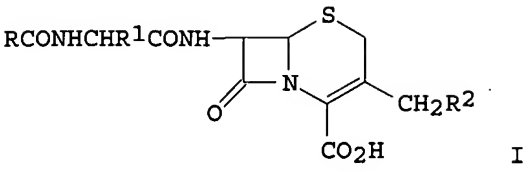


● Na

L3 ANSWER 88 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1978:89687 CAPLUS
DOCUMENT NUMBER: 88:89687
TITLE: Cephalosporins
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52106885	A2	19770907	JP 1976-22931	19760302

PRIORITY APPLN. INFO.: JP 1976-22931 19760302
GI



I

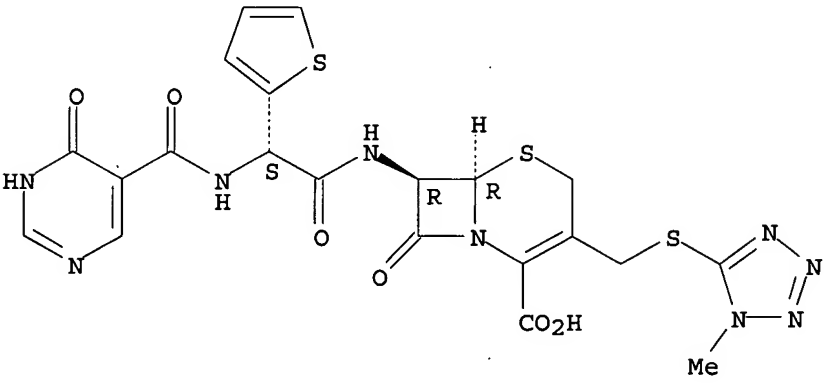
AB Forty-one antibacterial cephalosporins I (R = 4-hydroxy-3-pyridyl, 4-hydroxy-1,5-naphthyridin-3-yl, etc.; R1 = 2-thienyl, 1,4-cyclohexadienyl, etc.; R2 = OAc, 1-methyltetrazol-5-ylthio, 2-methyl-1,3,4-thiadiazol-5-ylthio, etc.) were prepd. by N-acylation and reaction with thiols. Thus, 7-(D-.alpha.-amino-2-thienylacetamido)cephalosporanic acid was acylated with N-hydroxysuccinimide ester of 4-hydroxynicotinic acid and treated with thiols R2H (R2 = 1-methyltetrazol-5-ylthio, 2-methyl-1,3,4-thiadiazol-5-ylthio) to give I (R = 4-hydroxy-3-pyridyl, R1 = 2-thienyl, R2 as above) Na salts.

IT **65701-10-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 65701-10-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino]-2-thienylacetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6.alpha.,7.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 89 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:62402 CAPLUS

DOCUMENT NUMBER: 88:62402

TITLE: 7-(N-acylamino-.alpha.-arylacetamido)cephalosporins

INVENTOR(S): Yamada, Hirotada; Okamura, Hirosaku; Tohiki, Hisao; Tanno, Norihiko; Shimaji, Kozo; Nakagome, Takeya; Komatsu, Toshiaki; Izawa, Akio

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

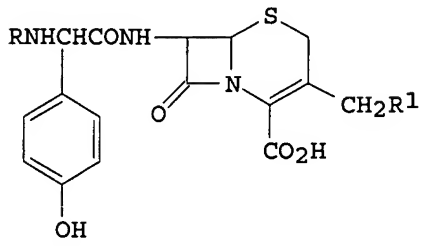
10/ 070,804

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52093790	A2	19770806	JP 1976-10486	19760202
JP 54043518	B4	19791220		

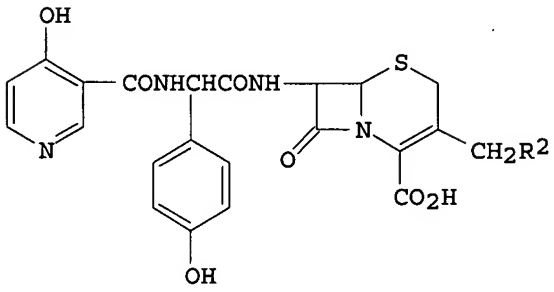
PRIORITY APPLN. INFO.: JP 1976-10486 19760202

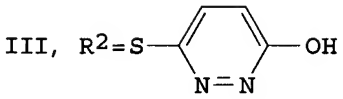
GI



I, R=HOZCO

II, R=H





III, R^2=S

IV, R^2=OAc

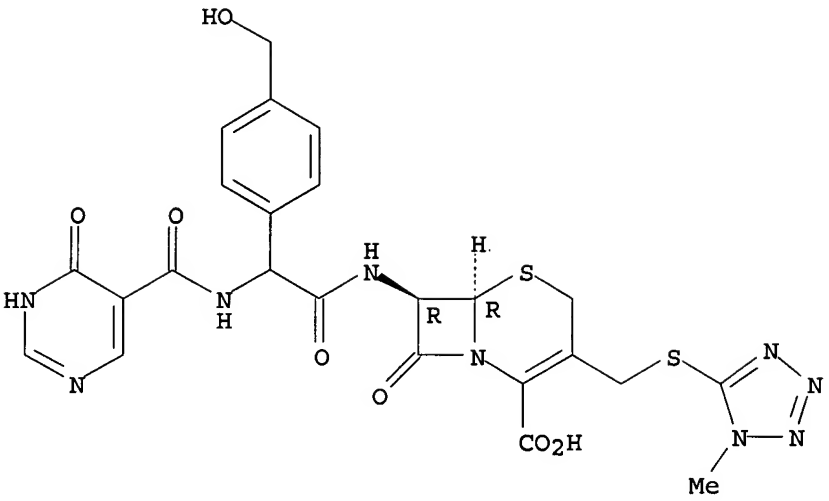
AB I (HOZ = 8-hydroxypyrido[3,2-d]pyrimidin-7-yl, 4-hydroxycinnolin-3-yl; R1 = AcO, 1-methyl-5-tetrazolylthio) were prepd. by acylation of II. III was prepd. by treating the Na salt of IV with 3-hydroxypyridazine-6-thiol. The bactericidal activities of the above compds. and related compds. (188 compds.) are tabulated.

IT **60215-65-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 60215-65-8 CAPLUS

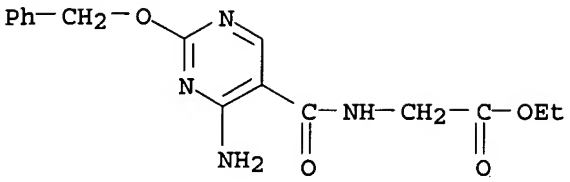
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino][4-(hydroxymethyl)phenyl]acetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L3 ANSWER 90 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:601990 CAPLUS
DOCUMENT NUMBER: 87:201990
TITLE: Potential anticancer agents: synthesis of cytidine-5-carboxylic acid and cytosine-5-carbonylamino acids
AUTHOR(S): Gupta, Shri P.; Chatterjee, S. S.; Jain, Padam C.; Anand, Nitya
CORPORATE SOURCE: Div. Med. Chem., Central Drug Res. Inst., Lucknow, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(5), 463-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Condensation of the mercury deriv. of Et cytosine-5-carboxylate with 2,3,5-tribenzoylribofuranosyl chloride I (R = Bz, R1 = Et), which on treatment with NaOEt gave cytidine-5-carboxylic acid (I, R = R1 = H). Cytosine and O-benzylcytosine-5-carbonylamino acids were prepd. by coupling the corresponding azides with various amino acids. None of these compds. show any interesting anticancer activity.
IT **64623-43-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as potential anticancer agents)
RN 64623-43-4 CAPLUS
CN Glycine, N-[[4-amino-2-(phenylmethoxy)-5-pyrimidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

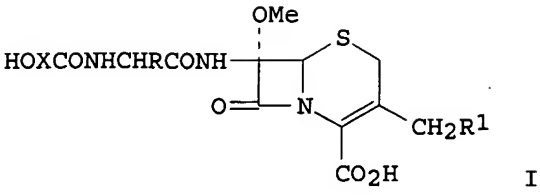


L3 ANSWER 91 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:552233 CAPLUS
DOCUMENT NUMBER: 87:152233
TITLE: 7-Methoxycephalosporins and their salts
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2653820	A1	19770602	DE 1976-2653820	19761126
JP 52068193	A2	19770606	JP 1975-142647	19751128
AU 7620018	A1	19780601	AU 1976-20018	19761125
HU 173394	P	19790428	HU 1976-SU933	19761125
DK 7605354	A	19770529	DK 1976-5354	19761126
SE 7613304	A	19770529	SE 1976-13304	19761126
NL 7613206	A	19770601	NL 1976-13206	19761126
NO 7604054	A	19770601	NO 1976-4054	19761126
ZA 7607088	A	19771026	ZA 1976-7088	19761126
AT 7608798	A	19790215	AT 1976-8798	19761126
AT 352273	B	19790910		
CA 1086716	A1	19800930	CA 1976-266656	19761126
CH 625527	A	19810930	CH 1976-14880	19761126
ES 453726	A1	19780116	ES 1976-453726	19761127
BE 848887	A1	19770316	BE 1976-172825	19761129
FR 2332758	A1	19770624	FR 1976-35943	19761129
FR 2332758	B1	19811127		
DD 128562	C	19771123	DD 1976-196021	19761129
GB 1532866	A	19781122	GB 1976-49647	19761129
CS 212257	P	19820326	CS 1976-7707	19761129
ES 463736	A1	19781216	ES 1977-463736	19771031
ES 463737	A1	19790101	ES 1977-463737	19771031
CS 212258	P	19820326	CS 1978-3750	19780608
CS 212259	P	19820326	CS 1978-3751	19780608
CS 212260	P	19820326	CS 1978-3752	19780608
AT 7805817	A	19780215	AT 1978-5817	19780810
AT 352282	B	19790910		
AT 7805816	A	19790515	AT 1978-5816	19780810
AT 353970	B	19791210		
AT 7900006	A	19791015	AT 1979-6	19790102
AT 356817	B	19800527		

PRIORITY APPLN. INFO.: JP 1975-142647 A 19751128
AT 1976-8798 A 19761126

GI



I

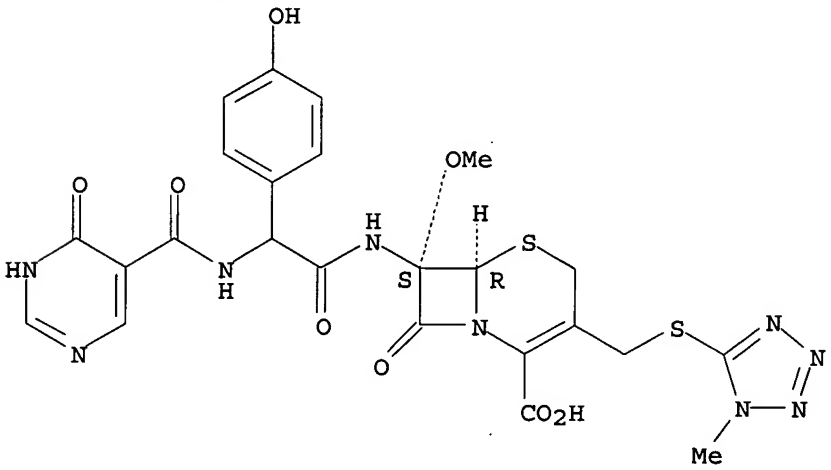
AB Cephalosporins I (X = N heterocycle, e.g., HOX = 4-hydroxy-1,5-naphthyridin-3-yl; R = Ph, substituted phenyl, 1,4-cyclohexadienyl, 2-thienyl; R1 = heterocyclylthio, OAc, pyridinium, O2CNH2)(53 compds.) were prepd. e.g. by acylating the aminoacetamidocephems. I had min. inhibitory concns. against Escherichia coli NIHJ 0.78-25 ppm.

IT **64152-31-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 64152-31-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6.alpha.,7.alpha.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L3 ANSWER 92 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:517851 CAPLUS
DOCUMENT NUMBER: 87:117851
TITLE: Broad spectrum antibiotics
INVENTOR(S): Hamanaka, Ernest S.; Stam, John G.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 43 pp. Division of U.S. 3,951,952.
CODEN: USXXAM
DOCUMENT TYPE: Patent

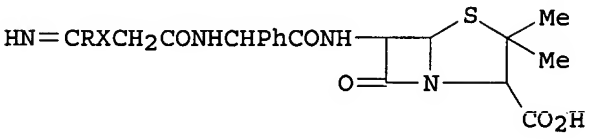
10/ 070,804

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4025504	A	19770524	US 1975-640899	19751215
US 3951952	A	19760420	US 1973-424891	19731214
IN 141818	A	19770423	IN 1975-CA1041	19750523

PRIORITY APPLN. INFO.: US 1972-277064 A2 19720802
US 1973-424891 A3 19731214
IN 1973-138817 A1 19730723

GI



I

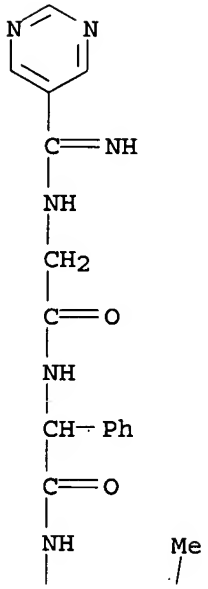
AB Penicillins including I [R = NH2, X = CH2 (II); R = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, X = CH2] were prepd. Thus, ampicillin NEt3 salt was treated with H2NC(:NH)CH2CH2COCl to give 47.4% II. II had a min. inhibitory concn. against Escherichia coli 51A266 of 1.56 .mu.g/mL and in vivo gave 20% protection against the same organism at 50 mg/kg orally or 80% protection at 50 mg/kg s.c.

IT **57869-34-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

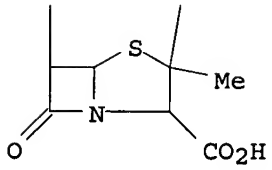
RN 57869-34-8 CAPLUS

CN Glycinamide, N-(imino-5-pyrimidinylmethyl)glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

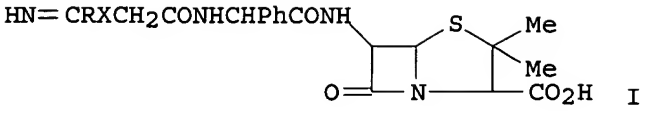


L3 ANSWER 93 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:484989 CAPLUS
DOCUMENT NUMBER: 87:84989
TITLE: Broad spectrum antibiotics
INVENTOR(S): Hamanaka, Ernest S.; Stam, John G.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 41 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4025506	A	19770524	US 1975-640572	19751215
US 3951952	A	19760420	US 1973-424891	19731214
IN 141818	A	19770423	IN 1975-CA1041	19750523

PRIORITY APPLN. INFO.: US 1972-277064 A2 19720802
US 1973-424891 A3 19731214
IN 1973-138817 A1 19730723

GI



I

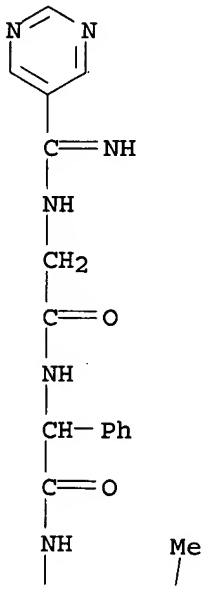
AB Penicillins such as I (R = NH2, X = CH2; R = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, X = NH) were prepd. Thus ampicillin was acylated with H2NC(:NH)CH2CH2COCl.HCl to give 47.4% I (R = NH2, X = CH2) which had a min. inhibitory concn. against Escherichia coli 51A266 of 1.56 .mu.g/mL.

IT **57869-34-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

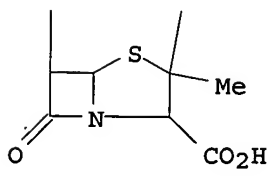
RN 57869-34-8 CAPLUS

CN Glycinamide, N-(imino-5-pyrimidinylmethyl)glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

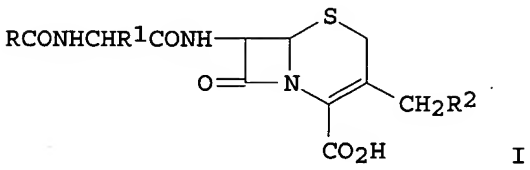


10/ 070,804

ACCESSION NUMBER: 1977:453341 CAPLUS
DOCUMENT NUMBER: 87:53341
TITLE: Cephalosporins
INVENTOR(S): Yamada, Hirotada; Nakagome, Takenari; Komatsu, Toshiaki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52025791	A2	19770225	JP 1975-101013	19750819

PRIORITY APPLN. INFO.: JP 1975-101013 19750819
GI



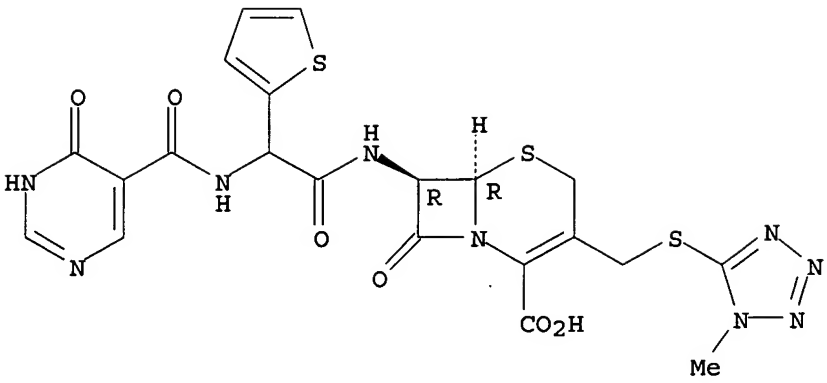
I

AB Thirty-seven I [R = 4-hydroxy-3-pyridyl, 4-hydroxy-5-pyrimidinyl, etc.; R1 = 2-thienyl, cyclohexyl, etc.; R2 = Ac, (1-methyltetrazol-5-yl)thio, etc.] were prepd. Thus, 4.11 g 7-[D-.alpha.-amino-.alpha.-(2-thienyl)acetamido]cephalosporanic acid in a mixt. of NEt3 and DMSO was treated with 2.36 g N-hydroxysuccinimide 4-hydroxy-3-pyridinecarboxylate, then treated with Na 2-ethylhexanoate to give 4.2 g Na salt of I (R = 4-hydroxy-3-pyridyl, R1 = 2-thienyl, R2 = Ac). I had antibacterial activity at 0.2->200 .mu.g/mL.

IT **63380-69-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of)

RN 63380-69-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino]-2-thienylacetyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, monosodium salt, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

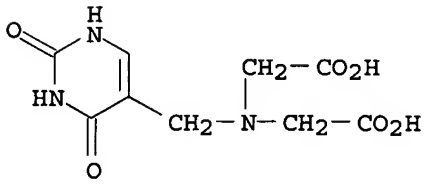


● Na

L3 ANSWER 95 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:453192 CAPLUS
DOCUMENT NUMBER: 87:53192
TITLE: Application of the Mannich reaction for introduction of chelating groups into a biologically active carrier
AUTHOR(S): Rzeszotarski, W. J.; Eckelman, W. C.; Reba, R. C.
CORPORATE SOURCE: Div. Nucl. Med., George Washington Univ. Med. Cent., Washington, DC, USA
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1977), 13(2), 171
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Uracil with paraformaldehyde and iminodiacetic acid di-Na salt gave 5-N',N'-dicarboxymethylaminomethyluracil, the 57Co chelate of which localized in rat tumors and cleared the blood rapidly giving a 5:1 tumor to blood ratio at 24 h. Similar Mannich reactions of hexestrol and dihydrotestosterone gave mixts. of products.

IT **63624-99-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 63624-99-7 CAPLUS
CN Glycine, N-(carboxymethyl)-N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]- (9CI) (CA INDEX NAME)



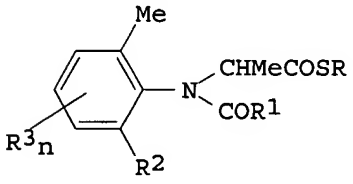
L3 ANSWER 96 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:405793 CAPLUS
DOCUMENT NUMBER: 87:5793
TITLE: Microbicide compositions

10/ 070,804

INVENTOR(S): Hubele, Adolf; Kunz, Walter; Eckhardt, Wolfgang
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2643404	A1	19770407	DE 1976-2643404	19760927
DE 2643404	C2	19870409		
CH 617568	A	19800613	CH 1975-12648	19750930
FR 2326423	A1	19770429	FR 1976-29116	19760928
FR 2326423	B1	19790608		
CA 1065332	A1	19791030	CA 1976-262215	19760928
BE 846695	A1	19770329	BE 1976-171031	19760929
NL 7610794	A	19770401	NL 1976-10794	19760929
GB 1550180	A	19790808	GB 1976-40475	19760929
AT 352469	B	19790925	AT 1976-7219	19760929
AT 7607219	A	19790215		
AU 503966	B2	19790927	AU 1976-18223	19760929
IL 50574	A1	19791230	IL 1976-50574	19760929
JP 52042870	A2	19770404	JP 1976-117897	19760930
JP 62050469	B4	19871024		
SU 648042	D	19790215	SU 1976-2402961	19760930

PRIORITY APPLN. INFO.: CH 1975-12648 19750930
GI



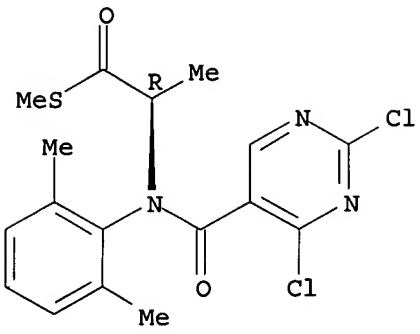
I

AB I (R = Me, Et; R1 = 2-furyl, tetrahydro-2-furyl, 5-bromo-2-furyl, 2-thienyl, 2,4-dichloro-5-pyrimidinyl; R2 = Br, Cl, Me, Et; R3n = e.g. H, 3-Me, 4-Me, 3,5-Me2, 3-Br, 4-Cl), useful as fungicides, are prepd. by std. procedures. Thus, reaction of 2,6-Me2C6H3NH2 with MeCHBrCOSMe in presence of NaHCO3 gives after 10 h at 120.degree. 2,6-Me2C6H3NHCHMeCOSMe (II). Reaction of II with 2-furancarbonyl chloride in PhMe at room temp. for 12 h, followed by 2 h reflux, gives I (R = R2 = Me, R1 = 2-furyl, R3n = H) (III). Soil treatment with 0.02% III (based on soil vol.) inhibits almost completely infestation with Phytophthora infestans in tomatoes.

IT **63078-67-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

RN 63078-67-1 CAPLUS
CN Propanethioic acid, 2-[[(2,4-dichloro-5-pyrimidinyl)carbonyl](2,6-dimethylphenyl)amino]-, S-methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

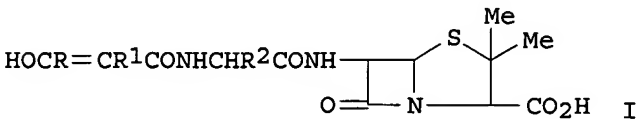


L3 ANSWER 97 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:189920 CAPLUS
DOCUMENT NUMBER: 86:189920
TITLE: Penicillins
INVENTOR(S): Yamada, Hirotada; Tobiki, Hisao; Nakatsuka, Iwao; Tanno, Norihiko; Shimago, Kozo; Nakagome, Takenari
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: U.S., 11 pp. Division of U.S. 3,945,995.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4005075	A	19770125	US 1975-610754	19750905
JP 49125387	A2	19741130	JP 1973-39358	19730405
JP 57051837	B4	19821104		
US 3945995	A	19760323	US 1974-458417	19740405

PRIORITY APPLN. INFO.: JP 1973-39358 19730405
US 1974-458417 19740405

GI



I

AB Penicillins I (RR1 = atoms required to complete a N heterocycle; R2 = Ph, 4-HOC6H4, 3-HOC6H4, 1,4-cyclohexadienyl) (28 compds.) were prepd. by deacylating benzylpenicillin esters, acylating 6-aminopenicillanates with H2NCHR2CO2H derivs. and acylating the amine group with heterocyclic carbonyl chloride or N-hydroxysuccinimide ester. I had min. inhibitory concns. against Staphylococcus aureus 209P of 0.2-3.13 .mu.g/ml.

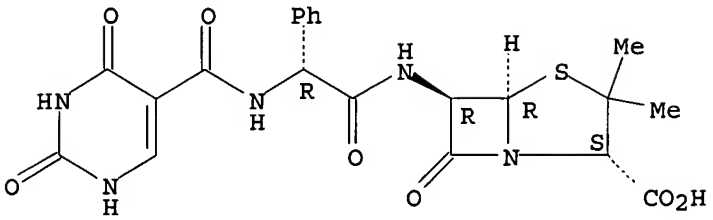
IT **51776-99-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 51776-99-9 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

10/ 070,804

Absolute stereochemistry.



● Na

L3 ANSWER 98 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:560128 CAPLUS
DOCUMENT NUMBER: 85:160128
TITLE: Cephalosporin-related compounds
INVENTOR(S): Iwanami, Masaru; Murakami, Masuo; Isaka, Ichiro; Shibanuma, Tadao; Maeda, Tetsuya; Fujimoto, Masaharu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51048686	A2	19760426	JP 1974-119638	19741017
AT 7502462	A	19761115	AT 1975-2462	19750401
AT 337895	B	19770725		
DE 2514322	A1	19751009	DE 1975-2514322	19750402
FR 2266507	A1	19751031	FR 1975-10474	19750403
FR 2266507	B1	19781110		
AU 7579789	A1	19761007	AU 1975-79789	19750403
DK 7501438	A	19751006	DK 1975-1438	19750404
SE 7503875	A	19751006	SE 1975-3875	19750404

PRIORITY APPLN. INFO.:
JP 1974-38545 19740405
JP 1974-41884 19740415
JP 1974-63124 19740604
JP 1974-64774 19740607
JP 1974-116976 19741011
JP 1974-119638 19741017
JP 1975-13200 19750131

GI For diagram(s), see printed CA Issue.

AB Cephalosporin-related compds. I [ring A = a pyridine, dihydropyridine, or pyrimidine ring; R = alkyl, OH, oxo, alkoxy; R1 = H, OH, oxo, alkoxy; Z = N or CR4 (R4 = alkyl); Z1 = S, NH; R2, R3 = H, alkyl; m, n = 0,1] were prepd. by reaction of 7-(acylamino)cephalosporanic acids II or their salts with thiazole or thiadiazole thiol derivs. III or their SH alkali metal derivs. I had antibacterial activity (no data). Thus, an aq. mixt. of 7-[D-.alpha.-(1-methyl-4-oxo-1,4-dihydropyridin-3-ylcarboxamido)-.alpha.-phenylacetamido]cephalosporanic acid 760, 2,5-dimercapto-1,3,4-thiadiazole 422 mg, and NaHCO3 in H2O was stirred 20 hr at 50-5.degree. to give 500 mg 7-[D-.alpha.-(1-methyl-4-oxo-1,4-dihydropyridin-3-ylcarboxamido)-.alpha.-phenylacetamido]-3-(5-mercapto-1,3,4-thiadiazol-2-yl)thiomethyl-.DELTA.3-cephem-4-carboxylic acid. Among 12 addnl. I prepd. were

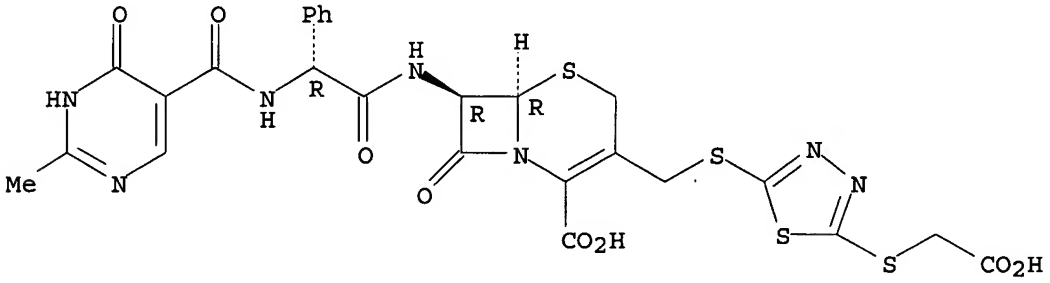
7-[D-.alpha.-(4-hydroxy-2-methylpyrimidin-5-ylcarboxamido)-.alpha.-phenylacetamido]-3-(5-carboxymethylthio-1,3,4-thiadiazol-2-yl)thiomethyl-.DELTA.3-cephem-4-carboxylic acid, 7-[D-.alpha.-(4-hydroxynicotinoylamino)-.alpha.-phenylacetamido]-3-[[5-(1-carboxyethylthio)-1,3,4-thiadiazol-2-yl]thiomethyl]-.DELTA.3-cephem-4-carboxylic acid, 7-[D-.alpha.-(4-hydroxynicotinoylamino)-.alpha.-phenylacetamido]-3-[[5-(1-carboxy-1-methylethylthio)-1,3,4-thiadiazol-2-yl]thiomethyl]-.DELTA.3-cephem-4-carboxylic acid, and 7-[D-.alpha.-(4-hydroxynicotinoylamino)-.alpha.-phenylacetamido]-3-[(5-amino-1,3,4-thiadiazol-2-yl)thiomethyl]-.DELTA.3-cephem-4-carboxylic acid.

IT **57658-47-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 57658-47-6 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[5-[(carboxymethyl)thio]-1,3,4-thiadiazol-2-yl]thio]methyl]-7-[[[[(1,4-dihydro-2-methyl-4-oxo-5-pyrimidinyl)carbonyl]amino]phenylacetyl]amino]-8-oxo-, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 99 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:494388 CAPLUS

DOCUMENT NUMBER: 85:94388

TITLE: Cephaloglycin N-acyl derivatives

INVENTOR(S): Iwanami, Masaru; Murakami, Masuo; Isaka, Ichiro; Maeda, Tetsuya; Shibanuma, Tadao

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

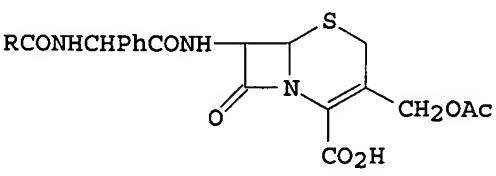
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51043783	A2	19760414	JP 1974-116600	19741009

PRIORITY APPLN. INFO.: JP 1974-116600 19741009

GI



I

10/ 070,804

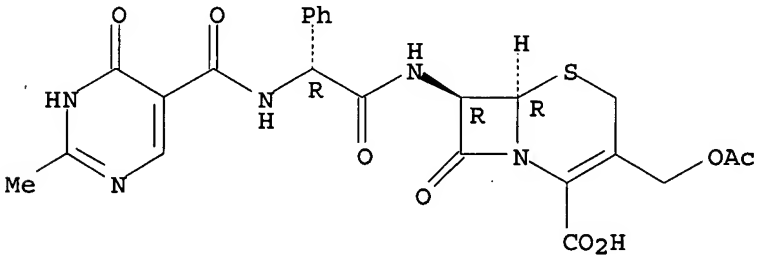
AB The cephalosporins I [R = 1-alkyl (or -alkoxy)-4-oxo-1,4-dihydro-2(or 3)-pyridyl, 2-alkyl(or -alkoxy)-4-hydroxy-5(or 6)-pyrimidinyl, 4-alkyl(or -alkoxy)-2(or 3)-pyridyl, 3-alkyl(or -alkoxy)-4-hydroxy-5-pyridyl] were prepd. by acylating cephaloglycin with RCO2H or their reactive derivs. I are esp. effectve against Pseudomonas and Proteus species (no data). Thus, 465 mg 1-methyl-4-oxo-1,4-dihydropyridine-3-carbonyl chloride was treated with 1 g cephaloglycin in CH2Cl2 contg. Et3N at -10.degree.) for 1 hr to give 380 g I (R = 1-methyl-4-oxo-1,4-dihydro-3-pyridylcarbonyl). Also prepd. were I (R = 4-hydroxy-2-methyl-5-pyrimidinyl, 4-methoxy-3-pyridyl, 4-hydroxy-3-methyl-5-pyridyl).

IT **57658-46-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 57658-46-5 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[(1,4-dihydro-2-methyl-4-oxo-5-pyrimidinyl)carbonyl]amino]phenylacetyl]amino]-8-oxo-, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 100 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:494384 CAPLUS
DOCUMENT NUMBER: 85:94384
TITLE: 7-(.alpha.-Acylamino-.alpha.-hydroxyphenylacetamido)cephalosporins
INVENTOR(S): Yamada, Hirotada; Okamura, Kosaku; Tobiki, Hisao; Tanno, Norihiko; Shimago, Kozo; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; et al.
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

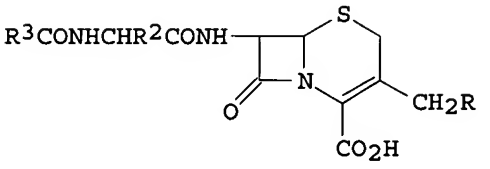
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51032576	A2	19760319	JP 1974-103183	19740906
JP 54043516	B4	19791220		
CA 1074784	A1	19800401	CA 1975-234729	19750903
BE 833063	A1	19760304	BE 1975-159734	19750904
DK 7503983	A	19760307	DK 1975-3983	19750904
DK 157246	B	19891127		
DK 157246	C	19900507		
SE 7509861	A	19760308	SE 1975-9861	19750904
SE 431211	B	19840123		
SE 431211	C	19840503		

ZA 7505654	A	19760825	ZA 1975-5654	19750904
NO 7503054	A	19760309	NO 1975-3054	19750905
NO 153573	B	19860106		
NO 153573	C	19860416		
NL 7510486	A	19760309	NL 1975-10486	19750905
DE 2539664	A1	19760318	DE 1975-2539664	19750905
DE 2539664	C2	19880929		
FR 2283688	A1	19760402	FR 1975-27357	19750905
FR 2283688	B1	19781110		
DD 122252	C	19760920	DD 1975-188216	19750905
ES 440739	A1	19770616	ES 1975-440739	19750905
HU 170897	P	19770928	HU 1975-SU901	19750905
AT 347034	B	19781211	AT 1975-6863	19750905
CH 616682	A	19800415	CH 1975-11555	19750905
CS 205005	P	19810430	CS 1975-6063	19750905
AU 7584618	A1	19770317	AU 1975-84618	19750908
US 4156724	A	19790529	US 1975-611104	19750908
US 4160087	A	19790703	US 1977-795596	19770510
AT 346489	B	19781110	AT 1977-5024	19770713
AT 346490	B	19781110	AT 1977-5025	19770713
CS 205007	P	19810430	CS 1979-7468	19791102

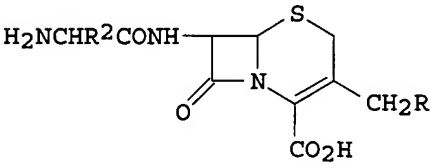
PRIORITY APPLN. INFO.:

JP 1974-103183 19740906
JP 1974-103429 19740919
JP 1974-108428 19740919
JP 1974-108429 19740919
JP 1975-33824 19750320
AT 1975-6863 19750905
CS 1975-6063 19750905
US 1975-611104 19750908
CA 1978-234729 19780725

GI



I, R=OAc
II, R=SR1



III

AB Cephalosporins I and II (R1 = 5- or 6-membered N, O, and (or) S-heterocyclyl; R2 = hydroxyphenyl, R3 = hydroxyheterocyclyl) were prepd. by acylating the 7-(.alpha.-amino-.alpha.-phenylacetamido) analogs III (R = OAc, SR1) or their salts and derivs. with R3CO2H or their reactive derivs. II were also prepd. by treating I with thiols HSR1. I and II were effective against Proteus and Pseudomonas species. Thus, 4.21 g D-III (R2 = p-HOC6H4 throughout, R = OAc) and Et3N in DMF was stirred with N-(4-hydroxy-1,5-naphthyridin-3-ylcarbonyloxy)succinimide at room temp. for 2 hr to give 4.4 g D-I (R3 = 4-hydroxy-1,5-naphthyridin-3-yl) Et3N salt, which (1.18 g) was heated with 2-methyl-1,3,4-thiadiazole-5-thiol and NaHCO3 in phosphate buffer at 50-60.degree. for 5.5 hr to give 1 g the corresponding D-II (R1 = 2-methyl-1,3,4-thiadiazol-5-yl). Among 51 more

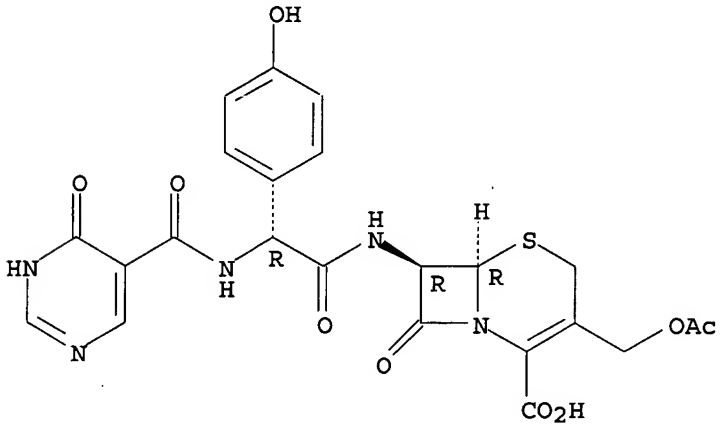
products were D-II (R3 = 4-hydroxy-3-pyridyl) where R1 = 2-methyl-1,3,4-thiadiazol-5-yl or 1-methyltetrazol-5-yl and D-I where R3 = 4-hydroxy-3-pyridyl or 4-hydroxycinnolin-3-yl.

IT **60213-23-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 60213-23-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-oxo-, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

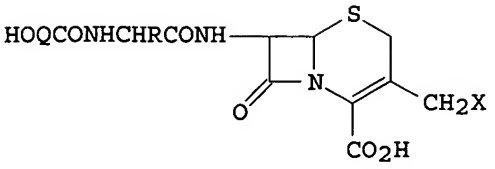


L3 ANSWER 101 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:494378 CAPLUS
DOCUMENT NUMBER: 85:94378
TITLE: Cephalosporin derivatives
INVENTOR(S): Yamada, Hirotada; Okamura, Kousaku; Tobiki, Hisao; Tanno, Norihiko; Shimago, Kozo; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; et al.
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 77 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2539664	A1	19760318	DE 1975-2539664	19750905
DE 2539664	C2	19880929		
JP 51032576	A2	19760319	JP 1974-103183	19740906
JP 54043516	B4	19791220		
JP 51036487	A2	19760327	JP 1974-108428	19740919
JP 51036488	A2	19760327	JP 1974-108429	19740919
JP 51108086	A2	19760925	JP 1975-33824	19750320

PRIORITY APPLN. INFO.:
JP 1974-103183 19740906
JP 1974-108428 19740919
JP 1974-108429 19740919
JP 1975-33824 19750320

GI



I

AB Approx. 200 cephalosporins I [Q = mono- or polycyclic heteroarom. group, R = C6H4OH, C6H4NH2, phenyl substituted, ureidophenyl, X = acetoxy, -SR1 (R1 = heterocyclic group contg. 1-4 N, O, or S atoms)] were prepd. and their effectiveness against Staphylococcus, E. coli, Klebsiella pneumoniae, Proteus vulgaris, Pseudomonas aeruginosa, Serratia, and Enterobacter aerogeneus were detd.

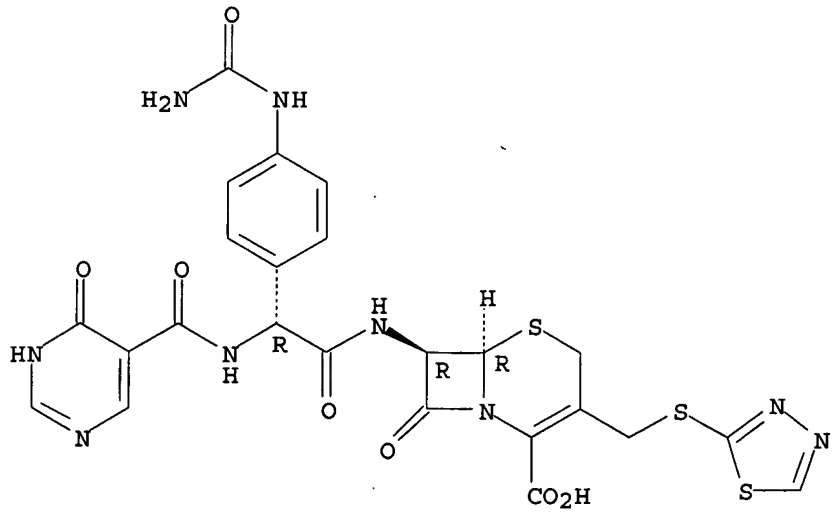
IT **60214-97-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 60214-97-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[4-[(aminocarbonyl)amino]phenyl][[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-8-oxo-3-[(1,3,4-thiadiazol-2-ylthio)methyl]-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

Na

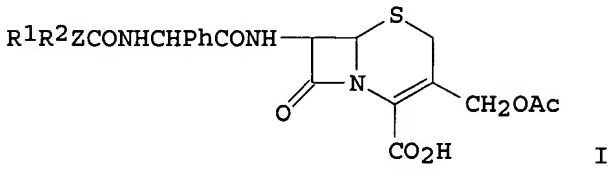
L3 ANSWER 102 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:446707 CAPLUS

10/ 070,804

DOCUMENT NUMBER: 85:46707
TITLE: N-Acylcephaloglycines
INVENTOR(S): Iwanami, Masaru; Murakami, Masuo; Isaka, Ichiro; Fujimoto, Masaharu; Maeda, Tetsuya; Kawahara, Norio
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50131984	A2	19751018	JP 1974-40730	19740410

PRIORITY APPLN. INFO.: JP 1974-40730 19740410
GI



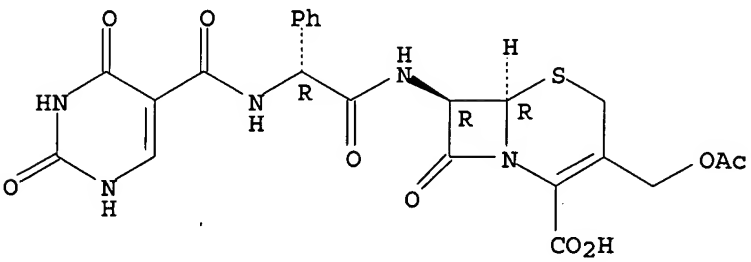
I

AB N-acylcephaloglycins I (Z = monocyclic group which may contain N or O atoms; R1 and R2 = H, oxo, OH, halo, AcNH) were prepd. by acylating cephaloglycin with R1R2ZCO(NHCO)nOH (n = 0,1) or their reactive derivs. I are antibacterial agents. Thus, 0.62 g cephaloglycin Et3N salt in CH2Cl2 was treated with 0.26 g 5-acetamidonicotinoyl chloride at -30.degree., stirred at room temp. for 1.5 hr, and treated with Na 2-ethylhexanoate to give 0.22 g I (R1R2Z = 5-acetamido-3-pyridyl) Na salt. Among 6 more I Na salts prepd. were those where R1R2Z = 2,4-dihydroxypyrimidin-5-yl, 2-oxo-1-imidazolidinyl, 3-hydroxypyridazin-4-yl, and 3-hydroxy-4-pyridyl (as free acid).

IT **52759-34-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 52759-34-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



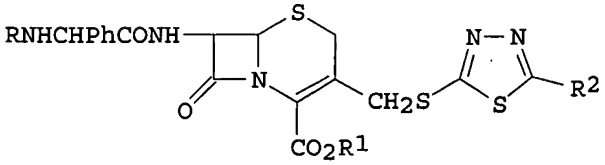
Na

L3 ANSWER 103 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:421397 CAPLUS
DOCUMENT NUMBER: 85:21397
TITLE: Cephalosporins
INVENTOR(S): Iwanami, Masaru; Murakami, Masuo; Isaka, Ichiro; Fujimoto, Masaharu; Maeda, Tetsuya; Kawahara, Norio; Shibanuma, Tadao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

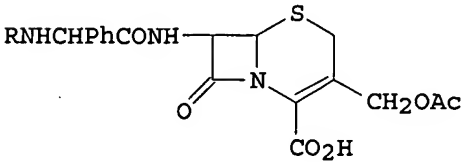
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50131981	A2	19751018	JP 1974-38545	19740405
JP 59053276	B4	19841224		
AT 7502462	A	19761115	AT 1975-2462	19750401
AT 337895	B	19770725		
DE 2514322	A1	19751009	DE 1975-2514322	19750402
FR 2266507	A1	19751031	FR 1975-10474	19750403
FR 2266507	B1	19781110		
AU 7579789	A1	19761007	AU 1975-79789	19750403
DK 7501438	A	19751006	DK 1975-1438	19750404
SE 7503875	A	19751006	SE 1975-3875	19750404
BE 827600	A1	19751006	BE 1975-155126	19750404
ES 436328	A1	19770516	ES 1975-436328	19750404

PRIORITY APPLN. INFO.:
JP 1974-38545 19740405
JP 1974-41884 19740415
JP 1974-63124 19740604
JP 1974-64774 19740607
JP 1974-116976 19741011
JP 1974-119638 19741017
JP 1975-13200 19750131

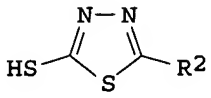
GI



I



II



III

AB Cephalosporins I (R1 = H) were prepd. by treating 7-(acylamino)cephalosporanic acids II or their salts with 5-mercaptothiadiazoles III or their alkali metal mercaptides. I are antibacterial agents esp. effective against Pseudomonas aeruginosa and

Proteus vulgaris. Thus, 0.82 g D-II (R = 4-oxo-4H-thiopyran-3-ylcarbonyl) Na salt was heated with 0.35 g III (R2 = NHCOCH2CH2CO2H) and 10% aq. NaHCO3 in H2O at 55.degree. 23 hr to give 0.48 g D-I (R = 4-oxo-4H-thiopyran-3-ylcarbonyl; R1 = Na; R2 = NHCOCH2CH2CO2H). Among 14 more D-I (R1 = H) prepd. were (R and R2 given): 4-hydroxynicotinoyl, SCH2CO2H; 2-oxoimidazolin-1-ylcarbonyl, NHCOCH2CH2CO2H; 4-hydroxynicotinoyl, SCH2CH2SO3H; 4-hydroxynicotinoyl, CH2CO2H.

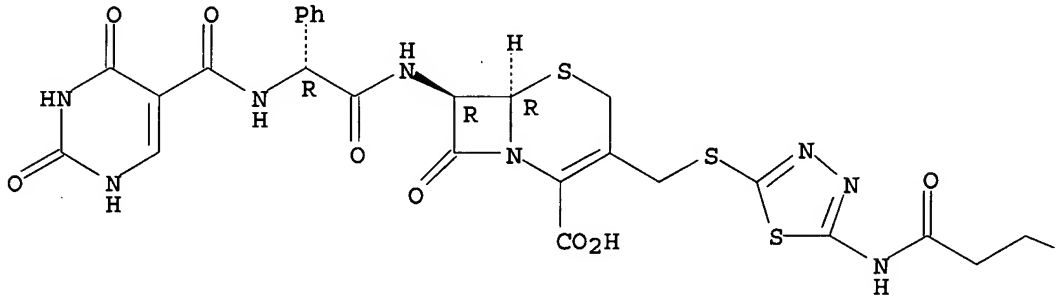
IT **59917-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59917-13-4 CAPLUS

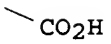
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[[5-[(3-carboxy-1-oxopropyl)amino]-1,3,4-thiadiazol-2-yl]thio]methyl]-8-oxo-7-[[[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]phenylacetyl]amino]-, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L3 ANSWER 104 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:164765 CAPLUS

DOCUMENT NUMBER: 84:164765

TITLE: Penicillins and their salts

INVENTOR(S): Tobiki, Hisao; yamada, Hirotada; Shimago, Kozo; Nakatsuka, Iwao; Okano, Shigeru; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; Eda, Yasuko

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

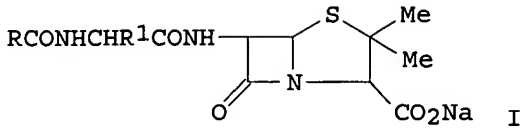
PATENT INFORMATION:

10/ 070,804

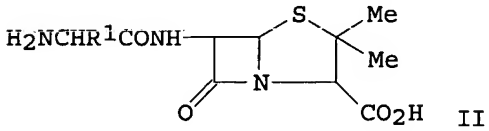
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2426301	A1	19751211	DE 1974-2426301	19740529

PRIORITY APPLN. INFO.: DE 1974-2426301 19740529

GI



I



II

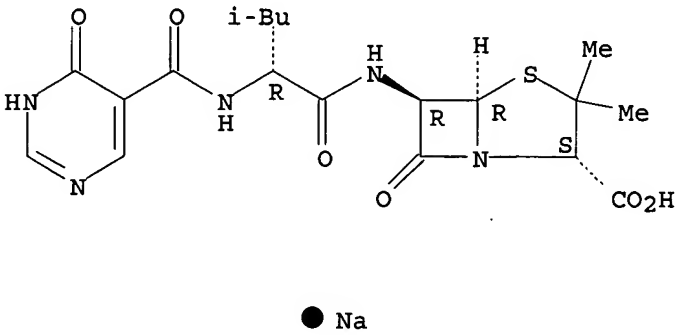
AB Penicillins I (R = 2-hydroxy-3-pyridinyl, 4-hydroxy-3-pyridinyl, 3-hydroxy-4-pyrazinyl, 4-hydroxy-5-pyrimidinyl; R1 = 4-HOC6H4, 1,4-cyclohexadienyl, Me2CHCH2, 3-thienyl, 4-isothiazolyl) were prepd. by acylating II and treatment with NaO2CCHEtBu. I had min. inhibitory concns. against Staphylococcus aureus 209P of 0.20-1.56 .mu.g/ml.

IT **59007-69-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59007-69-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[2-[[(1,4-dihydro-4-oxo-5-pyrimidinyl)carbonyl]amino]-4-methyl-1-oxopentyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L3 ANSWER 105 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:58964 CAPLUS

DOCUMENT NUMBER: 84:58964

TITLE: Microbiocidal and plant growth regulating anilines

INVENTOR(S): Hubele, Adolf

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

10/ 070,804

SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2513732	A1	19751016	DE 1975-2513732	19750327
DE 2513732	C2	19880414		
CH 590608	A	19770815	CH 1974-4572	19740402
CH 603041	A	19780815	CH 1975-1591	19750210
NO 7501086	A	19751003	NO 1975-1086	19750326
NO 142714	B	19800623		
NO 142714	C	19801001		
NO 7501084	A	19751003	NO 1975-1084	19750326
NO 141340	B	19791112		
NO 141340	C	19800220		
FI 7500920	A	19751003	FI 1975-920	19750326
FI 63567	B	19830331		
FI 63567	C	19830711		
FI 7500921	A	19751003	FI 1975-921	19750326
DK 7501358	A	19751003	DK 1975-1358	19750326
DK 141168	B	19800128		
DK 141168	C	19800714		
DK 7501359	A	19751003	DK 1975-1359	19750326
DK 141995	B	19800804		
DK 141995	C	19801215		
SE 7503517	A	19751003	SE 1975-3517	19750326
SE 419218	B	19810720		
SE 419218	C	19811029		
SE 7503518	A	19751003	SE 1975-3518	19750326
SE 418086	B	19810504		
SE 418086	C	19810813		
FR 2265747	A1	19751024	FR 1975-9484	19750326
FR 2265748	A1	19751024	FR 1975-9485	19750326
NL 7503754	A	19751006	NL 1975-3754	19750327
NL 160821	B	19790716		
NL 7503755	A	19751006	NL 1975-3755	19750327
AU 7579640	A1	19751009	AU 1975-79640	19750327
AU 7579641	A1	19760930	AU 1975-79641	19750327
CA 1050546	A1	19790313	CA 1975-223227	19750327
CA 1050558	A1	19790313	CA 1975-223222	19750327
DE 2560591	C2	19890608	DE 1975-2560591	19750327
BE 827419	A1	19751001	BE 1975-154971	19750401
BE 827420	A1	19751001	BE 1975-154972	19750401
ZA 7501996	A	19760225	ZA 1975-1996	19750401
ZA 7501997	A	19760225	ZA 1975-1997	19750401
DD 118510	C	19760312	DD 1975-185144	19750401
DD 118785	C	19760320	DD 1975-185147	19750401
GB 1448810	A	19760908	GB 1975-13332	19750401
DD 124733	C	19770309	DD 1975-192060	19750401
ES 436175	A1	19770416	ES 1975-436175	19750401
ES 436174	A1	19770416	ES 1975-436174	19750401
IL 46988	A1	19771230	IL 1975-46988	19750401
AT 7502446	A	19780115	AT 1975-2446	19750401
AT 345614	B	19780925		
GB 1498199	A	19780118	GB 1975-13349	19750401
AT 343407	B	19780526	AT 1975-2448	19750401
IL 46989	A1	19780615	IL 1975-46989	19750401
HU 172935	P	19790128	HU 1975-CI1563	19750401
HU 173317	P	19790428	HU 1975-CI1564	19750401

RO 73181	P	19821011	RO 1975-81867	19750401
JP 50135225	A2	19751027	JP 1975-40226	19750402
JP 53045364	B4	19781206		
JP 50135226	A2	19751027	JP 1975-40227	19750402
JP 60042202	B4	19850920		
PL 97786	P	19780330	PL 1975-179266	19750402
PL 98627	P	19780531	PL 1975-179265	19750402
CS 183788	P	19780731	CS 1975-2239	19750402
CS 183789	P	19780731	CS 1975-2240	19750402
SU 682096	D	19790825	SU 1975-2120455	19750402
SU 743561	D	19800625	SU 1975-2121601	19750402
RO 79677	P	19820817	RO 1975-81876	19750402
RO 84021	P	19840512	RO 1975-106426	19750402
SU 628812	D	19781015	SU 1975-2186207	19751105
SU 626690	D	19780930	SU 1976-2342705	19760405
US 4046911	A	19770906	US 1976-703037	19760706
US 4094990	A	19780613	US 1976-709066	19760727
CH 598265	A	19780428	CH 1977-4805	19770419
AT 7707656	A	19800115	AT 1977-7656	19771027
AT 358025	B	19800811		
AT 7707893	A	19790815	AT 1977-7893	19771104
AT 355561	B	19800310		
JP 53135964	A2	19781128	JP 1978-2327	19780112
JP 57040829	B4	19820830		
JP 53135965	A2	19781128	JP 1978-2328	19780112
JP 58045433	B4	19831008		

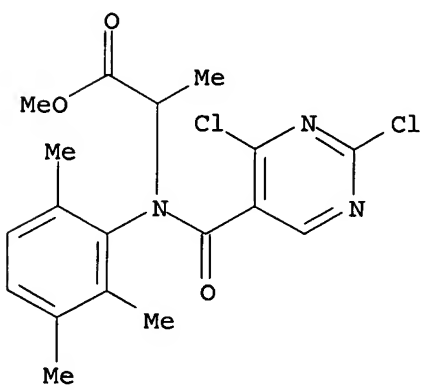
PRIORITY APPLN. INFO.:	CH 1974-4572	19740402
	CH 1975-1591	19750210
	US 1975-563035	19750328
	US 1975-563036	19750328
	AT 1975-2446	19750401
	AT 1975-2448	19750401

AB RnC6H5-nN(COR1)CHR2COR3 (I; R = Me, MeO, Cl, Et, BuO, etc; n = 1-4; R1 = furyl, thienyl, pyrimidinyl etc.; R2 = H, Me; R3 = MeO, EtO, Me2N, etc.), useful as fungicides and plant growth regulators, were prepd. Thus, 2,3,6-Me2EtC6H2NH2 reacted with BrCHMeCO2Me to give 2,3,6-Me2EtC6H2NHCHMeCO2Me, which reacted with 2-furancarbonyl chloride to give 2,3,6-Me2EtC6H2N(COR1)CHMeCO2Me (R1 = 2-furyl). About 115 I were prepd. and tested on various fungi and plants.

IT **58184-30-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 58184-30-8 CAPLUS

CN Alanine, N-[(2,4-dichloro-5-pyrimidinyl)carbonyl]-N-(2,3,6-trimethylphenyl)-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 106 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:31104 CAPLUS
DOCUMENT NUMBER: 84:31104
TITLE: Cephalosporin derivatives
INVENTOR(S): Murakami, Masuo; Isaka, Ichiro; Kawahara, Norio; Iwanami, Masaru; Fujimoto, Masaharu; Maeda, Tetsuya; Shibanuma, Tadao; Nagano, Yoshinobu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 62 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2514322	A1	19751009	DE 1975-2514322	19750402
JP 50131981	A2	19751018	JP 1974-38545	19740405
JP 59053276	B4	19841224		
JP 50140480	A2	19751111	JP 1974-41884	19740415
JP 50154282	A2	19751212	JP 1974-63124	19740604
JP 50157388	A2	19751219	JP 1974-64774	19740607
JP 60004191	B4	19850201		
JP 51043785	A2	19760414	JP 1974-116976	19741011
JP 51048686	A2	19760426	JP 1974-119638	19741017
JP 51088988	A2	19760804	JP 1975-13200	19750131

PRIORITY APPLN. INFO.:
JP 1974-38545 19740405
JP 1974-41884 19740415
JP 1974-63124 19740604
JP 1974-64774 19740607
JP 1974-116976 19741011
JP 1974-119638 19741017
JP 1975-13200 19750131

GI For diagram(s), see printed CA Issue.

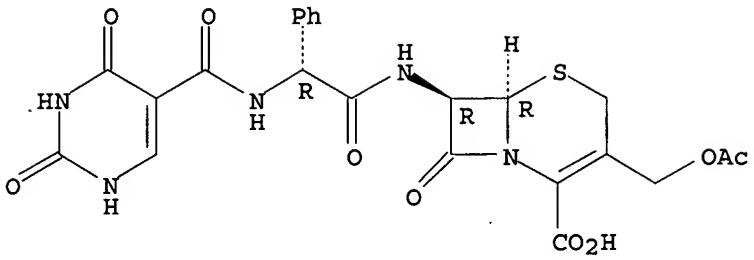
AB Thirty-nine cephalosporin derivs. I [R = H, OH; R1 = 4-oxo-4H-thiopyran-3-yl, 3-hydroxy-4-pyridazinyl, 2,4-dihydroxy-5-pyrimidinyl, 4-hydroxy-3-pyridyl, 1-oxyl-2-pyridyl, 2-oxoimidazolin-1-yl, 7-(methylthio)-4-quinolon-3-yl, 4-hydroxy-2-methyl-5-pyrimidinyl, 4-methoxy-3-pyridyl, 4-hydroxy-5-methyl-3-pyridyl, etc.; R2 = 5-(3-carboxypropionamido)-1,3,4-thiadiazol-2-yl, 5-(carboxyalkylthio)-1,3,4-thiadiazol-2-yl, 5-(carboxyethyl)-1,3,4-thiadiazol-2-yl, 1-(carboxymethyl)tetrazol-5-yl, 6-(carboxymethylthio)-4-pyrimidinyl, 1-(carboxymethyl)-6(1H)-pyridazinon-3-yl, 2-amino-4-(carboxymethylthio)-6-pyrimidinyl, 5-(carboxymethylthio)-4-methyl-2-thiazolyl, etc.; side chain D configuration] and (or) their Na salts were prepd. by treating a cephaloglycine II·NEt3 salt with an acid chloride R1COCl to give III (sometimes isolated as the Na salt) which was then treated with a thiol HSR2 to give I or a Na salt. I are active against Pseudomonas as well as 17 other bacteria.

IT **52759-34-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with (mercaptothiadiazolyl)succinamic acid)

RN 52759-34-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/ 070,804



● Na

L3 ANSWER 107 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:479236 CAPLUS
DOCUMENT NUMBER: 83:79236
TITLE: Pharmaceutical amoxicillins and epicillins
INVENTOR(S): Murakami, Masuo; Isaka, Ichiro; Nakano, Khozi; Souzu, Isao; Koda, Akio; Ozasa, Teruaki; Kashiwagi, Teruya; Murakami, Yukiyasu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2448966	A1	19750430	DE 1974-2448966	19741015
JP 50064294	A2	19750531	JP 1973-118390	19731019
JP 50077391	A2	19750624	JP 1973-129067	19731116
JP 50130780	A2	19751016	JP 1974-38146	19740404
JP 51016690	A2	19760210	JP 1974-86355	19740727
JP 51032585	A2	19760319	JP 1974-102685	19740906
BE 821243	A1	19750418	BE 1974-149679	19741018
AT 7408401	A	19760315	AT 1974-8401	19741018
AT 333428	B	19761125		
ZA 7407137	A	19751126	ZA 1974-7137	19741106
SU 578888	D	19771030	SU 1974-2081443	19741115
AT 7507059	A	19760715	AT 1975-7059	19750915
AT 335611	B	19770325		
AT 7507060	A	19760715	AT 1975-7060	19750915
AT 335612	B	19770325		
ES 450286	A1	19770816	ES 1976-450286	19760729

PRIORITY APPLN. INFO.:

JP 1973-118390	19731019
JP 1973-129067	19731116
JP 1974-38146	19740404
JP 1974-86355	19740727
JP 1974-102685	19740906
AT 1974-8401	19741018

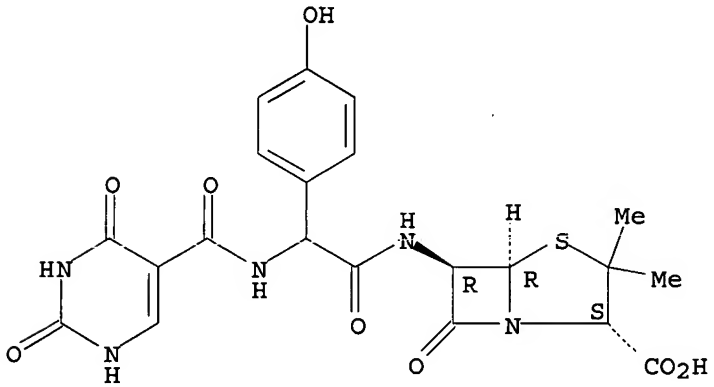
GI For diagram(s), see printed CA Issue.

AB Acylated amoxicillins and epicillins I and II (R = substituted pyridinecarbonyl, quinolinecarbonyl, pyrimidinecarbonyl, pyrancarbonyl, thiopyrancarbonyl, thienyl, furyl, benzoyl, R1 = Na) were prepd. Thus, I (R = 4-oxo-4H-thiopyran-3-carbonyl (III), R1 = Na) was obtained by treating amoxicillin with 4-oxo-4H-thiopyran-3-carbonyl chloride. III (R1 = CH2Bz) was pred. from phenacyl penicillanate and hydrolyzed to III (R1 = H).

10/ 070,804

IT **56416-81-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 56416-81-0 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[(4-hydroxyphenyl)[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Na

L3 ANSWER 108 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:458808 CAPLUS
DOCUMENT NUMBER: 83:58808
TITLE: 6-[.alpha.-(Amidino- and imidoylaminoalkanoylamino)aracylamino]penicillanic acids and their preparation
INVENTOR(S): Hamanaka, Ernest S.; Stam, John G.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: S. African, 102 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 7400509	A	19741224	ZA 1974-509	19740124
US 3951952	A	19760420	US 1973-424891	19731214
GB 1462421	A	19770126	GB 1974-1673	19740114
SE 7400501	A	19750616	SE 1974-501	19740115
SE 421797	B	19820201		
SE 421797	C	19820513		
AU 7464636	A1	19750717	AU 1974-64636	19740117
IN 139932	A	19760821	IN 1974-CA131	19740118
DE 2403512	A1	19750619	DE 1974-2403512	19740125
DE 2403512	C2	19830113		
FI 7400226	A	19750615	FI 1974-226	19740128
FI 62840	B	19821130		
FI 62840	C	19830310		
BE 810266	A4	19740729	BE 1974-1005676	19740129
NL 7401174	A	19750617	NL 1974-1174	19740129

NO 7400281	A	19750617	NO 1974-281	19740129
NO 145794	B	19820222		
NO 145794	C	19820609		
DK 7400455	A	19750811	DK 1974-455	19740129
DK 150856	B	19870706		
DK 150856	C	19871116		
HU 167158	P	19750828	HU 1974-PI405	19740129
ES 422732	A2	19770701	ES 1974-422732	19740129
CS 194205	P	19791130	CS 1974-593	19740129
RO 67596	P	19800215	RO 1974-77437	19740129
AT 7400733	A	19750315	AT 1974-733	19740130
AT 326821	B	19751229		
DD 113008	W	19750512	DD 1974-176275	19740130
FR 2254310	A2	19750711	FR 1974-3093	19740130
JP 50089393	A2	19750717	JP 1974-11947	19740130
CH 596218	A	19780315	CH 1974-1294	19740130
JP 57056483	A2	19820405	JP 1981-114324	19810721
JP 60048519	B4	19851028		
JP 58074688	A2	19830506	JP 1982-161538	19820916
JP 60043072	B4	19850926		

PRIORITY APPLN. INFO.: US 1973-424891 A 19731214
US 1972-277064 A2 19720802

GI For diagram(s), see printed CA Issue.

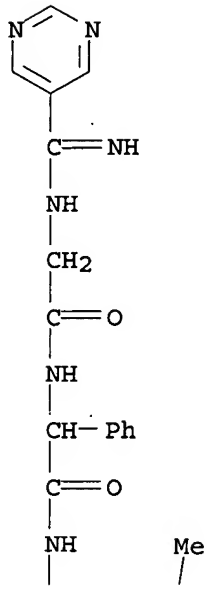
AB Approx. 200 penicillins I (R = 3,5-Br2C6H3, 3-thenyl, 4-pyridyl, etc.; R1, R2 = H, alkyl; R3 = Ph, p-HOC6H4, 2-, 3-thienyl; X = CH2, CH2CH2, CHMe, etc.) were prepd. from RC(:NR1)OR4 (R4 = Me, Et) and 6-[2-aryl-2-(aminoalkanoylamino)acetamido]penicillanates. E.g., 3,4-O2N(HO)C6H3C(:NH)OEt and 6-[D-2-phenyl-2-(aminoacetamido)acetamido]penicillanic acid gave I [R = 3,4-O2N(HO)C6H3, R1 = R2 = H, R3 = Ph, X = CH2]. The min. inhibitory concns. of I (R1 = R2 = H, R3 = Ph, X = CH2, R = p-R5C6H4, R5 = H, F, Cl, Br) were 0.39-25 .mu.g/ml against Staphylococcus aureus, Pseudomonas aeruginosa, Klebsiella pneumoniae, Escherichia coli, etc. Antibiotic formulations of I were described.

IT **57869-34-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and NMR of)

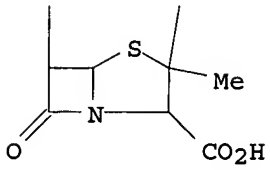
RN 57869-34-8 CAPLUS

CN Glycinamide, N-(imino-5-pyrimidinylmethyl)glycyl-N-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-phenyl-, [2S-(2.alpha.,5.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L3 ANSWER 109 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:43402 CAPLUS
DOCUMENT NUMBER: 82:43402
TITLE: Penicillins and their salts
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimaga, Kozo; Nakatsuka, Iwao; Nakagome, Takenari; Tanno, Norihiko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2416449	A1	19741024	DE 1974-2416449	19740404
DE 2416449	C2	19821007		
JP 49125387	A2	19741130	JP 1973-39358	19730405
JP 57051837	B4	19821104		
HU 168314	P	19760328	HU 1974-SU855	19740403
CH 594681	A	19780131	CH 1974-4656	19740403

10/ 070,804

FR 2224132	A1	19741031	FR 1974-12029	19740404
NO 145576	B	19820111	NO 1974-1227	19740404
NO 145576	C	19820421		
SE 422942	B	19820405	SE 1974-4565	19740404
SE 422942	C	19821202		
BE 813356	A1	19741007	BE 1974-142894	19740405
NL 7404679	A	19741008	NL 1974-4679	19740405
DD 110502	C	19741220	DD 1974-177736	19740405
ZA 7402186	A	19750528	ZA 1974-2186	19740405
AU 7467613	A1	19751009	AU 1974-67613	19740405
GB 1470188	A	19770414	GB 1974-15142	19740405
DK 145157	B	19820920	DK 1974-1922	19740405
DK 145157	C	19830411		
SE 7701836	A	19770218	SE 1977-1836	19770218
SE 422943	B	19820405		
SE 422943	C	19820715		

PRIORITY APPLN. INFO.: JP 1973-39358 19730405

GI For diagram(s), see printed CA Issue.

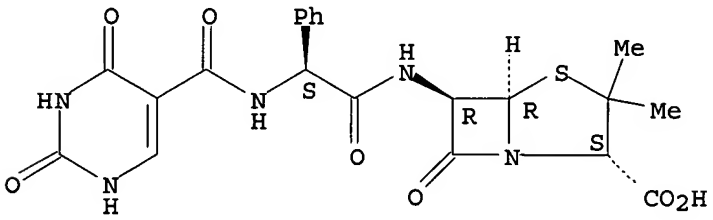
AB Penicillin salts I (R = Ph, p-HOC6H4, m-HOC6H4, 1,4-cyclohexadienyl, R2 = e.g. 4-hydroxy-3-pyridyl, 4-hydroxy-3-cinnolinyl, 3-hydroxy-4-pyridazinyl, 4-hydroxy-5-pyrimidinyl, A, B) (28 compds.) were tested for bacterial inhibition. I were prepd. from II (R2 = PhCOCH2, R3 = PhCH2CO) (III). Thus, III.HCl was treated with P halide in CH2Cl2 and 4-methylmorpholine (IV) at -25.degree., stirred 30 min, then treated with MeOH and IV 2 hr at -17 to -10.degree. to give II (R2 = PhCOCH2, R3 = H).HCl. This was treated with D-H2NCHPhCOCl.HCl to give II (R2 = PhCOCH2, R3 = D-H2NCHPhCO), which was treated with succinimido 4-hydroxy-1,5-naphthyridine-3-carboxylate and the product sapond. with NaSPh to give I (R1 = A, R = Ph). Min. inhibitory concns. were <0.05 to 200 .gamma./ml.

IT **54403-58-6**
RL: PROC (Process)
(bacteria inhibition of)

RN 54403-58-6 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, [2S-[2.alpha.,5.alpha.,6.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

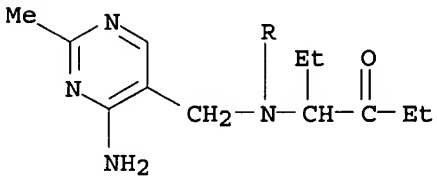


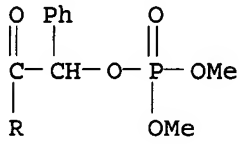
L3 ANSWER 110 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1974:536087 CAPLUS
DOCUMENT NUMBER:	81:136087
TITLE:	Pyrimidine derivatives and related compounds. LXXXV. Reactions of oxazolium salts with dialkyl acylphosphonates. Novel synthesis of 1,4-oxazin-3-one and azetidin-2-one derivatives
AUTHOR(S):	Takamizawa, Akira; Sato, Hisao
CORPORATE SOURCE:	Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, Japan
SOURCE:	Chemical & Pharmaceutical Bulletin (1974), 22(7), 1526-41 CODEN: CPBTAL; ISSN: 0009-2363

10/ 070,804

DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Reaction of oxazolium salts (I, R = PhCH2, Me, 4-amino-2-methyl-5-pyrimidinylmethyl; R1 = H, Me, Et, Ph; R2 = Me, Et, Ph; X = Cl, Br, I) with (R3O)2P(O)COR4 (II; R3 = Me, Et; R4 = Me, Ph) in the presence of Et3N afforded 1,4-oxazin-3-one (III) and/or azetidin-2-one derivs. (IV). In the reaction of I (R = CH2Ph, Me; R2 = H, R3 = Ph) with II (R3 = Me, R4 = Ph), stable intermediates PhCOCH2NRCOCHPhOP(O)(OMe)2, were isolated. The mechanism of this reaction involving ring expansion and ring contraction, substituent effects on the reactivity, and stereochem. of IV are discussed.
IT **54026-29-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54026-29-8 CAPLUS
CN Phosphoric acid, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl](1-ethyl-2-oxobutyl)amino]-2-oxo-1-phenylethyl dimethyl ester (9CI) (CA INDEX NAME)





L3 ANSWER 111 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:505537 CAPLUS
DOCUMENT NUMBER: 81:105537
TITLE: Cephalosporin derivatives substituted with heterocyclic acyl groups
INVENTOR(S): Kashiwagi, Teruya; Koda, Akio; Murakami, Yukiyasu; Maeda, Tetsuya; Souzu, Isao; Kawahara, Norio
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd.
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2362816	A1	19740627	DE 1973-2362816	19731218
JP 49082687	A2	19740808	JP 1972-128431	19721221
JP 55001273	B4	19800112		
JP 49110686	A2	19741022	JP 1973-26840	19730307
JP 50004090	A2	19750116	JP 1973-56441	19730521
JP 50036487	A2	19750405	JP 1973-89408	19730809
CA 1022544	A1	19771213	CA 1973-187391	19731205
GB 1449256	A	19760915	GB 1973-56544	19731206
BE 808906	A1	19740416	BE 1973-139104	19731220

AU 7363841	A1	19750626	AU 1973-63841	19731220
ES 421676	A1	19760801	ES 1973-421676	19731220
FR 2211214	A1	19740719	FR 1973-46044	19731221
AT 7310756	A	19750515	AT 1973-10756	19731221
AT 328081	B	19760310		

PRIORITY APPLN. INFO.:

JP 1972-128431 19721221
JP 1973-26840 19730307
JP 1973-56441 19730521
JP 1973-89408 19730809
JP 1972-26840 19730307

GI For diagram(s), see printed CA Issue.

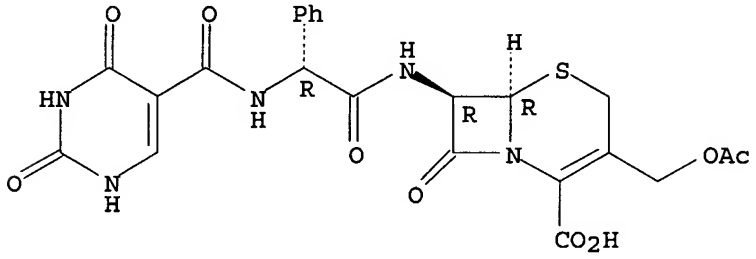
AB Cephalosporins I (R = N, O, or S heterocyclic acyl; R1 = H, OAc, N3, SPh, substituted thiadiazolylthio, oxadiazolylthio, or tetrazolylthio; R2 = Na, K, H) (38 compds.) were prepd. from cephalexin or cephaloglycine. Thus, cephaloglycine was treated with 4-oxoquinoline-3-carboxylic acid to give 66.9% I (R = 4-oxoquinoline-3-carbonyl, R1 = OAc, R2 = Na), which had min. inhibitory concns. against Proteus vulgaris OXK US 1 and Pseudomonas aeruginosa ATCC 8689 10 .gamma./ml, compared with 3 and >100 .gamma./ml, resp., for cephaloglycine.

IT **53781-59-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal activity of)

RN 53781-59-2 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, disodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

L3 ANSWER 112 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:449712 CAPLUS
DOCUMENT NUMBER: 81:49712
TITLE: Vitamin B1-cholorophyllin-cobalt(or iron) complex
INVENTOR(S): Takemi, Taro; Kagitani, Masao; Fukushima, Tsunekazu
PATENT ASSIGNEE(S): Green Cross Corp.
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 49014617 A2 19740208 JP 1972-57467 19720608
JP 51028687 B4 19760820
PRIORITY APPLN. INFO.: JP 1972-57467 19720608

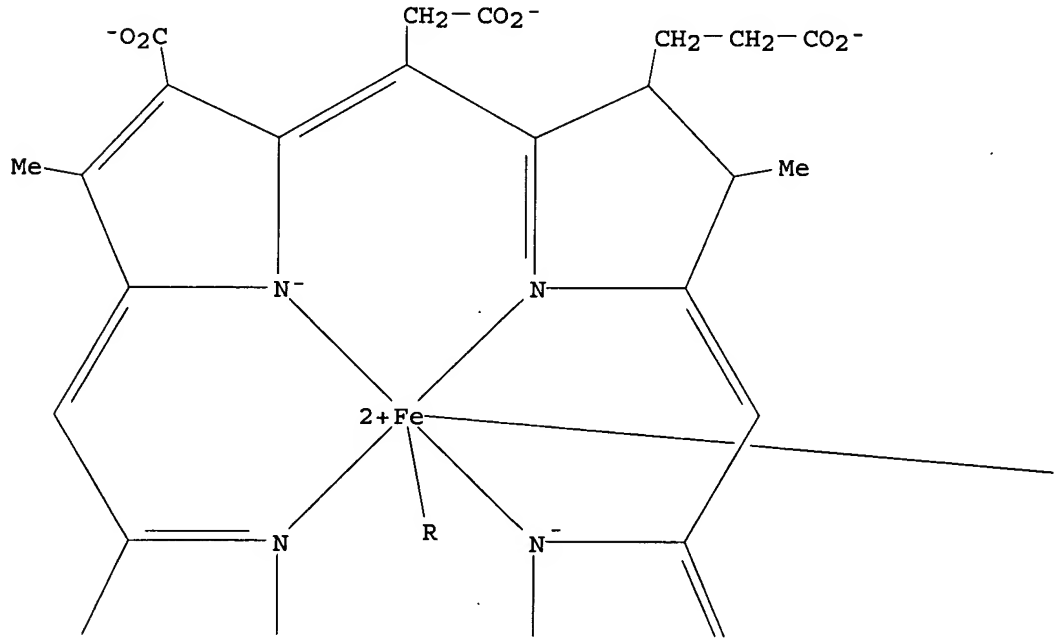
AB Thiamine-Co(or Fe)-chlorophyllin complex was prepd. by adding alkali to aq. thiamine-HCl (I) to make pH 10, adding NH4OH buffer to make pH 9-11, and treating the soln. with Co(or Fe)-chloro-phyllin by use of excess MeOH or EtOH as reaction solvent. E.g., 192 g NaOH in H2O was added to 840 g I in H2O to make pH 10, 1.5 l. 0.1M NH4OH buffer (pH 10) added, 25 l. MeOH added, the whole mixed with 420 g Co-chlorophyllin in MeOH under air 3 hr at 35-9.degree., concd., dissolved in EtOH, clarified, and concd. to give 827 g product. The crude product (800 g) in 16 l. PhCH2OH was H2O-washed, 12-fold vol. C6H6 added, the ppt. dissolved in 9.6 l. MeOH, and chromatographed on Sephadex LH-20 to give 785 g thiamine-Co-chlorophyllin complex. Thi-amine-Fe-chlorophyllin complex was also prepd.

IT **53186-98-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

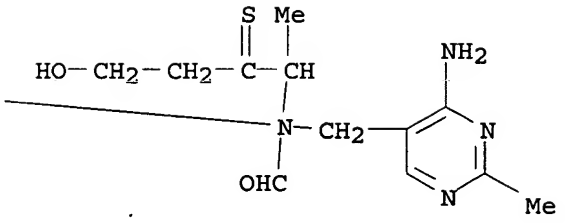
RN 53186-98-4 CAPLUS

CN Ferrate(3-), [(7S,8S)-3-carboxy-5-(carboxymethyl)-13-ethenyl-18-ethyl-7,8-dihydro-2,8,12,17-tetramethyl-21H,23H-porphine-7-propanoato(5-)-.kappa.N21,.kappa.N22,.kappa.N23,.kappa.N24]bis[N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-thioxobutyl)formamide-.kappa.N]-, trihydrogen, (OC-6-13)- (9CI) (CA INDEX NAME)

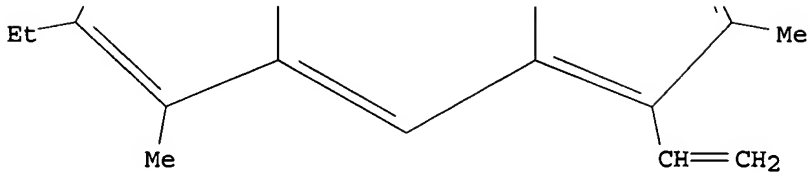
PAGE 1-A

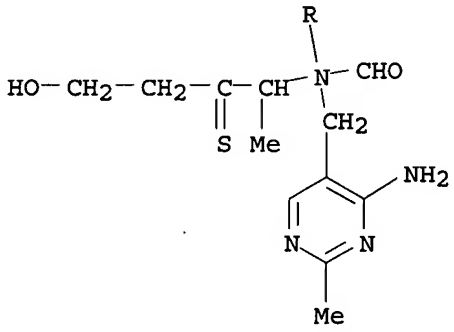


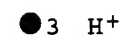
PAGE 1-B



PAGE 2-A







L3 ANSWER 113 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:413502 CAPLUS
DOCUMENT NUMBER: 81:13502
TITLE: Derivatives of penam-3-carboxylic acids and cephem-4-carboxylic acids
INVENTOR(S): Kocsis, Karoly; Fechtig, Bruno; Bickel, Hans
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Ger. Offen., 51 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2347533	A1	19740404	DE 1973-2347533	19730921
CH 585227	A	19770228	CH 1972-14257	19720929
ZA 7307223	A	19740828	ZA 1973-7223	19730911
CA 1074295	A1	19800325	CA 1973-180798	19730911
US 4015000	A	19770329	US 1973-398512	19730918
GB 1440216	A	19760623	GB 1973-44344	19730921
NL 7313347	A	19740402	NL 1973-13347	19730927
DD 107288	C	19740720	DD 1973-173731	19730927
AU 7360780	A1	19750327	AU 1973-60780	19730927
BE 805443	A1	19740328	BE 1973-136150	19730928
FR 2201075	A1	19740426	FR 1973-34803	19730928
AT 7308348	A	19750615	AT 1973-8348	19730928
AT 328614	B	19760325		
AT 7500898	A	19750715	AT 1973-89875	19730928
SE 7611410	A	19761014	SE 1976-11410	19761014
US 4202900	A	19800513	US 1978-900696	19780428

PRIORITY APPLN. INFO.:
CH 1972-14257 19720929
CH 1973-3694 19730314
CH 1973-7444 19730524
US 1973-398512 19730918
US 1976-749934 19761213

GI For diagram(s), see printed CA Issue.

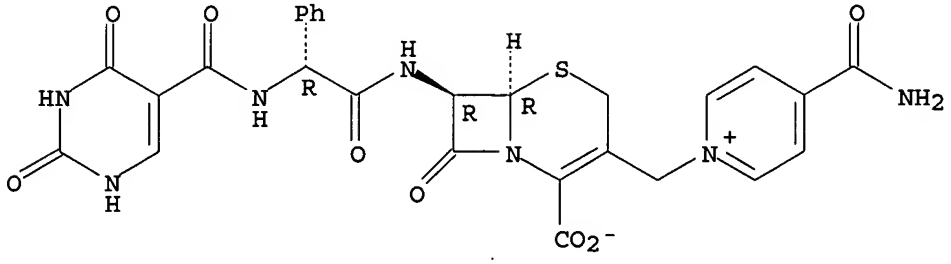
AB Py-rimidinecarboxamidobenzylpenicillins I (R = H, Bu, Ph, Cl) were prepd. by acylating 6-(D-phenylglycylamino)penicillanic acid with orotyl chlorides. Some related penicillins (5 compds.) and cephalosporins II (6 compds.) were similarly prepd. I and II in-hibited Pseudomonas aeruginosa at 0.4 .gamma./ml.

IT **52758-85-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

10/ 070,804

RN 52758-85-7 CAPLUS
CN Pyridinium, 4-(aminocarbonyl)-1-[[2-carboxy-8-oxo-7-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 114 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:82958 CAPLUS
DOCUMENT NUMBER: 80:82958
TITLE: D-(.alpha.-Formamidobenzyl)penicillins
INVENTOR(S): Tobiki, Hisao; Yamada, Hirotada; Shimago, Kozo; Nakatsuka, Iwao; Shigeru, Ibaragi; Nakagome, Takenari; Komatsu, Toshiaki; Izawa, Akio; Noguchi, Hiroshi; Eda, Yasuko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2312976	A1	19730927	DE 1973-2312976	19730315
JP 48092391	A2	19731130	JP 1972-26759	19720315
JP 56009511	B4	19810302		
FR 2181818	A1	19731207	FR 1973-9153	19730314
CH 590290	A	19770729	CH 1973-3715	19730314
CA 1049504	A1	19790227	CA 1973-166058	19730314
NL 7303660	A	19730918	NL 1973-3660	19730315
GB 1409177	A	19751008	GB 1973-12580	19730315
HU 168866	P	19760728	HU 1973-SU806	19730315
US 4008220	A	19770215	US 1974-495914	19740808

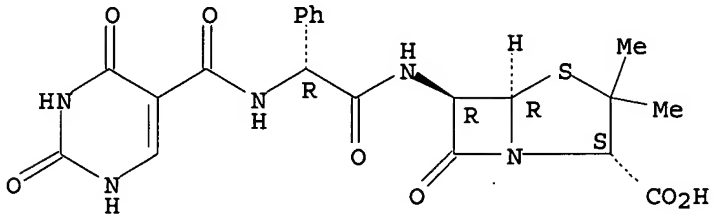
PRIORITY APPLN. INFO.:
JP 1972-26759 19720315
US 1973-341723 19730315
CA 1974-166058 19740712
HU 1974-SU806 19740805

GI For diagram(s), see printed CA Issue.
AB Twenty-six benzylpenicillins I [R = e.g. 5,6-trimethylene-4-(ethoxycarbonyloxy)-3-pyridyl, 5,6-tetramethylene-4-hydroxy-3-pyridyl, 3-acetyl-4-hydroxy-2-methyl-5-pyridyl, 2,4-dihydroxy-5-pyrimidinyl, 3-hydroxy-4-pyridazinyl, 2-hydroxy-3-pyridyl] or their Na or K salts were prepd. by reaction of .alpha.-aminobenzylpenicillin salts with RCO2H or their esters. I were used as broad-spectrum microbiocides and were active also against Pseudomonas species.
IT **50617-34-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

10/ 070,804

RN 50617-34-0 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, potassium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x K

L3 ANSWER 115 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:70803 CAPLUS
DOCUMENT NUMBER: 80:70803
TITLE: Ampicillin derivatives substituted with heterocyclic acyl groups
INVENTOR(S): Murakami, Masuo; Isaka, Ichiro; Koda, Akio; Kawahara, Norio; Kashiwagi, Teruya; Ageo, Murakami; Yukiyasu, Urawa; Yano, Kanichiro; Nakano, Kohzo; Souzu, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd.
SOURCE: Ger. Offen., 107 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2322750	A1	19731129	DE 1973-2322750	19730505
JP 49001592	A2	19740108	JP 1972-45118	19720508
JP 55047036	B4	19801127		
JP 49041396	A2	19740418	JP 1972-83424	19720821
JP 49042692	A2	19740422	JP 1972-85102	19720825
JP 49042693	A2	19740422	JP 1972-85103	19720825
JP 49081388	A2	19740806	JP 1972-125952	19721215
JP 49108092	A2	19741014	JP 1973-19917	19730218
JP 49125386	A2	19741130	JP 1973-38132	19730404
AU 7355045	A1	19741107	AU 1973-55045	19730501
US 3953428	A	19760427	US 1973-356120	19730501
BE 799202	A1	19730831	BE 1973-130836	19730507
AT 7303995	A	19751215	AT 1973-3995	19730507
AT 331970	B	19760910		
DK 139754	C	19790924	DK 1973-2489	19730507
DK 139754	B	19790409		
FI 58131	B	19800829	FI 1973-1458	19730507
FI 58131	C	19801210		
FR 2183895	A1	19731221	FR 1973-16416	19730508
GB 1407566	A	19750924	GB 1973-21951	19730508
ES 414547	A1	19770116	ES 1973-414547	19730508

PRIORITY APPLN. INFO.: JP 1972-45118 19720508

JP 1972-83424	19720821
JP 1972-85102	19720825
JP 1972-85103	19720825
JP 1972-125952	19721215
JP 1973-19917	19730218
JP 1973-38132	19730404

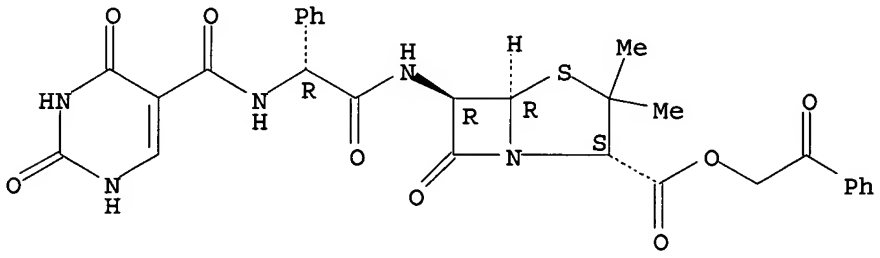
AB The ampicillin derivs. I (R = 1,4-dihydro-4-oxo-3-quinolinyl, substituted by alkyl, halo, nitro, or amino groups; substituted 4-oxonaphthyridin-3-yl, oxopyridinyl, hydroxypyridinyl, 2,4-dioxo-5-pyrimidinyl, oxopyranyl; R1 = Na, K) (>70 compds.) were prepd. by treating ampicillin triethylamine salt with RCO2H, and forming the Na or K salt. Most I showed a min. inhibitory concn. against Pseudomonas aeruginosa of 10 .gamma./ml.

IT **51727-26-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 51727-26-5 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[phenyl[[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]amino]acetyl]amino]-, 2-oxo-2-phenylethyl ester, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 116 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1973:119106 CAPLUS
DOCUMENT NUMBER:	78:119106
TITLE:	Antiinflammatory effect of thiamine and related substances
AUTHOR(S):	Sasaki, Akira
CORPORATE SOURCE:	Sch. Med., Showa Univ., Tokyo, Japan
SOURCE:	Showa Igakkai Zasshi (1972), 32(10), 533-42 CODEN: SIGZAL; ISSN: 0037-4342
DOCUMENT TYPE:	Journal
LANGUAGE:	Japanese

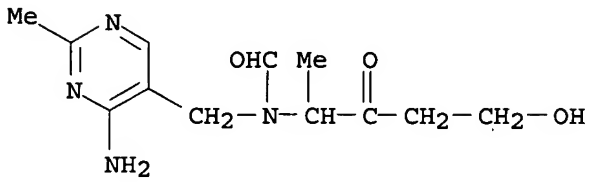
AB The antiinflammatory effect of thiamine-HCl (I) [67-03-8] and its derivs. was related to either their vitamin activity or their chem. structure. Oxythiamine [136-16-3], thiamine disulfide [67-16-3], thiamine tetrahydrofurfuryl disulfide [804-30-8] and o-nicotinyl thiamine disulfide [13457-21-1] were all effective in anti-edema test. Furan [110-00-9] failed to show anti-edema effect, whereas nicotinic acid [59-67-6] was a potent agent against edema. I (300 mg/kg, i.p.) was ineffective for rat paw edema induced by carrageenin and formaldehyde, whereas it was effective in other antiinflammatory tests. Dethiothiamine [**13004-39-2**] and thiaminic acid [4318-65-4], which have no vitamin activity, failed to show antiinflammatory effect.

IT **13004-39-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inflammation inhibition by, thiamine in relation to)

RN 13004-39-2 CAPLUS

10/ 070,804

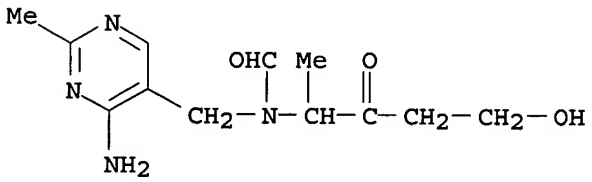
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 117 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1971:3647 CAPLUS
DOCUMENT NUMBER: 74:3647
TITLE: Dethiothiamine compounds
INVENTOR(S): Kawasaki, Chikataro
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45027980	B4	19700912	JP	19670120

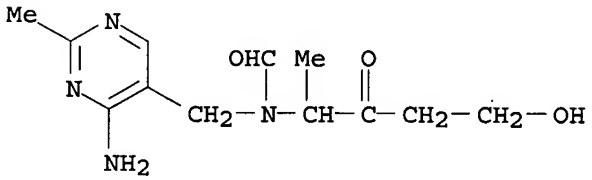
GI For diagram(s), see printed CA Issue.
AB I, a thiamine antagonist, is prepd. by reacting thiamine (II) with an amino acid under alk. conditions. Thus, 23 g glycine and 13 g NaOH in 100 ml H2O is added to 100 g II.HCl and 250 ml 10% NaOH to give 70 g I, m. 116-17.degree. (aq. EtOH). The use of aspartic acid instead of glycine also gives I.
IT **13004-39-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



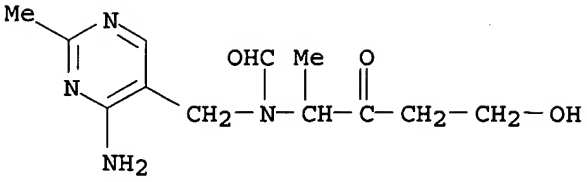
L3 ANSWER 118 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:433601 CAPLUS
DOCUMENT NUMBER: 73:33601
TITLE: Diazinothiamine. III. Antithiamine potency of diazinothiamine on microorganisms and rats
AUTHOR(S): Kawasaki, Chikataro; Kondo, Masaomi; Yokoyama, Hiroshi; Nitta, Takako
CORPORATE SOURCE: Fac. Pharm. Sci., Osaka Univ., Toyonaka, Japan
SOURCE: Bitamin (1970), 41(4), 274-8
CODEN: BTMNA7; ISSN: 0006-386X

10/ 070,804

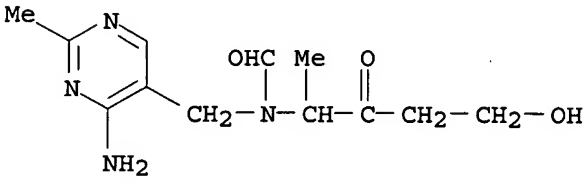
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB Diazinothiamine (I), when 10-15 mole was added to broth with 0.05 .mu.g thiamine-HCl, was inhibitory to the growth of K[loeckera] apiculata but not to that of Lactobacillus fermenti. The inhibition of the yeast by I was recovered at the presence of 0.10 .mu.g thiamine-HCl. Pyrithiamine (II), phenylthiazinothiamine (III), triazinothiamine (IV) and desthiothiamine (V) inhibited cell-uptake of thiamine, when they were incubated with cells of K. apiculata or Saccharomyces cerevisiae and thiamine in the broth, but I was least inhibitory to thiamine-uptake. The antithiamine potency of I, IV, and V was estd. on thiaminedeficient rats. Oral administration of I or IV simultaneously with thiamine (I-thiamine-HCl = 1000; 1, IV-thiamine-HCl = 500:1) resulted in loss of body wts. of thiamine-deficient rats, but oral administration of V and thiamine (V-thiamine-HCl = 1000:1) did not cause loss of body wt.
IT **13004-39-2**
RL: BIOL (Biological study)
(thiamine antagonist)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 119 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:402635 CAPLUS
DOCUMENT NUMBER: 73:2635
TITLE: Antagonists of thiamine. XIX. Formation of desthiothiamine and the diazepine compound from an alkaline solution of thiamine
AUTHOR(S): Kawasaki, Chikataro; Yokoyama, Hiroshi
CORPORATE SOURCE: Fac. Pharm. Sci., Osaka Univ., Toyonaka, Japan
SOURCE: Bitamin (1970), 41(3), 185-9
CODEN: BTMNA7; ISSN: 0006-386X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB In the biosynthesis of thiamine from pyrimidine (I) and thiazole moieties by Sacch[aromyces]cerevisiae, dethiothiamine (II) was efficiently utilized in the place of I but the diazepine compd. (III) was not utilized unless it was hydrolyzed by 10% HCl. When thiamine in the alk. soln. was incubated with or without glycine, II and III in the reaction mixt. were estd. by a thiamine biosynthesis method after their sepn. through paper partition chromatog. In the presence of glycine, II was greatly increased but in its absence III was predominant, although II and III were always detected in the reaction mixts. with or without glycine. Formation of II was more or less accelerated in the presence of other amino acids, except aromatic or heterocyclic amino acids, but the highest yield of II was obsd. by addn. of glycine.
IT **13004-39-2**
RL: FORM (Formation, nonpreparative)
(formation of, from thiamine)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



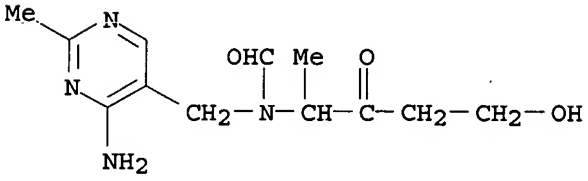
L3 ANSWER 120 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:111401 CAPLUS
DOCUMENT NUMBER: 72:111401
TITLE: Antagonists of thiamine. XXII. Relation of desthiothiamine and the diazepine compound, or the furothiazine compound
AUTHOR(S): Kawasaki, Chikataro; Yokoyama, Hiroshi
CORPORATE SOURCE: Fac. Pharm. Sci., Osaka Univ., Toyonaka, Japan
SOURCE: Bitamin (1970), 41(3), 190-4
CODEN: BTMNA7; ISSN: 0006-386X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI For diagram(s), see printed CA Issue.
AB The diazepine compd. (I) of thiamine, heated in soln. at pH 8 .apprx. 9 (NaOH, NaHCO3, or borate buffer), was partly transformed to dethiothiamine (II), while II was partly converted to I on heating in nonaq. soln., e.g. C5H5N, NaOEt-EtOH, or HCO2H. I and II incubated in 2% NaOH soln., were easily converted into the corresponding deformyl compds. (III, IV) with formation of HCO2H. The formation of the furothiazine compd. from I, II, III, and IV i n the reaction with AcCH(SH)(CH2)2OH (V) in alk. solution (pH 1 2.5), was demonstrated by paper chromatog. and higher yields were obsd. in the reaction of III or IV with V than with I or II.
IT **13004-39-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



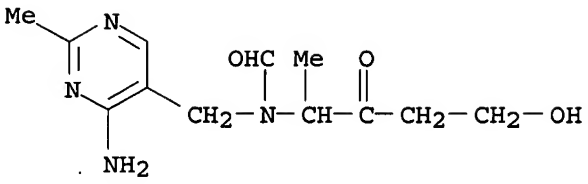
L3 ANSWER 121 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:37760 CAPLUS
DOCUMENT NUMBER: 70:37760
TITLE: Pyrimidine derivatives and related compounds. LI. Reaction of thiamine with amines. 1
AUTHOR(S): Takamizawa, Akira; Hirai, Kentaro; Hamashima, Yoshio
CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1968), 16(9), 1758-63
CODEN: CPBTAL; ISSN: 0009-2363

10/ 070,804

DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2-Morpholino-, 2-piperidino-3-(2-methyl-4-aminopyrimidin-5-ylmethyl)-3a-methylperhydrofuro[2,3-d]thiazole, and N,N'-bis[3-(2-methyl-4-aminopyrimidin-5-ylmethyl)-3a-methylperhydrofuro[2,3-d]-thiazolzyl]piperazine were synthesized by the reaction of thiamine hydrochloride or thiamine-Na (I) with corresponding amines. Reactions of I with PhNH2 and PhCH2NH2 gave II and III. Brief reaction mechanisms are discussed.
IT **13004-39-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



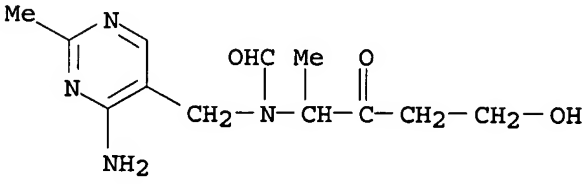
L3 ANSWER 122 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:11616 CAPLUS
DOCUMENT NUMBER: 70:11616
TITLE: Reactions with amines of disulfides derived from thiazolium salts. II. Tertiary and aromatic amines and the thiolation reaction
AUTHOR(S): Cooks, R. Graham; Sykes, Peter
CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (23), 2871-6
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The reaction of thiamine disulfide with Et3N or with PhNH2 involves nucleophilic cleavage of the disulfide bond as the primary process. Subsequent steps differ from those in the reactions of primary aliphatic amines in that the first-formed enethiol, rather than an enamine, undergoes cyclization and thiolation to give a thiazolinethione. The source of the S involved in thiolation and the possible nature of the substrate were investigated. The fission of disulfides by alcs. is discussed, and also their anomalous reaction with PhNMe2 leading to a thiazolinone and thiochrome.
IT **13004-39-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 123 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:11615 CAPLUS
DOCUMENT NUMBER: 70:11615
TITLE: Reactions with amines of disulfides derived from thiazolium salts. I. Primary and secondary amines
AUTHOR(S): Cooks, R. Graham; Sykes, Peter
CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (23), 2864-71
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The reaction with primary amines of disulfides derived from base-catalyzed ring-opening of thiazolium salts proceeds by nucleophilic cleavage of the disulfide bond and enamine formation, and finally yields an imidazolinethione as major product. Evidence for this mode of amine attack and for the presence of enamine and enethiol intermediates was found in the reaction of various modified disulfides, the reaction with secondary amines, and the reaction of a postulated intermediate. The relation between this reaction and those involved in the conversion of thiazolium salts into imidazolium salts in aq. soln. is considered.

IT **13004-39-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 124 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:459181 CAPLUS
DOCUMENT NUMBER: 69:59181
TITLE: Antagonists of thiamine. XIX. Relation of dethiothiamine and desulfurization-substitution product of thiamine; effect of glycine on reaction of thiamine with hydroxylamine in alkaline solution
AUTHOR(S): Kurata, Gunichi; Sakai, Tatsuo; Miyahara, Tatsuro
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Toyama, Toyama, Japan
SOURCE: Bitamin (1968), 37(4), 403-7
CODEN: BTMNA7; ISSN: 0006-386X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

10/ 070,804

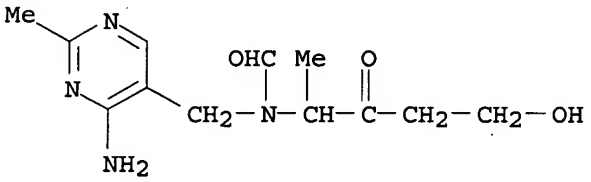
GI For diagram(s), see printed CA Issue.

AB The yield of hydroxyiminothiamine (I) was increased by addn. of glycine with equimolar NaOH in the reaction of thiamine with H2NOH in NaOH soln. The addn. of dethiothiamine (II) also increased the yield of I, corresponding to the amt. of II. When II was reacted with H2NOH, PhNHNH2, NH3, or PhCH2NH2, in weak acidic or alk. soln., formation of I, phenylhydrazonothiamine, imidazolethiamine, and benzylaminothiamine were demonstrated by means of paper partition chromatog. When II, I, phenylhydrazonothiamine or thiosemicarbazonothiamine was heated with 10% HCl, HCO2H was demonstrated in the reaction mixt., and thiamine was also shown to be formed by satn. of H2S in the acetate buffer solns. (pH 5.0) of these compds. at 90.degree.. The formation of HCO2H and thiamine were not demonstrated in the case of imidazolethiamine and benzylaminothiamine.

IT **13004-39-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzylamine and hydroxylamine and phenylhydrazine)

RN 13004-39-2 CAPLUS

CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 125 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1968:459178 CAPLUS

DOCUMENT NUMBER: 69:59178

TITLE: Antagonists of thiamine. XVIII. Reaction condition in the formation of dethiothiamine from alkaline thiamine solution with amino acids

AUTHOR(S): Kurata, Gunichi; Sakai, Tatsuo; Miyahara, Tatsuro

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Toyama, Toyama, Japan

SOURCE: Bitamin (1968), 37(4), 398-402
CODEN: BTMNA7; ISSN: 0006-386X

DOCUMENT TYPE: Journal

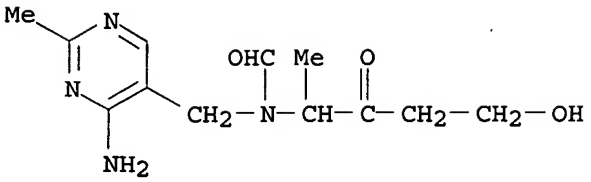
LANGUAGE: English

AB Formation of dethiothiamine (I) through the desulfurization of thiamine was remarkably increased in the presence of glycine, .alpha.-alanine, valine, .beta.-alanine, .gamma.-aminobutyric acid, .epsilon.-aminocaproic acid, aspartic acid, glutamic acid, lysine, ornithine, arginine, serine, or taurine with equimolar NaOH. I was obtained in 78% yield when thiamine-HCl (1.55 .times. 10-2 mole) with equimolar glycine in trimolar aq. NaOH solution was incubated at 20.degree. for 3 days. In the presence of the other amino acids, I was obtained in 20-67% yield after incubation for 6 days at 20.degree.. Optimal conditions were NaOH in 3.0 molar equivs. to thiamine-HCl with glycine or .alpha.-alanine, in 2.67 molar equivs. with .beta.-alanine, and in 4.0-4.33 molar equivs. with aspartic acid. Decrease in yield of I was observed when the desulfurization was carried out at >60.degree. for 3 or 6 hrs.

IT **13004-39-2P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, from thiamine in alk. soln., amino acid effect on)

RN 13004-39-2 CAPLUS

CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)

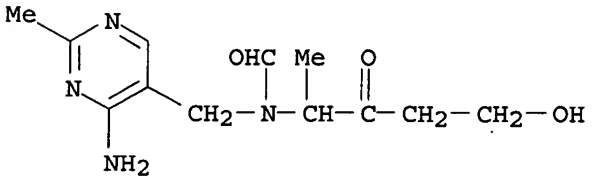


L3 ANSWER 126 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:19898 CAPLUS
DOCUMENT NUMBER: 68:19898
TITLE: Antagonists of thiamine. XV. Accumulation of antithiamine compounds on Kloeckera apiculata cells and inhibition of cell uptake of thiamine by antithiamine compounds
AUTHOR(S): Kurata, Gunichi; Sakai, Tatsuo; Miyahara, Tatsuro
CORPORATE SOURCE: Univ. Toyama, Toyama, Japan
SOURCE: Bitamin (1967), 36(5), 388-92
CODEN: BTMNA7; ISSN: 0006-386X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB Pyrithiamine (I), when incubated with the culture medium of K. apiculata, was accumulated on cells 1.7-fold as much as thiamine (Ia). The accumulated amts. of antithiamine compds. other than I were less than Ia; benzylimidazolethiamine (II) 0.67, butylimidazolethiamine (III) 0.45, I sulfuric ester (IV) 0.09, triazinothiamine (V) 0.07, phenyltriazinothiamine (VI) 0.06, imidazolethiamine (VII) 0.04, dethiothiamine (VIII) 0.02, and oxythiamine (IX) 0.02, in comparison with Ia. When Kloeckera cells preincubated with I or II, were washed and transferred to a Ia soln., the cells released I or II gradually, as Ia was accumulated increasingly on the cells. These antithiamine compds., except IX inhibited cell uptake of Ia, when they were incubated with the culture of Kloeckera and Ia. Inhibition ratios of the compds. were shown in percentage: II 87, I 67, VII 62, III 58, IV 24, VIII 13, VI 11, and V 7. Antithiamine compds. of the stronger growth inhibition index to Kloeckera were more strongly accumulated on the cells and inhibited cell uptake of Ia more strongly in the presence of Ia. 18 references.

IT **13004-39-2**
RL: BIOL (Biological study)
(absorption of, thiamine metabolism by Kloeckera apiculata in relation to)

RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



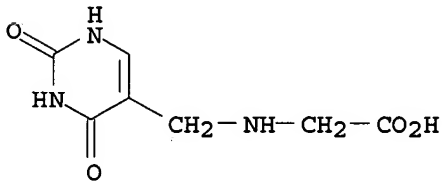
L3 ANSWER 127 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:497210 CAPLUS
DOCUMENT NUMBER: 67:97210
TITLE: N-Thymidylglycine and ethyl p-(N-

thymidylamino)benzoate
AUTHOR(S): Mertes, Mathias P.; Gilman, Quentin
CORPORATE SOURCE: Dep. of Med. Chem., Univ. of Kansas, Lawrence, KS, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(5), 965-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Hydroxymethyluracil with H2NCH2CO2H and p-H2NC6H4CO2H gave the title compds., I and II, resp. I and II did not inhibit thymidylate synthetase; against dihydrofolate reductase, I showed 20%, and II <10% inhibition.

IT **14886-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and tetrahydrofolate dehydrogenase inhibition by)

RN 14886-75-0 CAPLUS
CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)methyl]- (8CI, 9CI) (CA INDEX NAME)

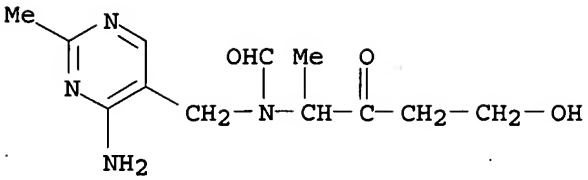


L3 ANSWER 128 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:83320 CAPLUS
DOCUMENT NUMBER: 66:83320
TITLE: Antagonists of thiamine. XIV. The desulfurized product of thiamine by the reaction with amino acids in alkaline solution
AUTHOR(S): Kurata, Gunichi; Sakai, Tatsuo; Miyahara, Tatsuro; Yokoyama, Hiroshi
CORPORATE SOURCE: Univ. Toyama, Toyama, Japan
SOURCE: Bitamin (1967), 35(2), 136-9
CODEN: BTMNA7; ISSN: 0006-386X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

AB cf. CA 65, 20577a. Dethiothiamine (I) was assumed to be a keto compd., corresponding to the thioketo form of thiamine, because both I and thiamine can be converted to the oxime by the reaction with hydroxylamine or to imidazolethiamine by the reaction with ammonia. I was inhibitory to the growth of Lactobacillus fermenti and Kloeckera apiculata. The inhibition by I could be reversed in the presence of thiamine. The growth of Saccharomyces carlsbergensis was not inhibited by I in the presence of pyridoxine. In the absence of pyridoxine, growth was rather stimulated at I concns. of 10-5-10-2M, whereas the growth was inhibited at either lower or higher concns.

IT **13004-39-2**
RL: BIOL (Biological study)
(as thiamine antagonist)

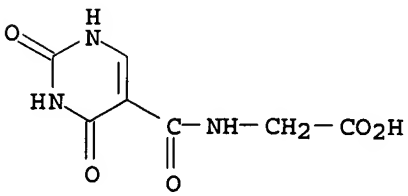
RN 13004-39-2 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)



L3 ANSWER 129 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1964:31315 CAPLUS
DOCUMENT NUMBER: 60:31315
ORIGINAL REFERENCE NO.: 60:5620d-f
TITLE: Syntheses of 5-trifluoromethyluracil and 5-trifluoromethyl-2'-deoxyuridine
AUTHOR(S): Heidelberger, Charles; Parsons, David G.; Remy, David C.
CORPORATE SOURCE: Univ. of Wisconsin, Madison
SOURCE: Journal of Medicinal Chemistry (1964), 7(1), 1-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 58, 2498h. 5-Trifluoromethyluracil has been synthesized from trifluoroacetone, which was converted into the cyanohydrin and then into the cyanohydrin acetate, which was pyrolyzed to trifluoroarylonitrile. To this was added HBr in MeOH, and 3-bromo-2-trifluoromethylpropionamide was obtained, which was condensed with urea or N-acetylurea to give 2-trifluoromethyl-3-ureidopropionamide or its Ac deriv. The ureidoamides were cyclized to 5-trifluoromethyl-5,6-dihydrouracil by refluxing in HCl. Bromination and dehydrohalogenation of the dihydropyrimidine gave 5-trifluoromethyluracil, which was converted enzymically into 5-trifluoromethyl-2'-deoxyuridine. This compd. is incorporated into DNA, is mutagenic to bacteriophage, inhibits (in the nucleotide form) the enzyme thymidylate synthetase, and is a potent inhibitor of the growth of Adenocarcinoma 755 in mice. 5-Tri fluoromethyluracil under mild alk. conditions is quant. converted into 5-carboxyuracil, and was condensed with glycine and glycylglycine to give 5-uracoylglycine and 5-uracoylglycylglycine.

IT **89937-94-0**, Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-
(prepn. of)
RN 89937-94-0 CAPLUS
CN Glycine, N-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]- (7CI) (CA INDEX NAME)



L3 ANSWER 130 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1961:112158 CAPLUS
DOCUMENT NUMBER: 55:112158
ORIGINAL REFERENCE NO.: 55:21131d-i
TITLE: Vitamin B1 and related compounds. XCIX. New reaction

10/ 070,804

of thiamine. 1. Reaction of thiamine with ketonic reagents

AUTHOR(S): Masuda, Katsutada

CORPORATE SOURCE: Takeda Chem. Inds., Ltd., Osaka

SOURCE: Yakugaku Zasshi (1961), 81, 533-6
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

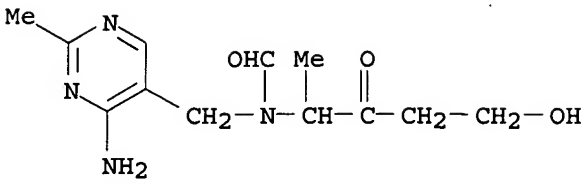
LANGUAGE: Unavailable

AB cf. CA 53, 21988h. Thiamine-HCl (I) (10.5 g.) in 2.5 g. NaOH and 35 ml. H2O treated with 2.1 g. NH2OH.HCl in 12 ml. H2O, the mixt. kept 2 days, and the ppt. filtered off gave RCH2N(CHO)CHMeC(:NOH)CH2CH2OH (II) (R = 2-methyl-4-amino-5-pyrimidinyl), prisms, m. 204.degree. (decompn.) (H2O). 3-(RCH2-substituted)-4,5-dimethylthiazolium chloride-HCl (3 g.) treated with 0.8 g. NaOH in H2O and the free base treated with NH2OH as above gave 1.7 g. RCH2N(CHO)CHMeC(:NOH)Me (III), m. 212-13.degree. (decompn.). I (11.5 g.), 2.7 g. NaOH, and 3 g. NH2CSNHNH2 in 100 ml. H2O kept 5 days at room temp., the soln. concd. in vacuo, and the residue extd. with 30 ml. EtOH gave 3 g. RCH2N(CHO)CHMeC(:NNHCSNH2)CH2CH2OH (IV), prisms, m. 191-2.degree. (decompn.) (dil. alc.). I (10.5 g.) in 2.5 g. NaOH and 35 ml. H2O treated with 3.5 g. PhNHNH2, the mixt. kept 2 days at room temp., the oily layer taken up in warm AcOEt, and cooled gave 9.3 g. RCH2N(CHO)CHMeC(:NNHPh)CH2CH2OH (V), columns, m. 179-80.degree. (decompn.) (dil. alc.). V in EtOH treated with a small amt. of concd. HCl and allowed to stand sepd. 2-phenyl-4-(RCH2substituted)-5-methyl-6-(2-hydroxyethyl)-2,5-dihydro-1,2,4-triazinium chloride-HCl (VI), m. 271.degree. (decompn.) (dil. alc.). 3-(RCH2-substituted)-4,5-dimethylthiazolium chloride-HCl (VII) (6 g.), 1.5 g. NaOH, and 2.3 g. PhNHNH2 in 20 ml. H2O kept 2 days, the oily product extd. with AcOEt, taken up in dil. HCl, filtered with C, the filtrate concd. in vacuo, and the residue in hot alc. cooled gave 1.7 g. 2-phenyl-4-(RCH2-substituted)-5,6-dimethyl-2,5-dihydro-1,2,4-triazinium chloride-HCl, prisms, m. 265-6.degree. (decompn.) (dil. alc.). I (10.5 g.) in 2.5 g. NaOH and 35 ml. H2O treated with 2.5 g. 80% N2H4.H2O, the mixt. kept 3 days, and the product extd. with BuOH gave 4-(RCH2-substituted)-5-methyl-6-(2-hydroxyethyl)-4,5-dihydro-1,2,4-triazine (VIII), m. 196-7.degree. (decompn.) (EtOH); VIII.2HCl, prisms, m. 258-9.degree. (decompn.); VIII dipicrate, needles, m. 189.degree. (hot H2O). VII (3.1 g.) in 0.8 g. NaOH and 0.6 g. N2H4.H2O in 10 ml. H2O treated as above gave 4-(RCH2-substituted)-5,6-dimethyl-4,5-dihydro-1,2,4-triazine (IX), needles, m. 216.degree. (EtOH). I (10.5 g.) in 2.5 g. NaOH and 30 ml. H2O treated with 4.5 g. isoniazid, the mixt. kept 3 days, and the product extd. with BuOH gave 5.3 g. N-(1-methyl-2-isonicotinoylhydrazono-4-hydroxybutyl)-N-(RCH2-substituted)formamide, m. 173.degree. (decompn.) (AcOEt). II or IV (0.5 g.) in 5 ml. 5% HCl heated at 80.degree., neutralized with NaOH, AcOH added to pH 3-4, and the product paper chromatographically examd. confirmed the presence of RCH2NH2. VIII.HCl (3 g.) in 30 ml. H2O and 5 g. NaHSO3 adjusted to pH 5 with 5% NaOH and kept 3 days at room temp. sepd. 1.7 g. RCH2SO3H, needles, m. above 300.degree.. Similarly, 2 g. VI yielded 1.2 g. RCH2SO3H.

IT **13004-39-2**, Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)-
(derivs.)

RN 13004-39-2 CAPLUS

CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-1-methyl-2-oxobutyl)- (8CI, 9CI) (CA INDEX NAME)

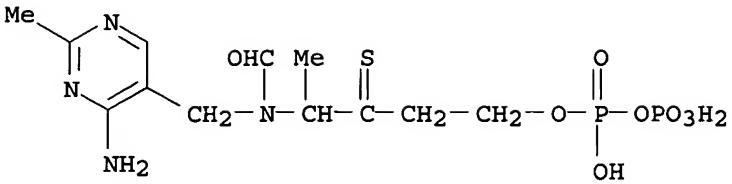


L3 ANSWER 131 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1958:35581 CAPLUS
DOCUMENT NUMBER: 52:35581
ORIGINAL REFERENCE NO.: 52:6427d-g
TITLE: Thiazole ring of cocarboxylase identified as a cocarboligasic active group
AUTHOR(S): Antoniani, C.; Lanzani, G. A.
CORPORATE SOURCE: Univ. Milan
SOURCE: Atti accad. nazl. Lincei. Rend., Classe sci. fiz., mat. e nat. (1957), 22, 516-19
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 52, 3027a. The thiolic deriv. of thiamine pyrophosphate (I) is prepd. by agitating 0.25 g. cocarboxylase-HCl, 25 ml. Na ethylate in 5% EtOH, and 2 ml. H2O in a hermetically sealed container, decanting, pptg. with Et2O, and washing by decantation. For the thiol hydrate (II) 7 ml. H2O is used. The disulfide (III), decompn. point 168.degree., is prepd. according to the method of Karrer and Viscontini (C.A. 41, 1257g); I is dissolved in a min. of H2O, 0.01N alc. iodine gradually added until a pos. reaction is obtained with amido-iodate paper, and the ppt. washed twice with EtOH, once with Et2O, and dried over CaCl2. The compds. are tested against apocarboxylase extd. from bread yeast and completely free of cocarboxylase. Decarboxylation activity on pyruvic acid is measured with a Warburg, and the condensation products, acetylmethyl carbinol and butylene glycol, are detd. according to Hooremann (C.A. 44, 6466b). Control is normal cocarboxylase-HCl. Tabulated data show the carboligasic activity of I to be 3 times that of the control, II 1/3; III had no activity. Decarboxylation for I is about the same as the control and II, and that of III less than the control. Addn. of cystine to III increases carboxylation and shows some carboligasication; addn. of leucomethylene blue to I decreases the latter activity.

IT **114599-69-8**, Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-2-mercapto-1-methyl-1-butenyl)-, pyrophosphate, sodium deriv., trisodium salt
(prepn. and coenzyme activity of)

RN 114599-69-8 CAPLUS
CN Formamide, N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-(4-hydroxy-2-mercapto-1-methyl-1-butenyl)-, pyrophosphate, sodium deriv., trisodium salt (6CI) (CA INDEX NAME)



●4 Na

L3 ANSWER 132 OF 132 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1958:21151 CAPLUS
DOCUMENT NUMBER: 52:21151
ORIGINAL REFERENCE NO.: 52:3828d-i,3829a
TITLE: Vitamin B1 and related compounds. LXXXIX. A new compound prepared from thiamine
AUTHOR(S): Hirano, Hiroshi
CORPORATE SOURCE: Takeda Pharm. Inds., Ltd., Osaka
SOURCE: Yakugaku Zasshi (1957), 77, 1007-11
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

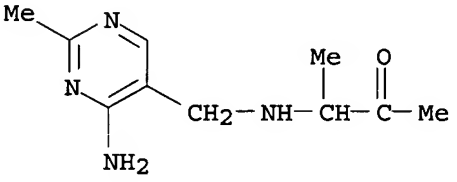
AB I.HCl (11.2 g.) in 30 ml. H2O and 4 g. NaOH in 20 ml. H2O heated 1.5 hrs. at 100.degree. (evolution of H2S), the product extd. several times with BuOH, the BuOH removed, the residue taken up in 50 ml. warm Me2CO, let stand several days, the ppt. filtered off, and recrystd. from Me2CO-EtOH gave 1.3 g. 2-(2-hydroxyethyl)-3,8-dimethyl-4-formyl-4,5-dihydro-1H-pyrimido[4,5-e]-1,4-diazepine (III), columns, m. 171-2.degree.. III (0.4 g.) in 5 ml. C5H5N and 2.5 ml. Ac2O let stand overnight, the C5H5N removed in vacuo, the residue in a small amt. of H2O neutralized with K2CO3, let stand several hrs., the product filtered off, and recrystd. from EtOH gave 2-AcOCH2CH2 analog (IV) of III, prisms, m. 171.degree.. 3-R-4,5-dimethylthiazolium chloride-HCl (4.9 g.) (R = 2-methyl-4-amino-5-pyrimidylmethyl) in 5 ml. H2O and 1.8 g. NaOH in 7 ml. H2O heated 1 hr. at 100.degree., cooled, the product filtered off, and washed with H2O gave 1.9 g. 2,3,8-tri-Me analog (V) of III, prisms, m. 238-9.degree. (EtOH). V (0.5 g.) in 5 ml. C5H5N and 2.5 ml. Ac2O refluxed 3 hrs. on an oil bath, cooled, the product filtered off, and recrystd. from dil. EtOH gave 1-Ac analog (VI) of V, columns, m. 234.degree. (decompn.). V (0.5 g.) in 10 ml. C5H5N and 0.5 g. P2S5 refluxed 2 hrs. on an oil bath, the product concd. in vacuo, the residue with H2O acidified with AcOH, the soln. filtered with C, the filtrate neutralized with NaHCO3, and the ppt. recrystd. from EtOH gave 2,3,8-trimethyl-4-thioformyl-4,5-dihydro-1H-pyrimido[4,5-e]-1,4-diazepine (VII), prisms, m. 225.degree.. VII (0.2 g.) in 5 ml. dil. EtOH and 2 ml. 10% NaOH treated with several drops 30% H2O2 (heat evolution), the product concd. in vacuo, the residue with H2O filtered off, and recrystd. from EtOH gave 0.12 g. V, m. 238-9.degree.. VII (0.6 g.) and 5 ml. 10% HCl heated 10 min. on an H2O bath, the soln. concd. in vacuo, and the residue recrystd. from EtOH gave 0.2 g. RNHCHMeCOMe.2HCl (VIII), leaves, m. 208.degree. (decompn.) (HCO2H detected as a byproduct). VIII (0.8 g.) in 5 ml. H2O made weakly alk. with K2CO3, 5 ml. EtOH added, the soln. at 0.degree. treated dropwise with 0.07 g. NaBH4 in 5 ml. H2O (evolution of H), heated 1 hr. at 50-60.degree., cooled, the excess NaBH4 decompd. with AcOH, the product concd., the residue in H2O made alk. with NaOH, and the ppt. extd. with BuOH gave RNHCHMeCHMeOH.2HCl (IX), needles, m. 261.degree. (decompn.). Or, 1.5 g. 2-methyl-4-amino-5-pyrimidinecarboxaldehyde and 1.2 g. 3-amino-2-butanol in 30 ml. EtOH refluxed 30 min., the EtOH removed and the residue

recrystd. from AcOEt gave a Schiff base; this (1 g.) in 80 ml. EtOH reduced with 1.8 g. Raney Ni and H at 200 atm. 2 hrs. at 100.degree. yielded 0.6 g. IX, m. 261.degree.. VIII (0.5 g.), 5 ml. HCO2H, and 0.2 g. HCO2Na refluxed 4 hrs. on an oil bath, the soln. concd. in vacuo, the residue in H2O made alk. with NaHCO3, and the product recrystd. from dil. EtOH gave V, prisms, m. 237-8.degree..

IT **99513-46-9**, 2-Butanone, 3-{[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino}-, dihydrochloride
(prepn. of)

RN 99513-46-9 CAPLUS

CN 2-Butanone, 3-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-, dihydrochloride (6CI) (CA INDEX NAME)



●2 HCl

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FILE 'REGISTRY' ENTERED AT 15:42:23 ON 22 OCT 2003
L1 STRUCTURE UPLOADED
L2 418 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:42:51 ON 22 OCT 2003
L3 132 S L2

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